

10/775,675

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(FILE 'HOME' ENTERED AT 13:34:05 ON 17 MAR 2006)

FILE 'REGISTRY' ENTERED AT 13:34:46 ON 17 MAR 2006

L1 STRUCTURE UPLOADED

L2 14 S L1

L3 328 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 13:36:17 ON 17 MAR 2006

L4 33 S L3

L5 21 S L4 AND PATENT/DT

L6 12 S L4 NOT L5

L7 0 S L6 AND 2006/SO

L8 1 S L6 AND 2005/SO

L9 2 S L6 AND 2004/SO

L10 0 S L6 AND 2003/SO

L11 1 S L6 AND 2002/SO

L12 29 S L4 NOT (L8 OR L9 OR L11)

FILE 'REGISTRY' ENTERED AT 13:37:19 ON 17 MAR 2006

L13 105383 S 6-6-7/SZ

L14 57439 S 5-6-7/SZ

L15 282 S L3 AND L13

L16 0 S L3 AND L14

L17 46 S L3 NOT L15

FILE 'CAPLUS' ENTERED AT 13:40:07 ON 17 MAR 2006

L18 19 S L15

L19 17 S L18 NOT (L8 OR L9 OR L11)

=> d ibib abs hitstr total

10/775,675

109 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:736256 CAPLUS

DOCUMENT NUMBER: 137:263078

TITLE: Preparation of tricyclic heterocyclic compounds as antagonists of tachykinin receptor

INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki; Kamo, Izumi; Shirai, Junya

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 269 pp.

CODEN: PIXXD2

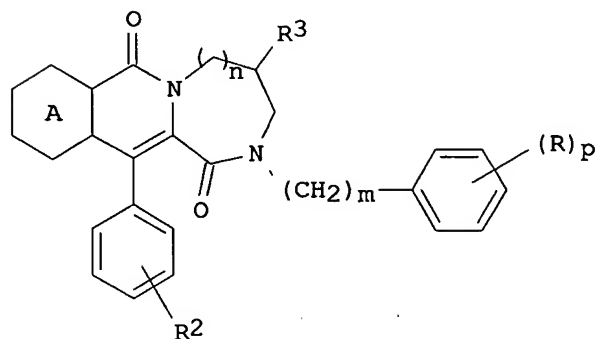
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|-------------------|-----------------|------------|
| WO 2002074771 | A1 | 20020926 | WO 2002-JP2624 | 20020319 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2002348289 | A2 | 20021204 | JP 2002-77248 | 20020319 |
| PRIORITY APPLN. INFO.: | | | JP 2001-78567 | A 20010319 |
| OTHER SOURCE(S): | | MARPAT 137:263078 | | |
| GI | | | | |



I

AB Tricyclic heterocyclic compds. such as 6,8,9,10,11,13-hexahydro-7H-[1,4]diazocino[2,1-g][1,7]naphthyridine-6,10-dione derivs. represented by the formula (I; wherein ring A represents a substituted pyridine ring; R2 represents hydrogen, halogeno, or optionally halogenated C1-6 alkyl; R3 represents hydrogen or C1-6 alkyl; R's are the same or different and each represents halogeno, optionally halogenated C1-6 alkyl, optionally halogenated C1-6 alkoxy, cyano, or hydroxy; m is an integer of 0 to 3; n is 1 or 2; and p is an integer of 0 to 3) or salts thereof or prodrugs of either are prepared These compds. have an excellent antagonistic effect on a tachykinin receptor, especially on a substance P receptor, and are useful for

improving micturition abnormality and for the prevention and/or treatment of substance P-related diseases pollakiuria (increased urinary frequency), urinary incontinence, asthma, rheumatoid arthritis, osteoarthritis (arthrosis deformans), pain, cough, pruritus (itching), chronic obstructive lung disease, irritable bowel diseases, vomiting, HIV infection, depression, anxiety neurosis, obsessive-compulsive neurosis, panic disorder, manic-depressive psychosis, or schizophrenia. Thus, (aR,9R)-7-[3,5-bis(trifluoromethyl)benzyl]-9-methyl-5-phenyl-8,9,10,11-tetrahydro-7H-[1,4]diazocino[2,1-g][1,7]naphthyridine-6,13-dione was oxidized by m-chloroperbenzoic acid in CH₂Cl₂ and then was stirred with trimethylsilyl cyanide and Et₃N in MeCN at 85° for 3 h to give (aR,9R)-7-[3,5-bis(trifluoromethyl)benzyl]-9-methyl-5-phenyl-6,13-dioxo-8,9,10,11-tetrahydro-7H-[1,4]diazocino[2,1-g][1,7]naphthyridine-2-carbonitrile (II). II in vitro inhibited the binding of [¹²⁵I]substance P to substance P receptor of human lymphoblast cells with IC₅₀ of 0.047 nM.

IT 461680-83-1P 461680-98-8P

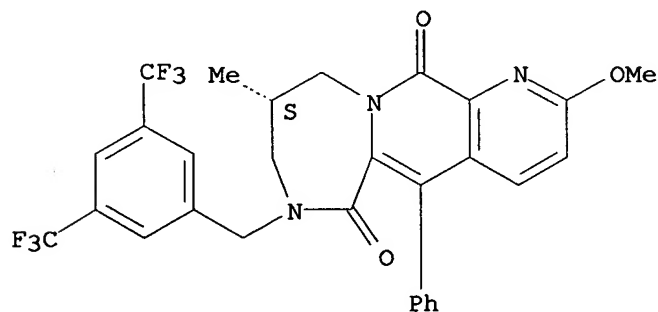
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic heterocyclic compds. as antagonists of tachykinin receptor (substance P receptor) for prevention and/or treatment of substance P-related diseases)

RN 461680-83-1 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-2-methoxy-9-methyl-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

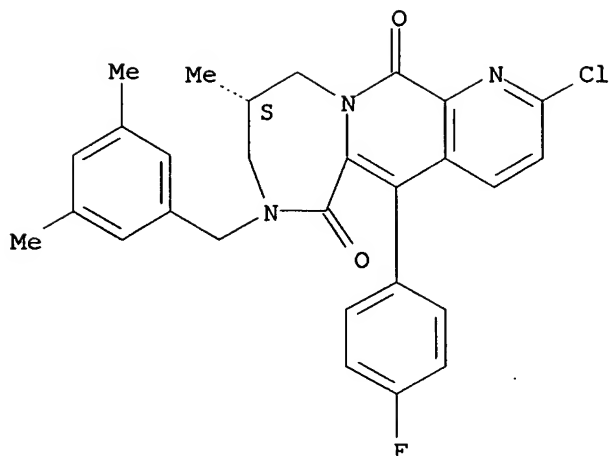
Absolute stereochemistry.



RN 461680-98-8 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 2-chloro-7-[(3,5-dimethylphenyl)methyl]-5-(4-fluorophenyl)-7,8,9,10-tetrahydro-9-methyl-, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 461680-85-3P 461680-88-6P 461680-90-0P
 461680-92-2P 461680-94-4P 461680-96-6P
 461681-00-5P 461681-02-7P

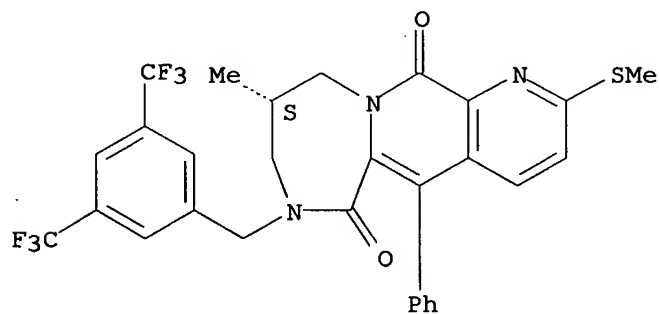
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic heterocyclic compds. as antagonists of tachykinin receptor (substance P receptor) for prevention and/or treatment of substance P-related diseases)

RN 461680-85-3 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-2-(methylthio)-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

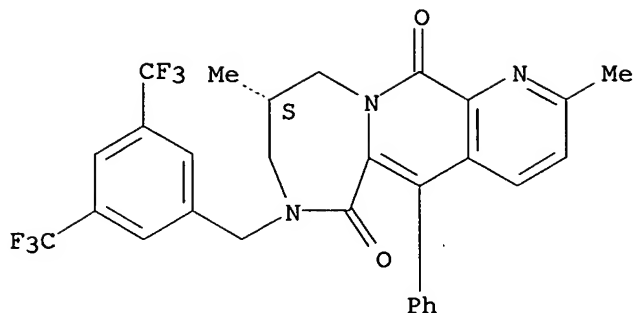
Absolute stereochemistry.



RN 461680-88-6 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-2,9-dimethyl-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

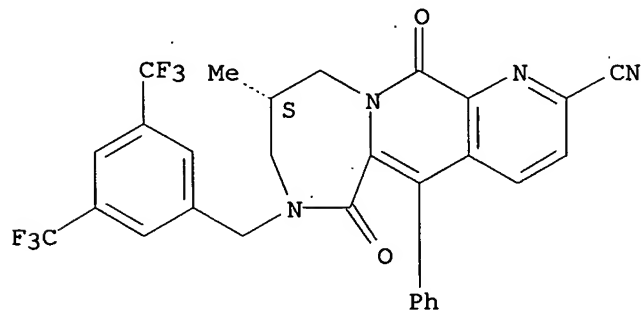
Absolute stereochemistry.



RN 461680-90-0 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-2-carbonitrile,
7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6,7,8,9,10,12-hexahydro-9-
methyl-6,12-dioxo-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

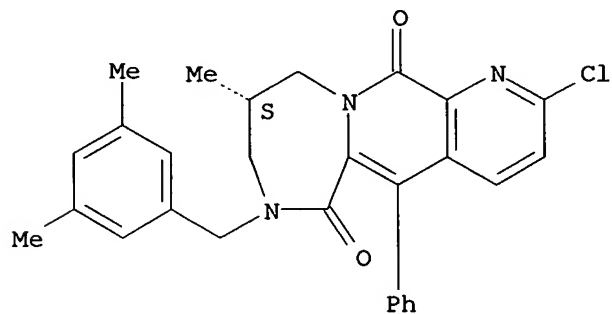
Absolute stereochemistry.



RN 461680-92-2 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 2-chloro-7-[(3,5-
dimethylphenyl)methyl]-7,8,9,10-tetrahydro-9-methyl-5-phenyl-, (9S)- (9CI)
(CA INDEX NAME)

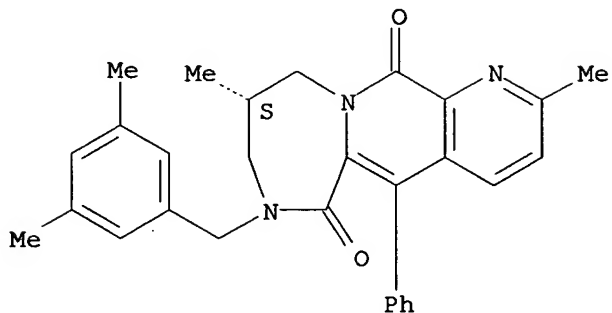
Absolute stereochemistry.



RN 461680-94-4 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[(3,5-
dimethylphenyl)methyl]-7,8,9,10-tetrahydro-2,9-dimethyl-5-phenyl-, (9S)-
(9CI) (CA INDEX NAME)

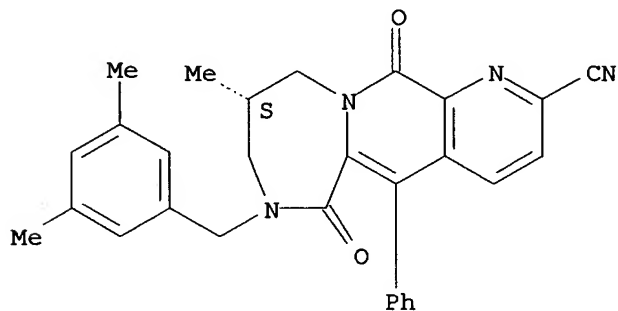
Absolute stereochemistry.



RN 461680-96-6 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-2-carbonitrile,
7-[(3,5-dimethylphenyl)methyl]-6,7,8,9,10,12-hexahydro-9-methyl-6,12-dioxo-
5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

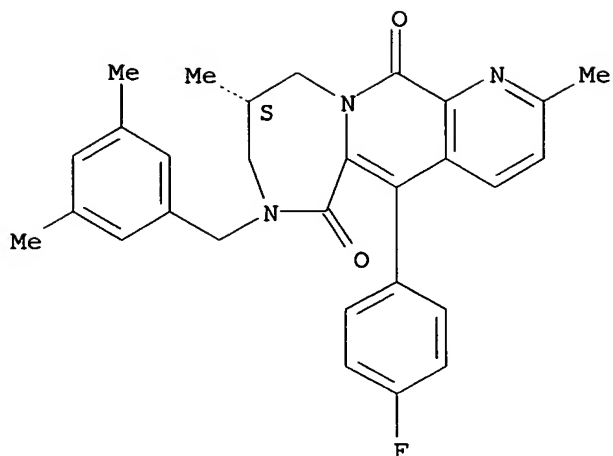
Absolute stereochemistry.



RN 461681-00-5 CAPLUS

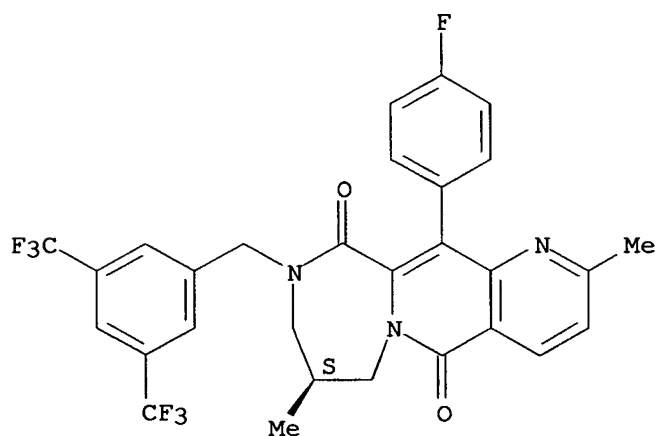
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[(3,5-
dimethylphenyl)methyl]-5-(4-fluorophenyl)-7,8,9,10-tetrahydro-2,9-dimethyl-
, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



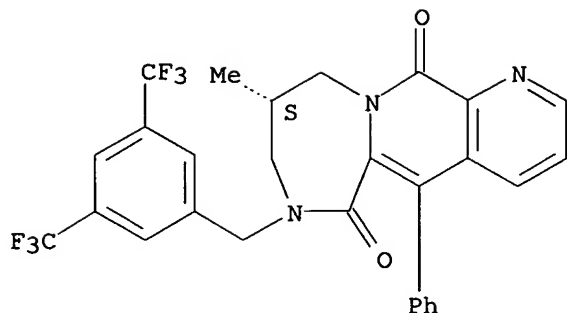
RN 461681-02-7 CAPLUS
 CN [1,4]Diazepino[1,2-g]-1,6-naphthyridine-5,11-dione, 10-[[3,5-bis(trifluoromethyl)phenyl]methyl]-12-(4-fluorophenyl)-7,8,9,10-tetrahydro-2,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **183549-88-4**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of tricyclic heterocyclic compds. as antagonists of tachykinin receptor (substance P receptor) for prevention and/or treatment of substance P-related diseases)
 RN 183549-88-4 CAPLUS
 CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-9-methyl-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 461681-04-9P 461681-12-9P 461682-15-5P

461682-17-7P

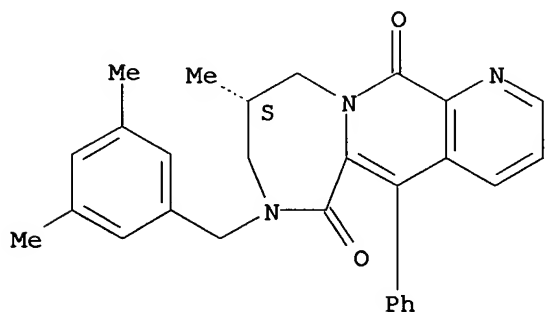
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic heterocyclic compds. as antagonists of tachykinin receptor (substance P receptor) for prevention and/or treatment of substance P-related diseases)

RN 461681-04-9 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[(3,5-dimethylphenyl)methyl]-7,8,9,10-tetrahydro-9-methyl-5-phenyl-, (9S)-(9CI) (CA INDEX NAME)

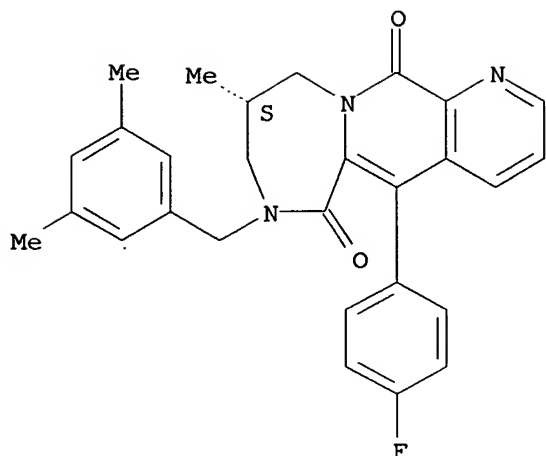
Absolute stereochemistry.



RN 461681-12-9 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[(3,5-dimethylphenyl)methyl]-5-(4-fluorophenyl)-7,8,9,10-tetrahydro-9-methyl-, (9S)-(9CI) (CA INDEX NAME)

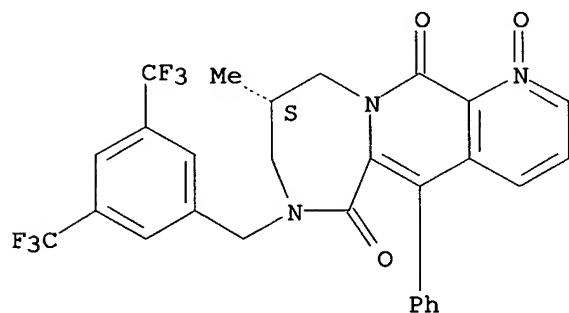
Absolute stereochemistry.



RN 461682-15-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-phenyl-, 1-oxide, (9S)- (9CI) (CA INDEX NAME)

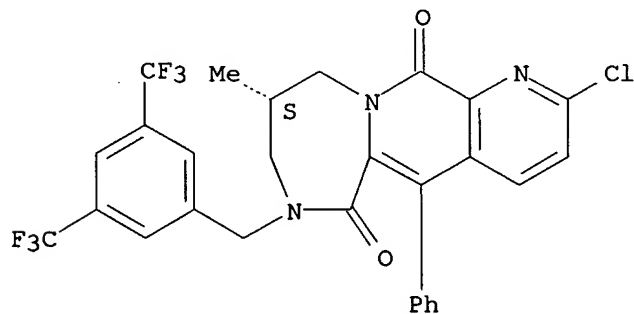
Absolute stereochemistry.



RN 461682-17-7 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-7,8,9,10-tetrahydro-9-methyl-, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/775,675

REFERENCE COUNT:

19

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

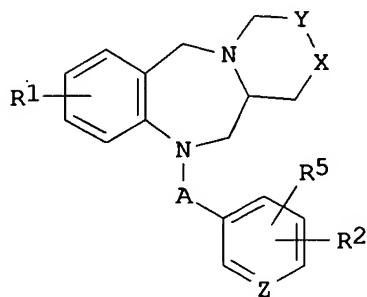
L19 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

applicant

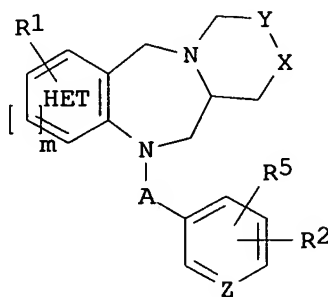
ACCESSION NUMBER: 2002:575779 CAPLUS
 DOCUMENT NUMBER: 137:125185
 TITLE: Preparation of tricyclic benzodiazepines as vasopressin receptor antagonists
 INVENTOR(S): Hoekstra, William J.; Dyatkin, Alexey B.; Maryanoff, Bruce E.; Matthews, Jay M.
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 468,650, abandoned.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-------------------|-------------|
| US 2002103373 | A1 | 20020801 | US 2001-911605 | 20010724 |
| US 6713475 | B2 | 20040330 | | |
| TR 200102069 | T2 | 20011121 | TR 2001-200102069 | 19991221 |
| PT 1147115 | T | 20040227 | PT 1999-966495 | 19991221 |
| ES 2207333 | T3 | 20040516 | ES 1999-966495 | 19991221 |
| US 2004242866 | A1 | 20041202 | US 2004-775675 | 20040210 |
| PRIORITY APPLN. INFO.: | | | US 1999-116358P | P 19990119 |
| | | | US 1999-468650 | B2 19991221 |
| | | | US 2001-911605 | A1 20010724 |

OTHER SOURCE(S): MARPAT 137:125185
 GI



I



II

AB Title compds. [I or II; m = 0-1; with the proviso that if m = 0 or 1, then "HET" = 5-6 membered monocyclic aromatic ring system composed of carbon atoms and one heteroatom selected from N, O and S; A = CO, SO₂, CH₂; Y = CH₂, CH; X = CH₂, CH, NR₃, S, O; Z = N, CH; R₁ = H, alkyl, alkoxy, halo, aminoalkyl, NO₂; R₂ = H, NR₄COAr, NR₄Ar, SCH₂Ar, etc.; Ar = (substituted) naphthyl, Ph; R₃ = H, acyl, alkyl, alkoxycarbonyl, alkylsulfonyl, arylsulfonyl; R₄ = H, alkyl; R₅ = H, alkyl, alkoxy, Cl, F, OH, dialkylamino, CF₃, OCF₃; with provisos], were prepared Thus, 10-[4-[[2-(biphenyl)carbonyl]amino]benzoyl]-10,11-dihydro-5H-piperidino[2,1-c][1,4]benzodiazepine hydrochloride, prepared in several steps starting from isatoic anhydride and pipecolic acid, bound to vasopressin V₂ receptors with IC₅₀ = 9 nM.

IT 285559-00-4P 285559-01-5P 285559-02-6P
 285559-03-7P 285559-04-8P 285559-05-9P
 285559-06-0P 285559-07-1P 285559-08-2P
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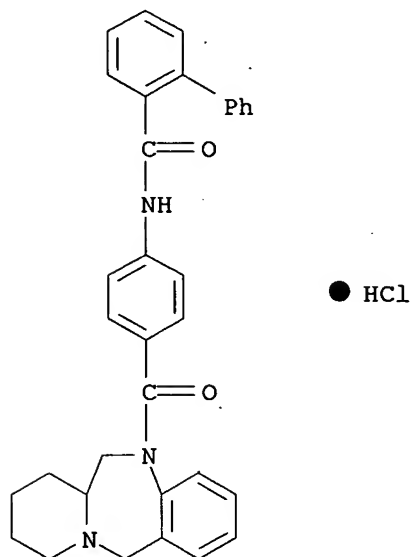
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzodiazepines as vasopressin receptor

antagonists)

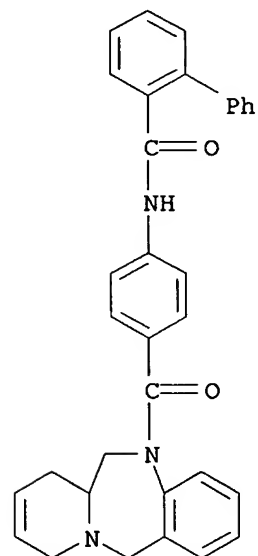
RN 285559-00-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(6,6a,7,8,9,10-hexahydropyrido[2,1-c][1,4]benzodiazepin-5(12H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI)
(CA INDEX NAME)



RN 285559-01-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(6,6a,7,10-tetrahydropyrido[2,1-c][1,4]benzodiazepin-5(12H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

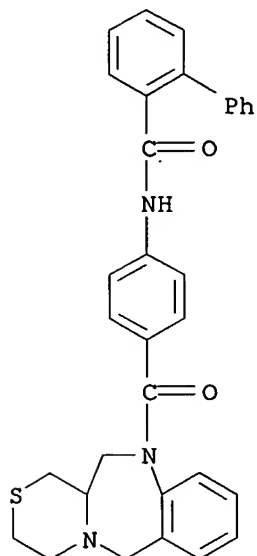


RN 285559-02-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-1H-

10/775,675

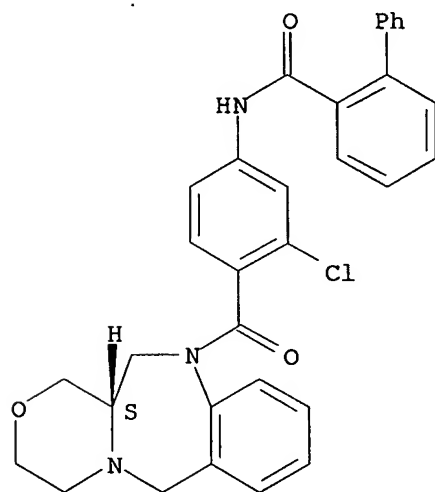
[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285559-03-7 CAPLUS

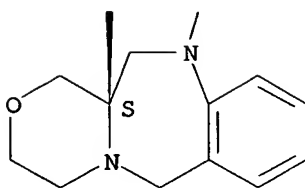
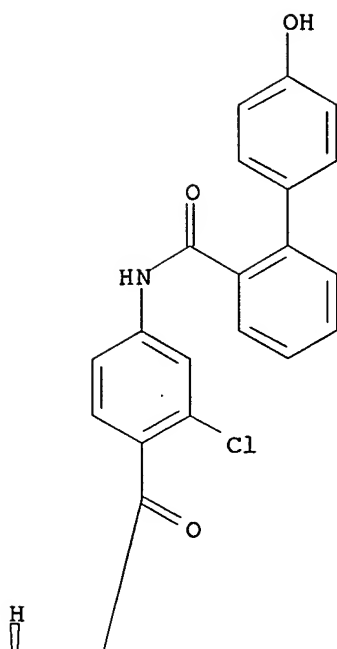
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

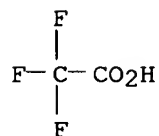
RN 285559-04-8 CAPLUS



CM 2

CRN 76-05-1

CMF C2 H F3 O2

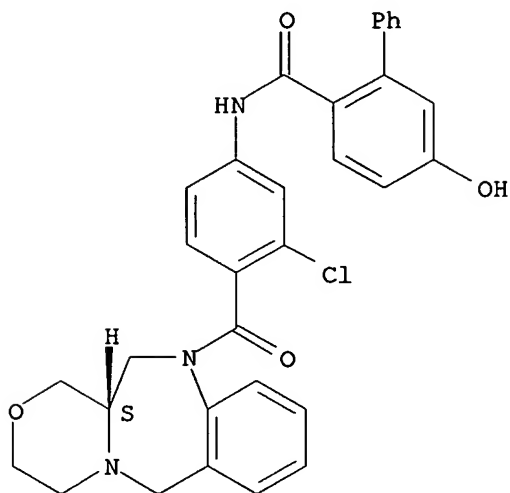


RN 285559-06-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-5-hydroxy- (9CI) (CA INDEX NAME)

10/775,675

Absolute stereochemistry. Rotation (+).



RN 285559-07-1 CAPLUS

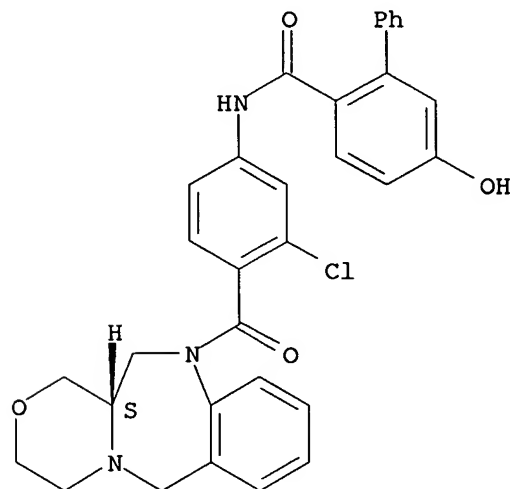
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[[1,1'-biphenyl]-2-carboxamido-5-hydroxyphenyl]carbonyl]phenyl]-11(6H)-[1,4]benzodiazepin-11(6H)-yl]-5-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-06-0

CMF C32 H28 Cl N3 O4

Absolute stereochemistry. Rotation (+).

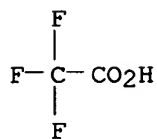


CM 2

CRN 76-05-1

CMF C2 H F3 O2

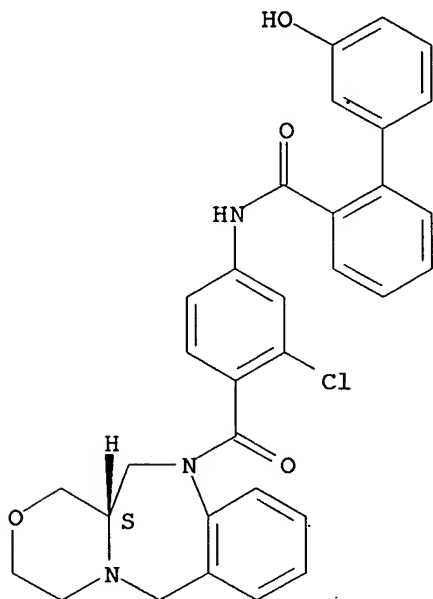
10/775,675



RN 285559-08-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-3'-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 285559-09-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-3'-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

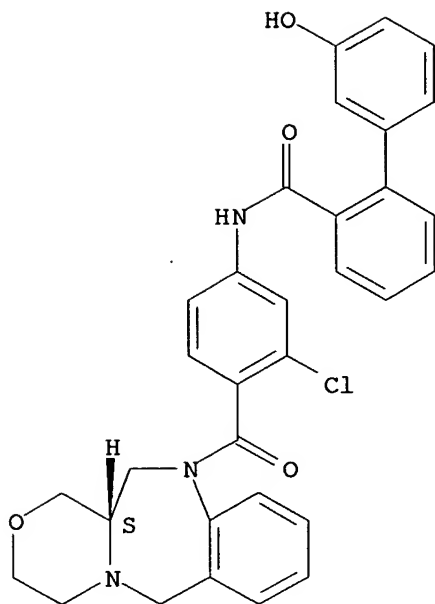
CM 1

CRN 285559-08-2

CMF C32 H28 Cl N3 O4

Absolute stereochemistry. Rotation (+).

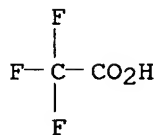
10/775,675



CM 2

CRN 76-05-1

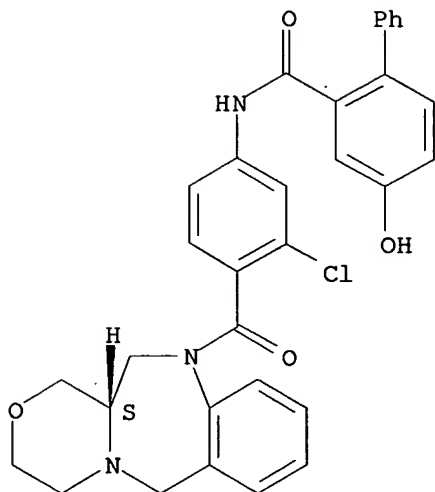
CMF C2 H F3 O2



RN 285559-10-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-4-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 285559-11-7 CAPLUS

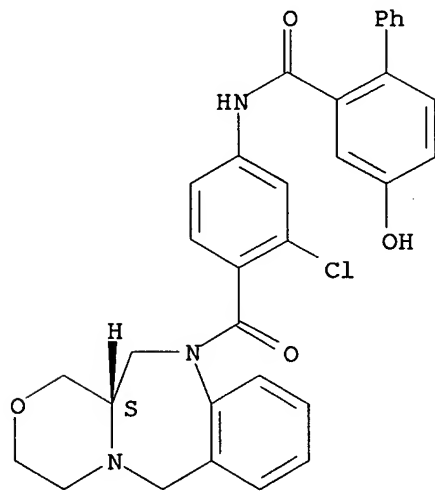
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-4-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-10-6

CMF C32 H28 Cl N3 O4

Absolute stereochemistry. Rotation (+).

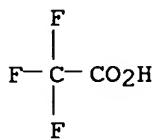


CM 2

CRN 76-05-1

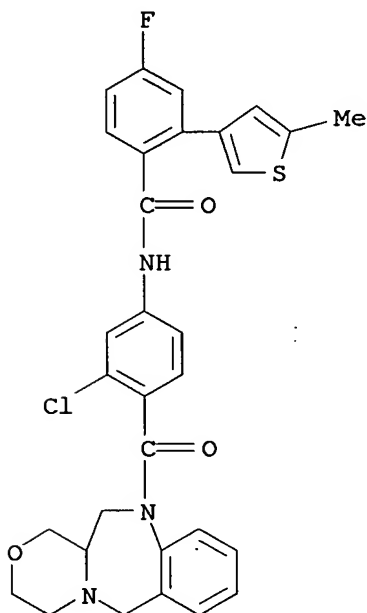
CMF C2 H F3 O2

10/775,675



RN 285559-12-8 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4-fluoro-2-(5-methyl-3-thienyl)- (9CI) (CA INDEX NAME)



RN 285559-13-9 CAPLUS

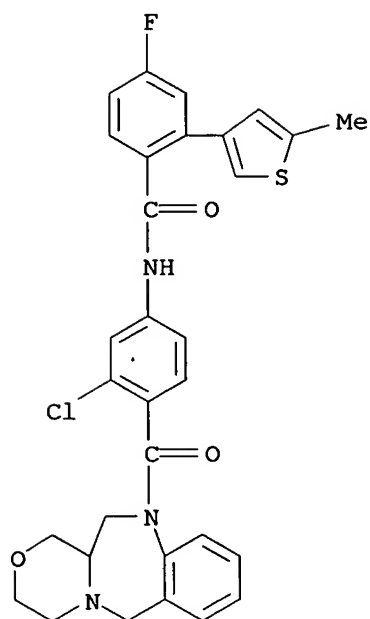
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4-fluoro-2-(5-methyl-3-thienyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-12-8

CMF C31 H27 Cl F N3 O3 S

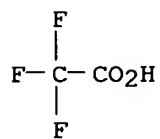
10/775,675



CM 2

CRN 76-05-1

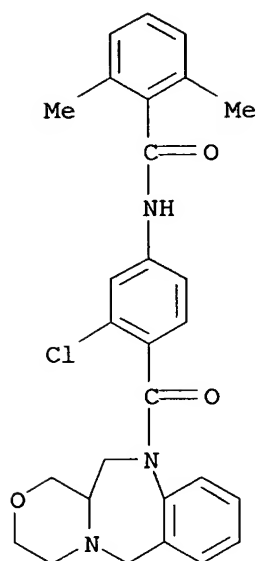
CMF C2 H F3 O2



RN 285559-14-0 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

10/775,675



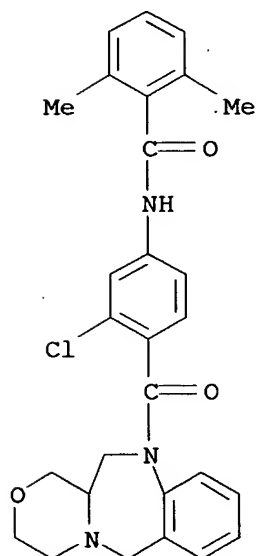
RN 285559-15-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,6-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-14-0

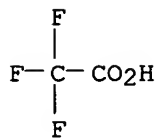
CMF C28 H28 Cl N3 O3



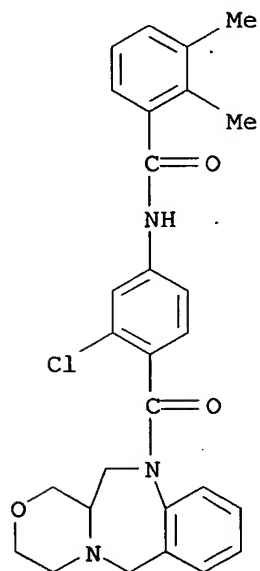
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-16-2 CAPLUS
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)

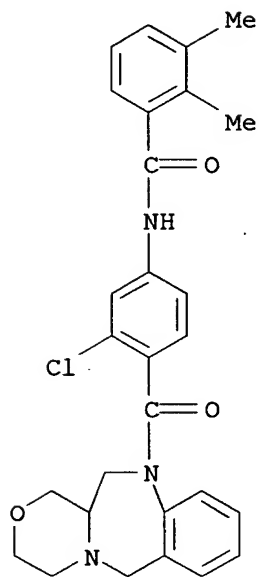


RN 285559-17-3 CAPLUS
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-16-2
CMF C28 H28 Cl N3 O3

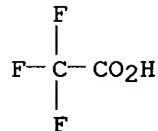
10/775,675



CM 2

CRN 76-05-1

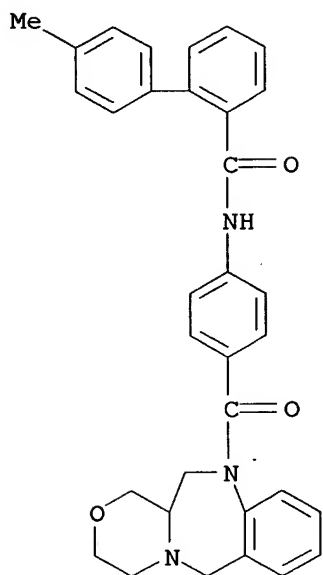
CMF C2 H F3 O2



RN 285559-18-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 4'-methyl-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

10/775,675



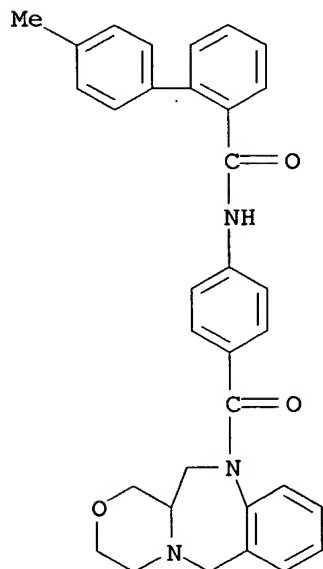
RN 285559-19-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 4'-methyl-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-18-4

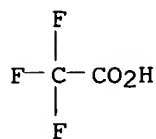
CMF C33 H31 N3 O3



CM 2

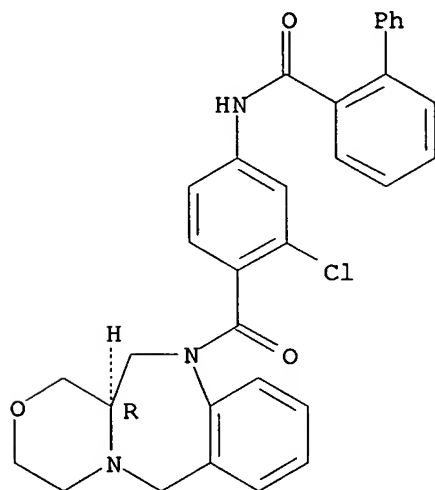
10/775,675

CRN 76-05-1
CMF C2 H F3 O2



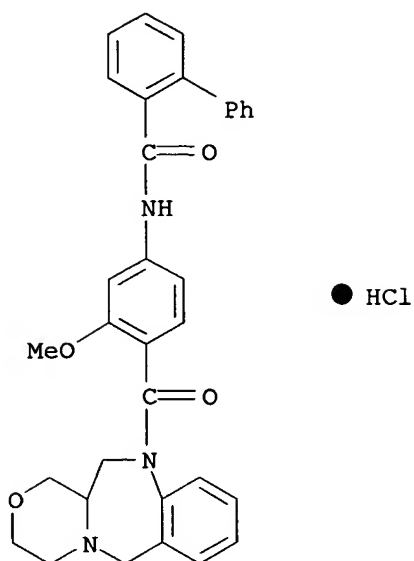
RN 285559-20-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(12aR)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



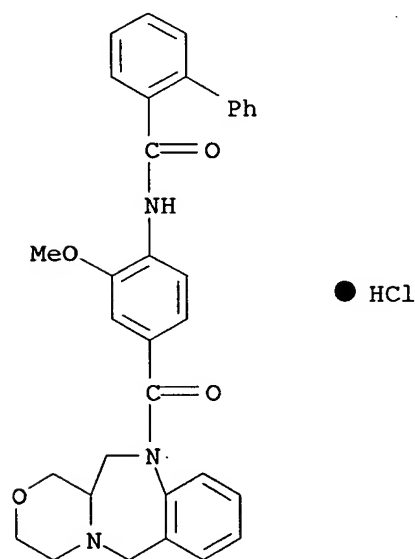
● HCl

RN 285559-21-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-methoxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-22-0 CAPLUS

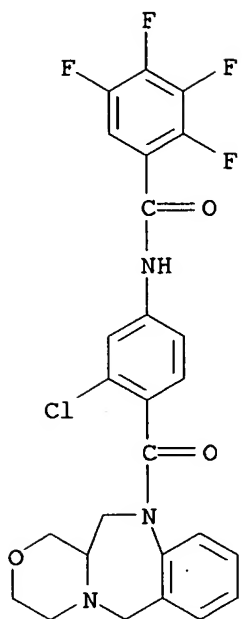
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-methoxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-23-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3,4,5-tetrafluoro- (9CI) (CA INDEX NAME)

10/775,675



RN 285559-24-2 CAPLUS

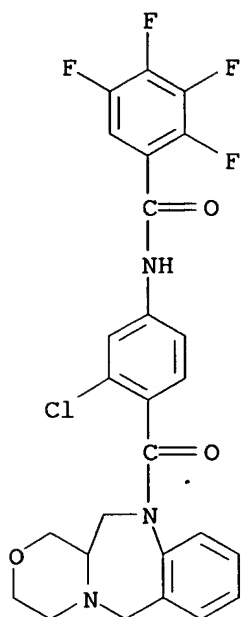
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3,4,5-tetrafluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-23-1

CMF C26 H20 Cl F4 N3 O3

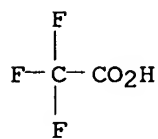
10/775,675



CM 2

CRN 76-05-1

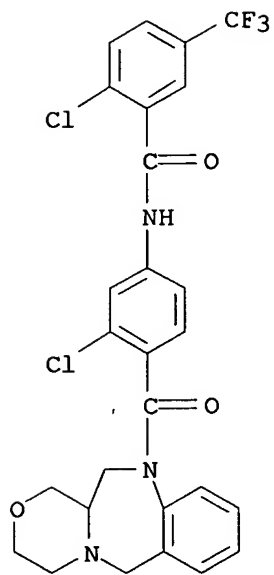
CMF C2 H F3 O2



RN 285559-25-3 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/775,675



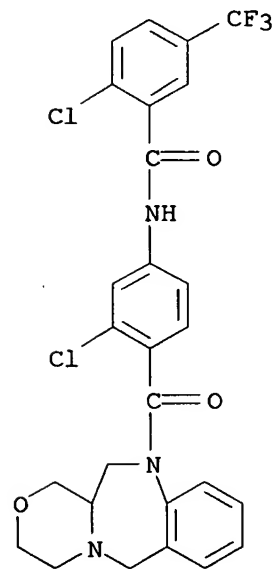
RN 285559-26-4 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-25-3

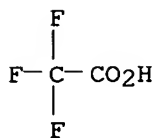
CMF C27 H22 Cl2 F3 N3 O3



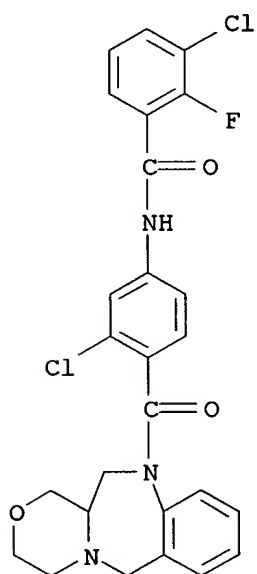
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-27-5 CAPLUS
CN Benzamide, 3-chloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-fluoro-
(9CI) (CA INDEX NAME)

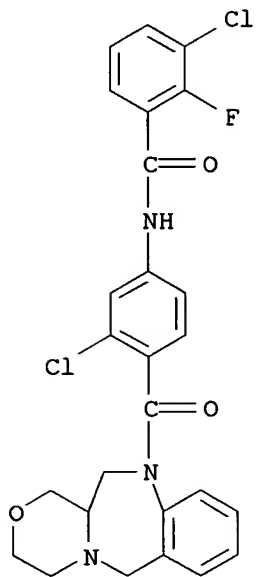


RN 285559-28-6 CAPLUS
CN Benzamide, 3-chloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-fluoro-
, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-27-5
CMF C26 H22 Cl2 F N3 O3

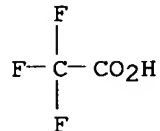
10/775,675



CM 2

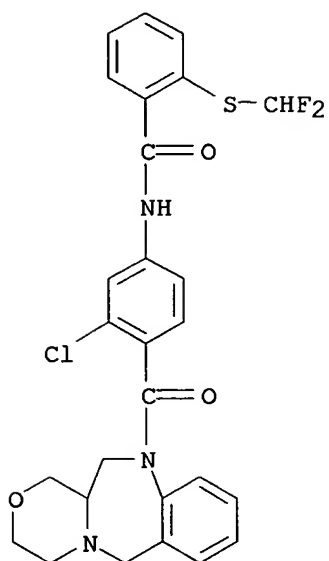
CRN 76-05-1

CMF C2 H F3 O2



RN 285559-29-7 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-[(difluoromethyl)thio]-(9CI) (CA INDEX NAME)



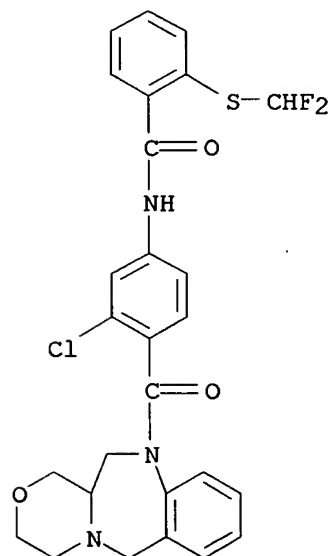
RN 285559-30-0 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-[(difluoromethyl)thio]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-29-7

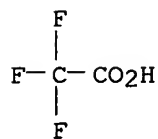
CMF C27 H24 Cl F2 N3 O3 S



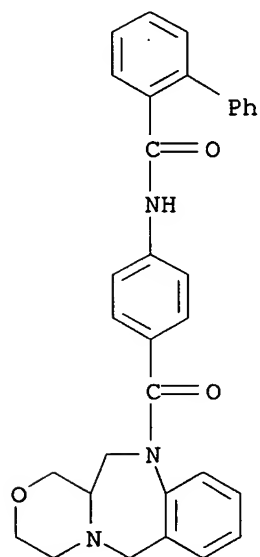
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-31-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

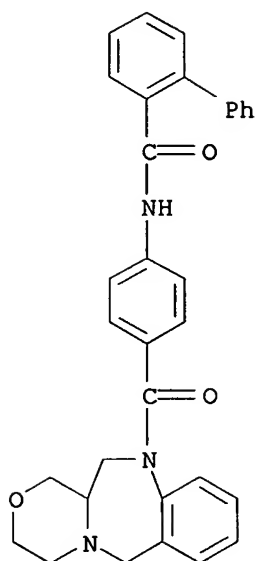


RN 285559-32-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-31-1
CMF C32 H29 N3 O3

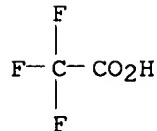
10/775,675



CM 2

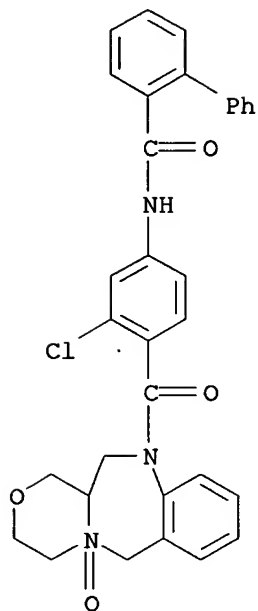
CRN 76-05-1

CMF C2 H F3 O2



RN 285559-33-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-5-oxido-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-(9CI) (CA INDEX NAME)



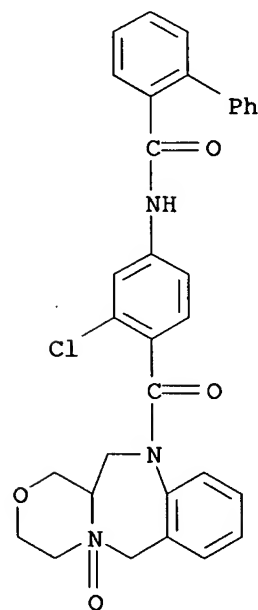
RN 285559-34-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-5-oxido-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-33-3

CMF C32 H28 Cl N3 O4

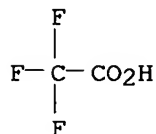


10/775,675

CM 2

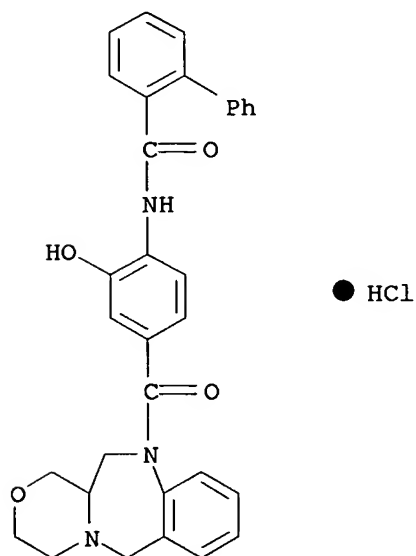
CRN 76-05-1

CMF C2 H F3 O2



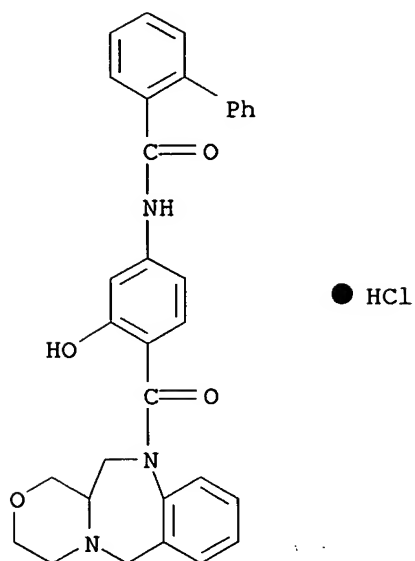
RN 285559-35-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



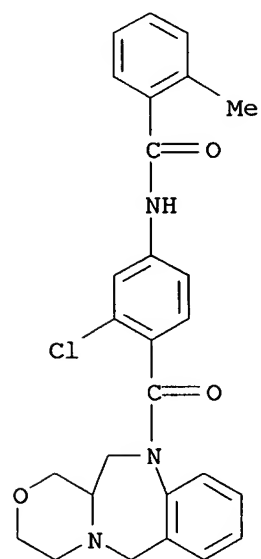
RN 285559-36-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-37-7 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



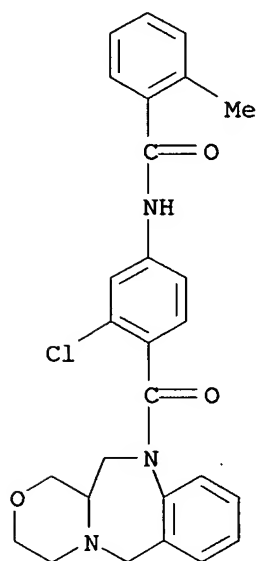
RN 285559-38-8 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

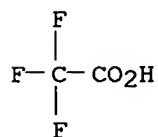
10/775,675

CRN 285559-37-7
CMF C27 H26 Cl N3 O3



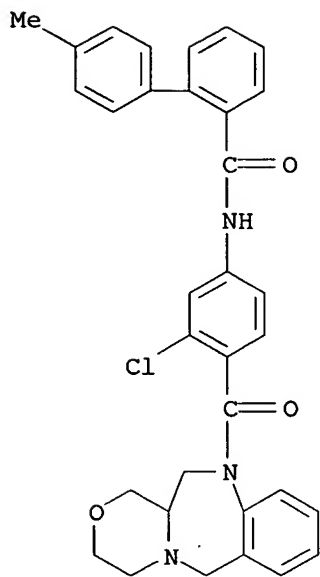
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-39-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl-
(9CI) (CA INDEX NAME)

10/775,675



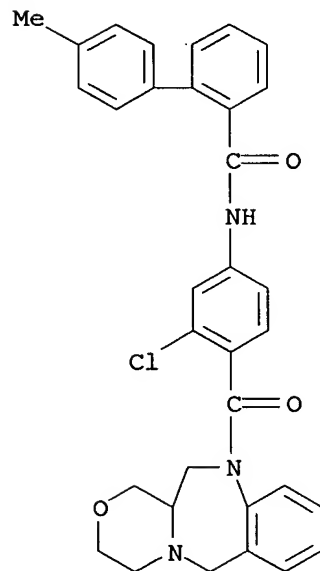
RN 285559-40-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-39-9

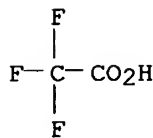
CMF C33 H30 Cl N3 O3



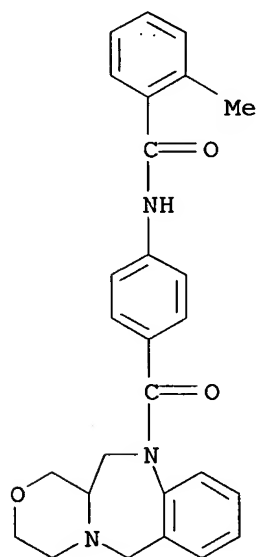
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-41-3 CAPLUS
CN Benzamide, 2-methyl-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

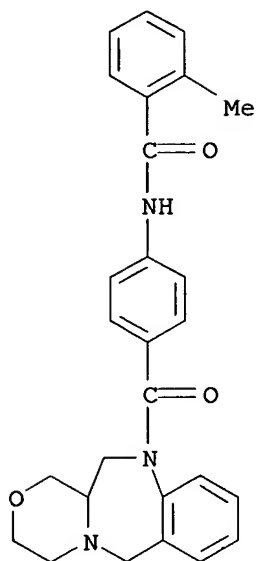


RN 285559-42-4 CAPLUS
CN Benzamide, 2-methyl-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-41-3
CMF C27 H27 N3 O3

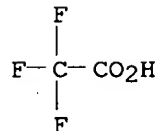
10/775,675



CM 2

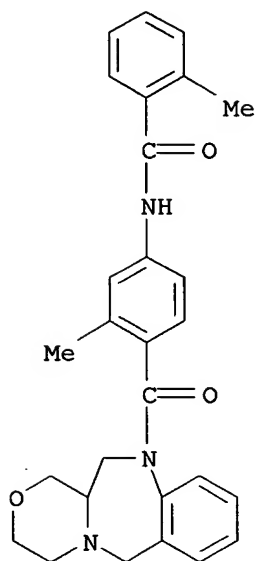
CRN 76-05-1

CMF C2 H F3 O2



RN 285559-43-5 CAPLUS

CN Benzamide, 2-methyl-N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



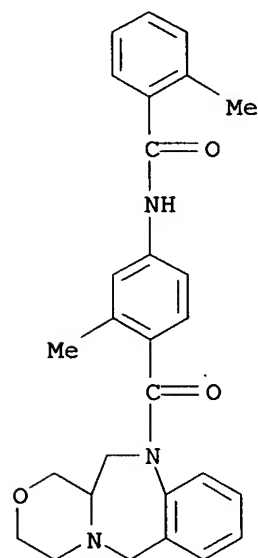
RN 285559-44-6 CAPLUS

CN Benzamide, 2-methyl-N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-43-5

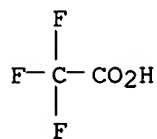
CMF C28 H29 N3 O3



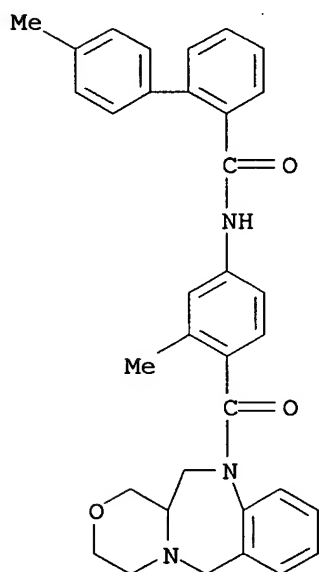
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-45-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-methyl-N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

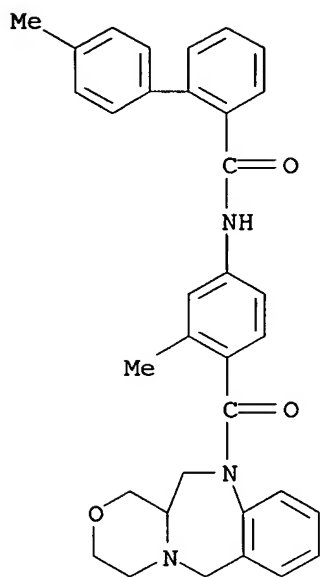


RN 285559-46-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-methyl-N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-45-7
CMF C34 H33 N3 O3

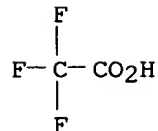
10/775,675



CM 2

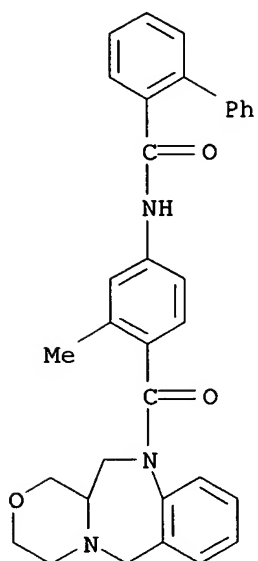
CRN 76-05-1

CMF C2 H F3 O2



RN 285559-47-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



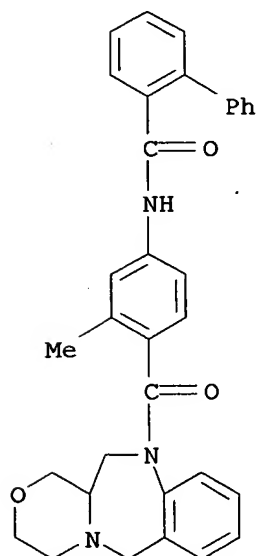
RN 285559-48-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-47-9

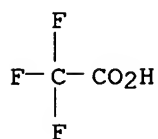
CMF C33 H31 N3 O3



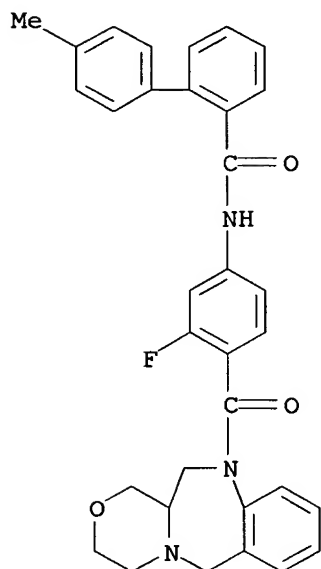
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-49-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-fluoro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl- (9CI) (CA INDEX NAME)

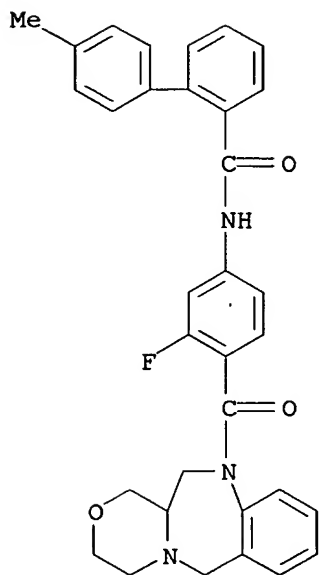


RN 285559-50-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-fluoro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-49-1
CMF C33 H30 F N3 O3

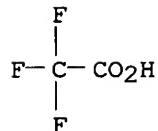
10/775,675



CM 2

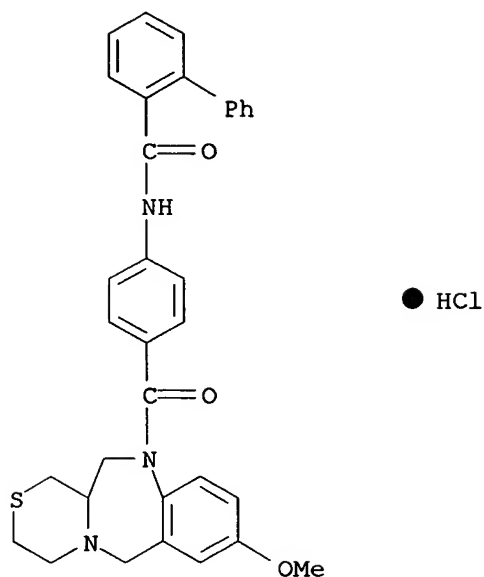
CRN 76-05-1

CMF C2 H F3 O2



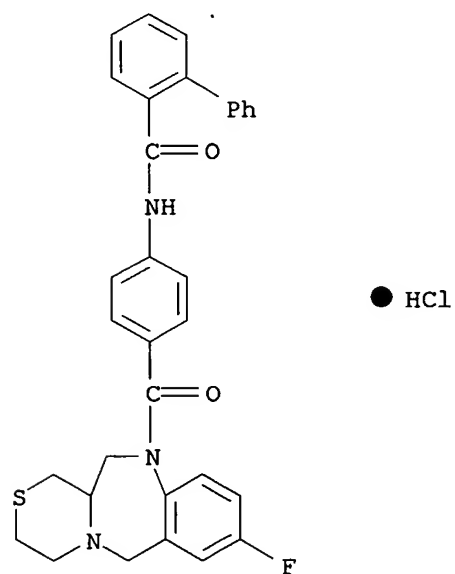
RN 285559-52-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8-methoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



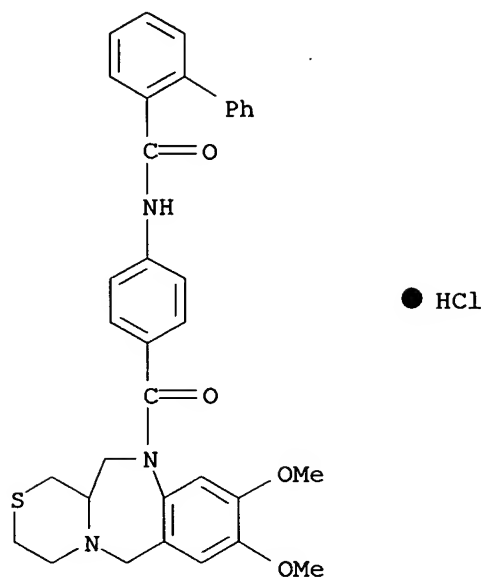
RN 285559-53-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8-fluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



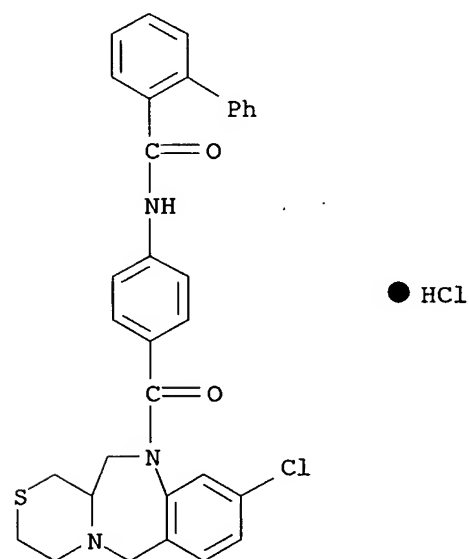
RN 285559-55-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8,9-dimethoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



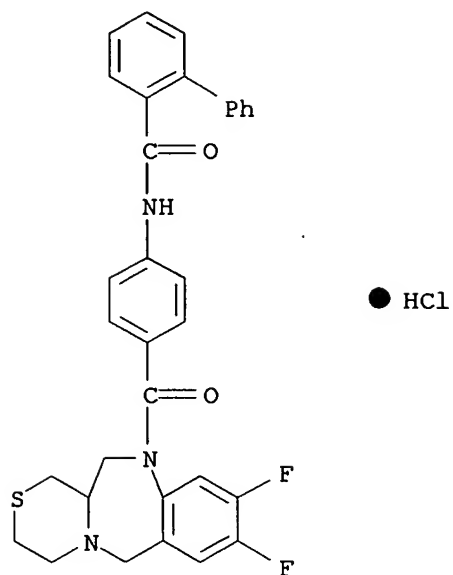
RN 285559-56-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(9-chloro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



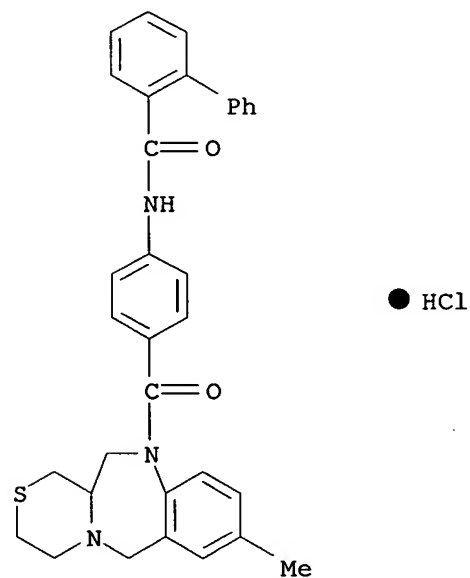
RN 285559-57-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8,9-difluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



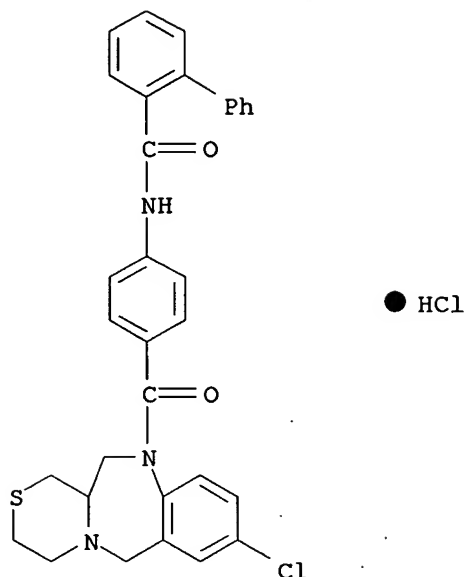
RN 285559-59-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8-methyl-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



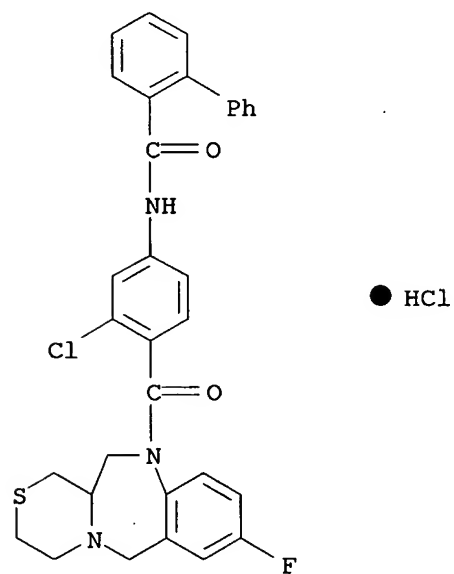
RN 285559-60-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8-chloro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



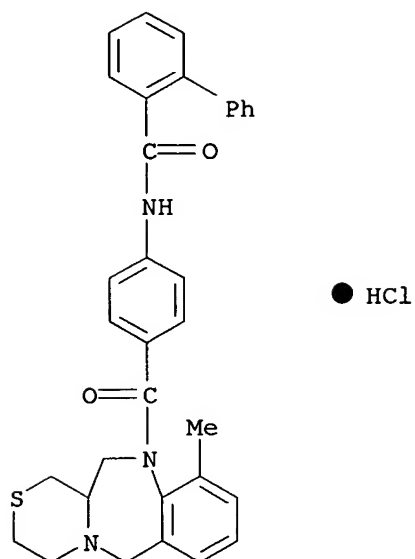
RN 285559-61-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(8-fluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



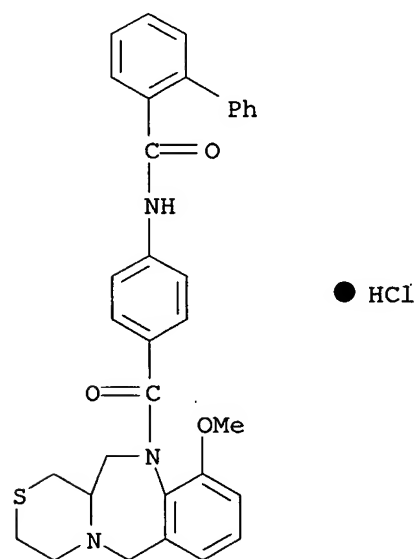
RN 285559-62-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-10-methyl-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



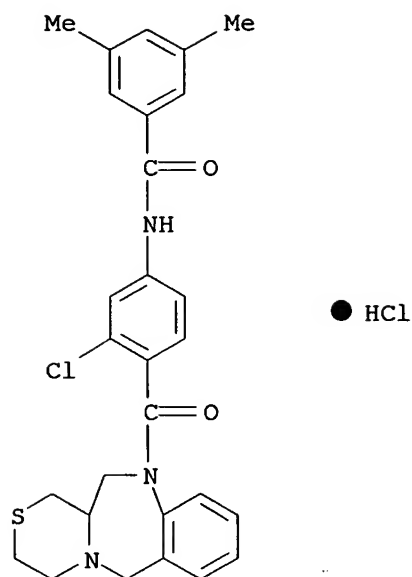
RN 285559-63-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-10-methoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



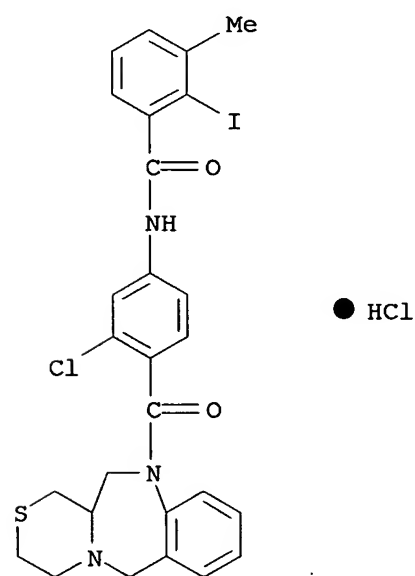
RN 285559-64-0 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3,5-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



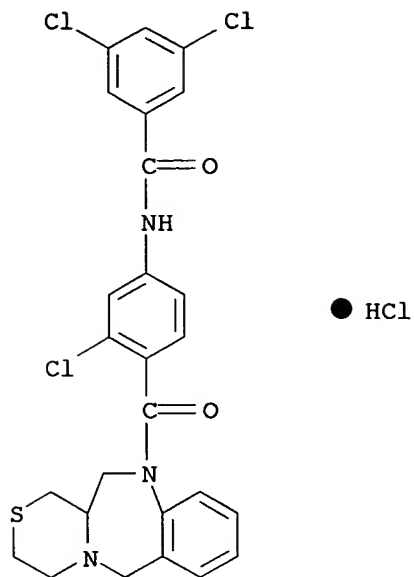
RN 285559-65-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-iodo-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



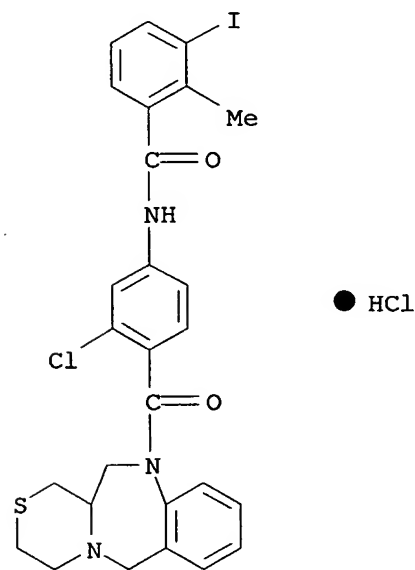
RN 285559-66-2 CAPLUS

CN Benzamide, 3,5-dichloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



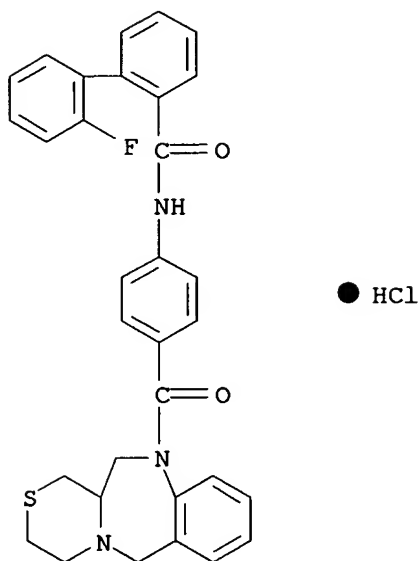
RN 285559-67-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3-iodo-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-68-4 CAPLUS

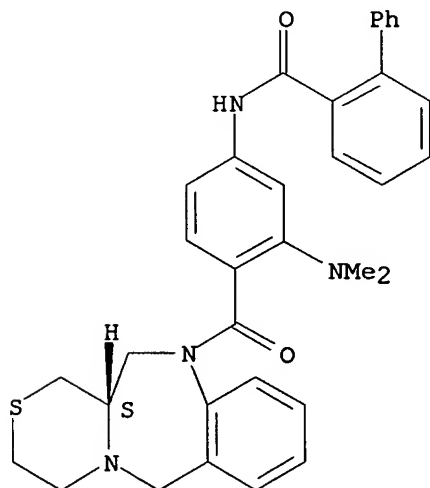
CN [1,1'-Biphenyl]-2-carboxamide, 2'-fluoro-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-69-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-(dimethylamino)-4-[[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

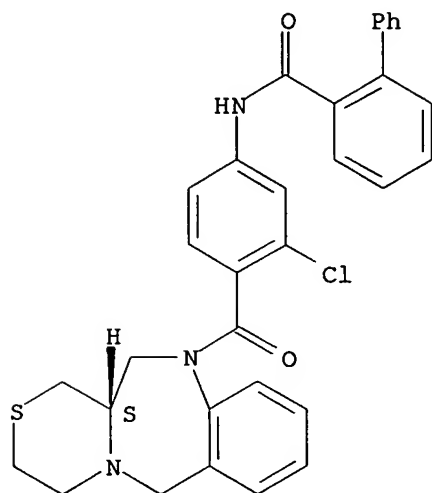


RN 285559-70-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/775,675

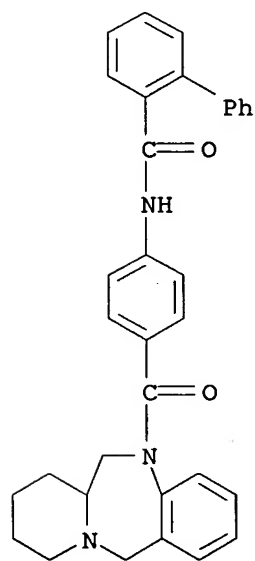
Absolute stereochemistry. Rotation (+).



● HCl

RN 285559-84-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(6,6a,7,8,9,10-hexahydropyrido[2,1-c][1,4]benzodiazepin-5(12H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

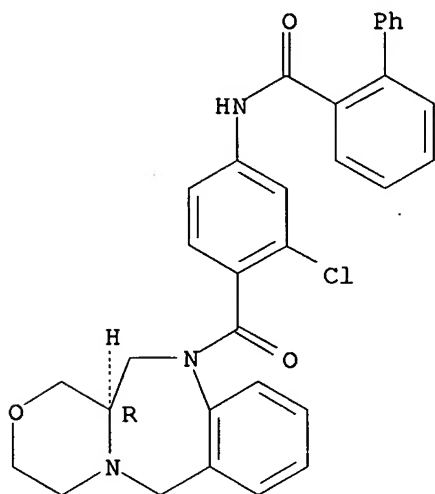


RN 285559-85-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(12aR)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

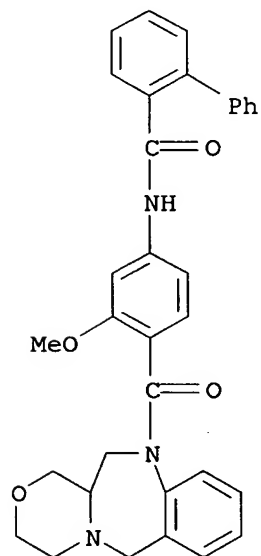
10/775,675

Absolute stereochemistry. Rotation (-).



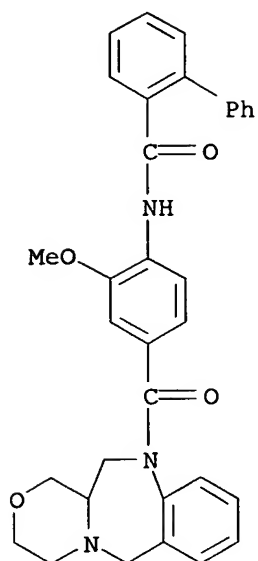
RN 285559-86-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-methoxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



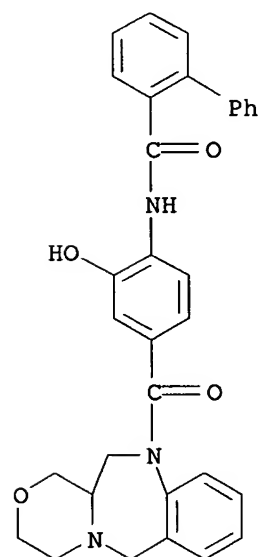
RN 285559-87-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-methoxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285559-88-8 CAPLUS

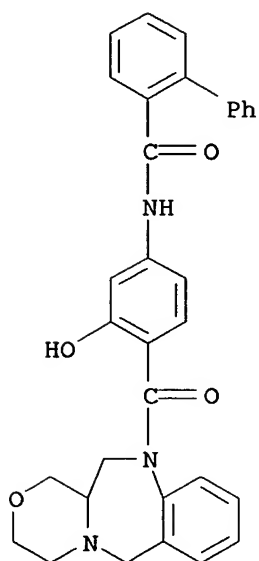
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285559-89-9 CAPLUS

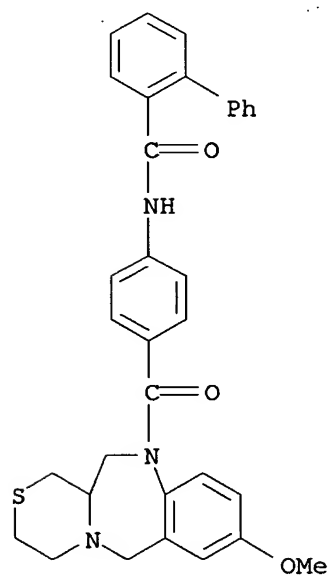
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

10/775,675



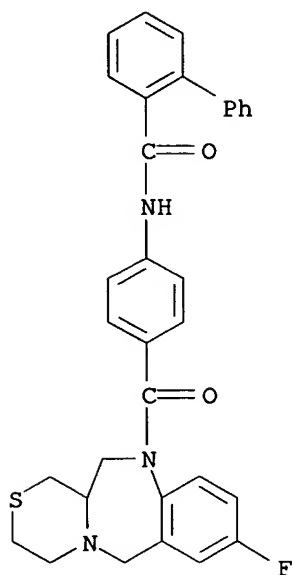
RN 285559-90-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8-methoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



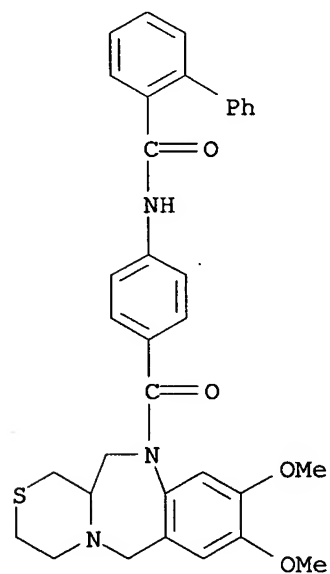
RN 285559-91-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8-fluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



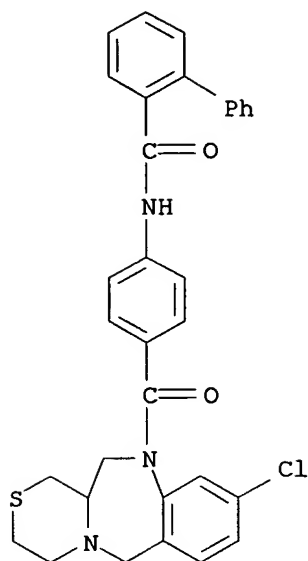
RN 285559-92-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8,9-dimethoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-
(9CI) (CA INDEX NAME)



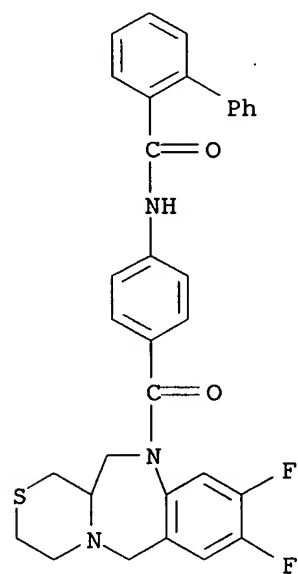
RN 285559-93-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(9-chloro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-
(9CI) (CA INDEX NAME)



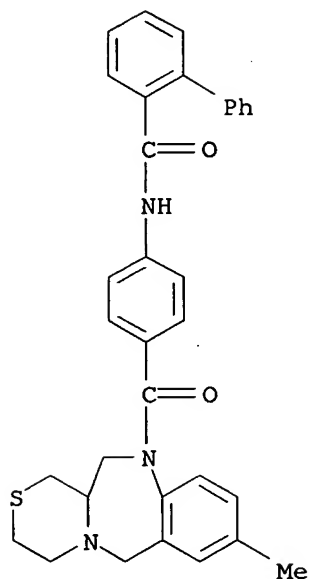
RN 285559-94-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8,9-difluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-(9CI) (CA INDEX NAME)



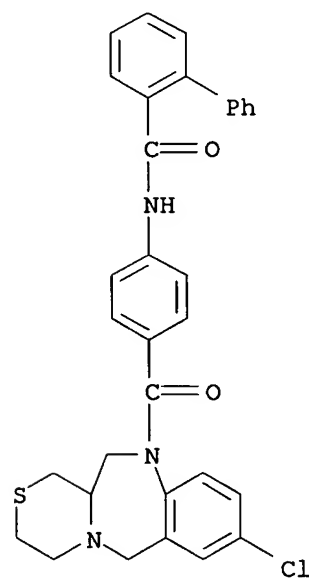
RN 285559-95-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8-methyl-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-(9CI) (CA INDEX NAME)



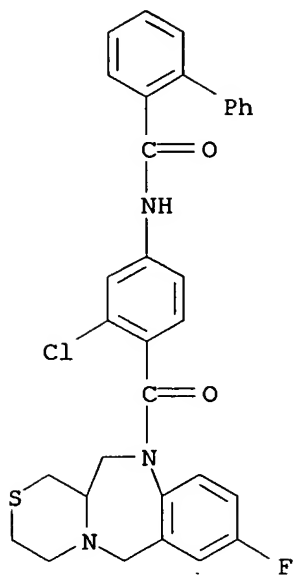
RN 285559-96-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8-chloro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



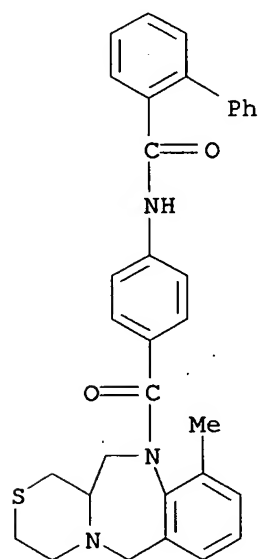
RN 285559-97-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(8-fluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



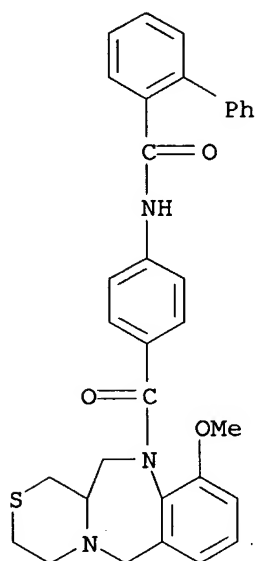
RN 285559-98-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-10-methyl-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



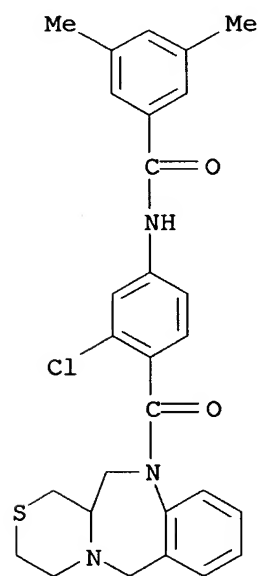
RN 285559-99-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-10-methoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



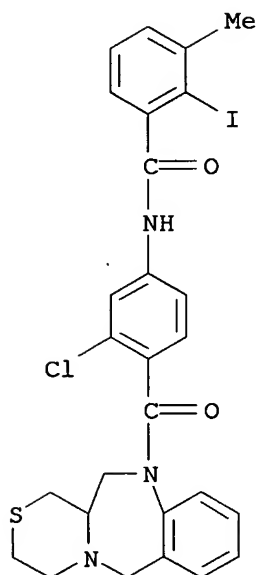
RN 285560-00-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



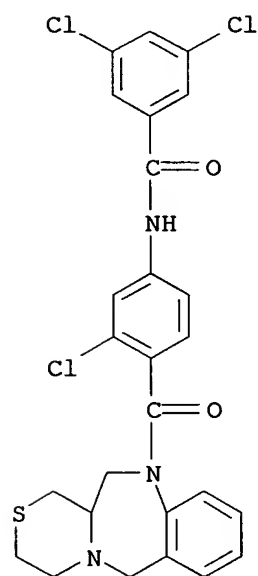
RN 285560-01-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-iodo-3-methyl- (9CI) (CA INDEX NAME)



RN 285560-02-3 CAPLUS

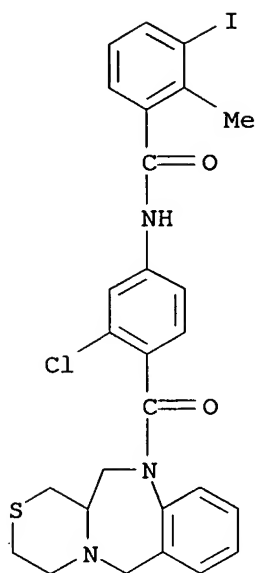
CN Benzamide, 3,5-dichloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285560-03-4 CAPLUS

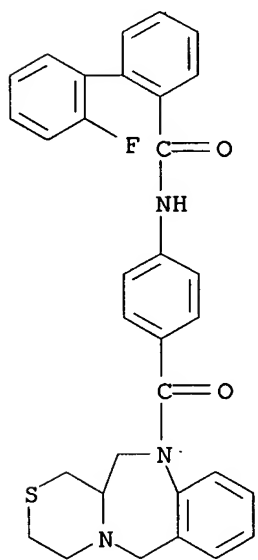
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3-iodo-2-methyl- (9CI)
(CA INDEX NAME)

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RN 285560-04-5 CAPLUS

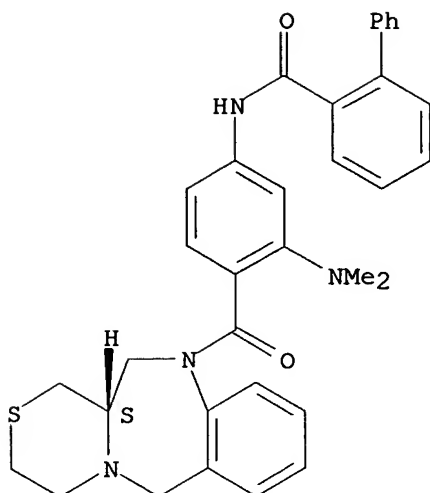
CN [1,1'-Biphenyl]-2-carboxamide, 2'-fluoro-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285560-05-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-(dimethylamino)-4-[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

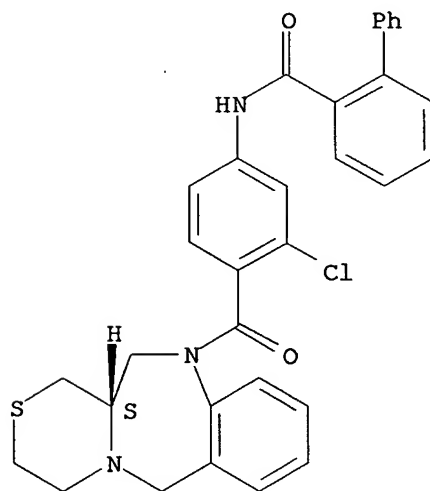
Absolute stereochemistry.



RN 285560-06-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[1,1'-biphenyl]-2-carboxamido]phenyl]-2-phenyl-1,4-benzodiazepine-11(6H)-carboxamide (9CI) (CA INDEX NAME)

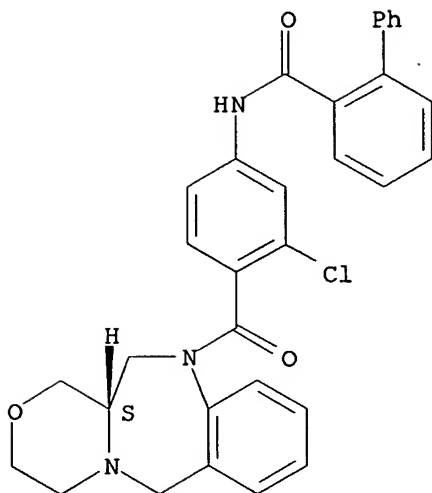
Absolute stereochemistry. Rotation (+).



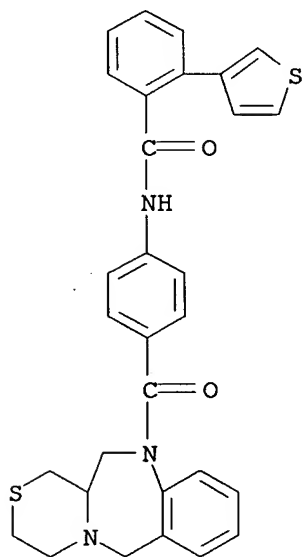
RN 285571-93-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[1,1'-biphenyl]-2-carboxamido]phenyl]-2-phenyl-1,4-benzodiazepine-11(6H)-carboxamide (9CI) (CA INDEX NAME)

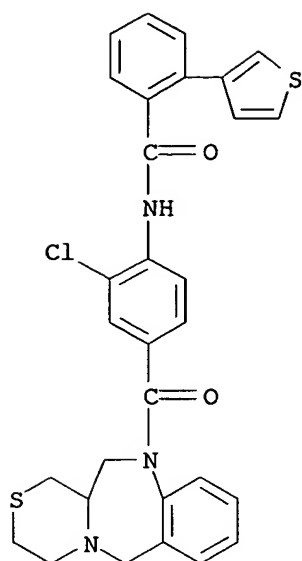
Absolute stereochemistry. Rotation (+).



RN 444162-28-1 CAPLUS
 CN Benzamide, N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-(3-thienyl)- (9CI) (CA INDEX NAME)

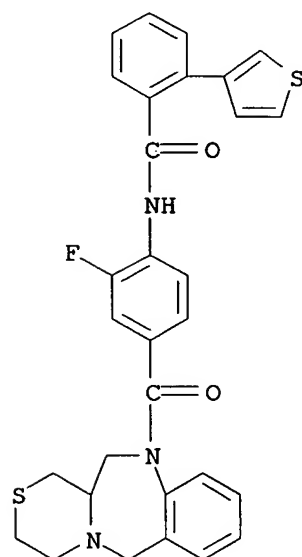


RN 444162-30-5 CAPLUS
 CN Benzamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-(3-thienyl)- (9CI) (CA INDEX NAME)



RN 444162-32-7 CAPLUS

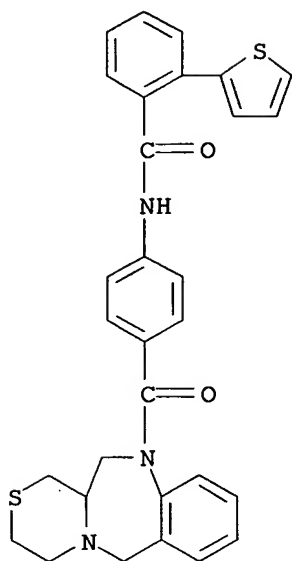
CN Benzamide, N-[2-fluoro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-(3-thienyl)- (9CI) (CA INDEX NAME)



RN 444162-34-9 CAPLUS

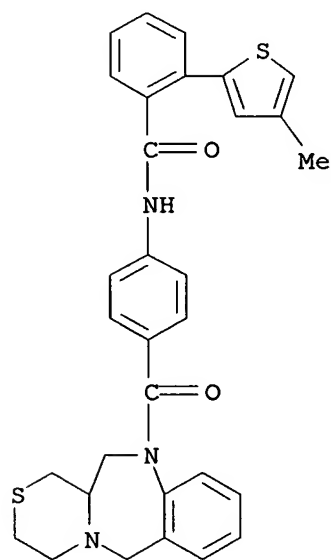
CN Benzamide, N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-(2-thienyl)- (9CI) (CA INDEX NAME)

10/775,675



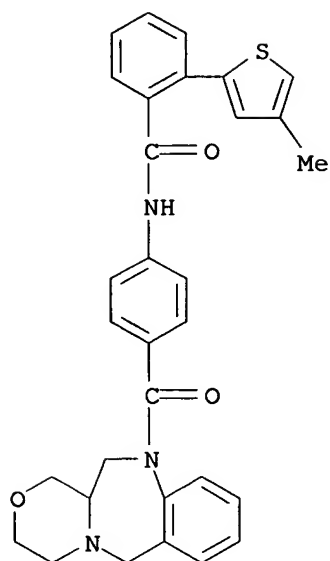
RN 444162-36-1 CAPLUS

CN Benzamide, 2-(4-methyl-2-thienyl)-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



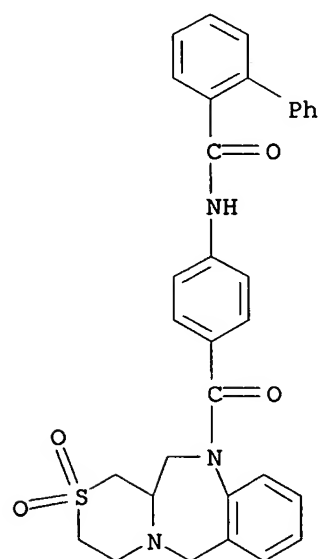
RN 444162-38-3 CAPLUS

CN Benzamide, 2-(4-methyl-2-thienyl)-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 444162-40-7 CAPLUS

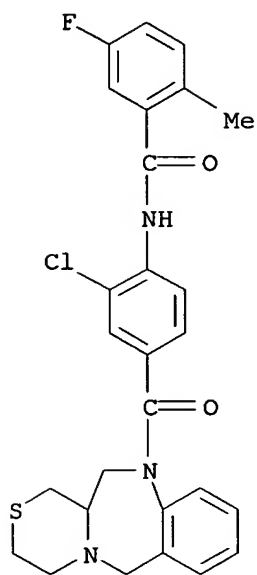
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-2,2-dioxido-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 444162-42-9 CAPLUS

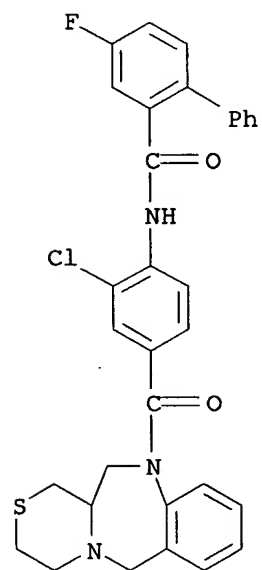
CN Benzamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (9CI)
(CA INDEX NAME)

10/775,675



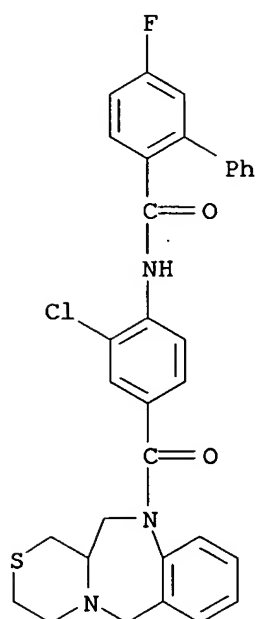
RN 444162-44-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4-fluoro-
(9CI) (CA INDEX NAME)



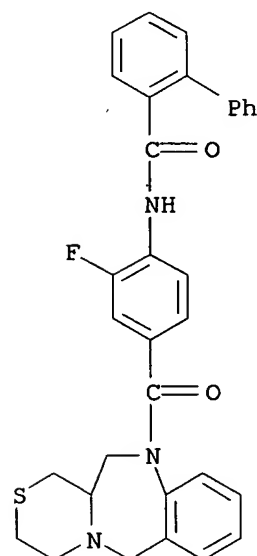
RN 444162-46-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-fluoro-
(9CI) (CA INDEX NAME)



RN 444162-48-5 CAPLUS

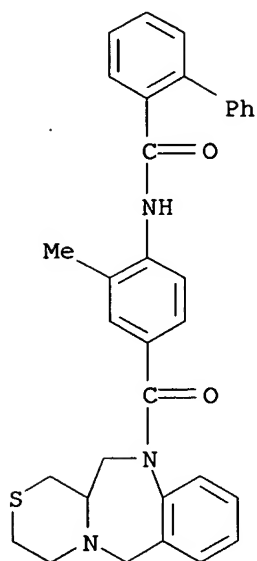
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-fluoro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 444162-50-9 CAPLUS

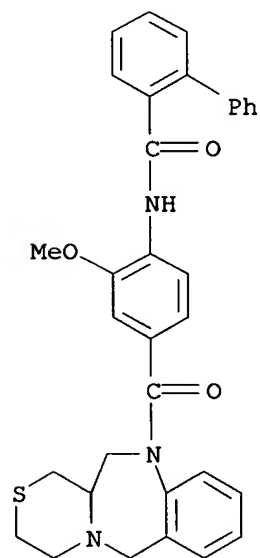
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

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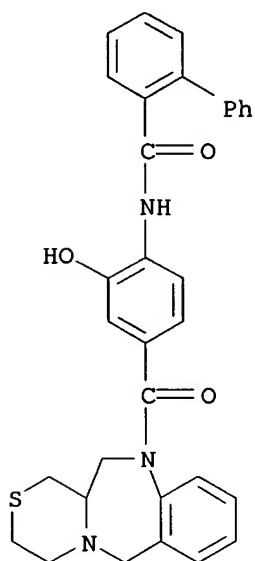
RN 444162-52-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-methoxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



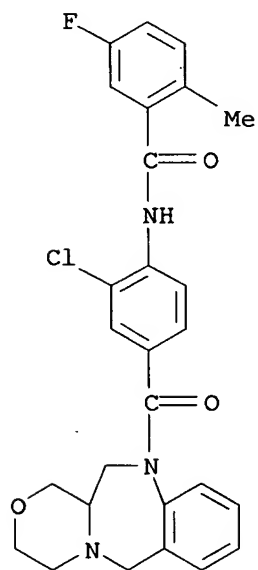
RN 444162-54-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



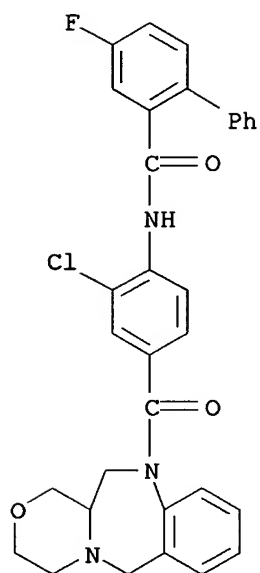
RN 444162-55-4 CAPLUS

CN Benzamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (9CI)
(CA INDEX NAME)



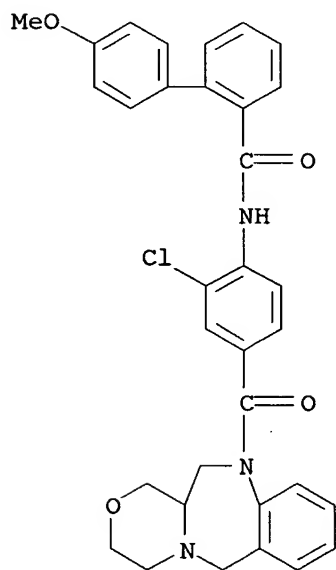
RN 444162-56-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 444162-57-6 CAPLUS

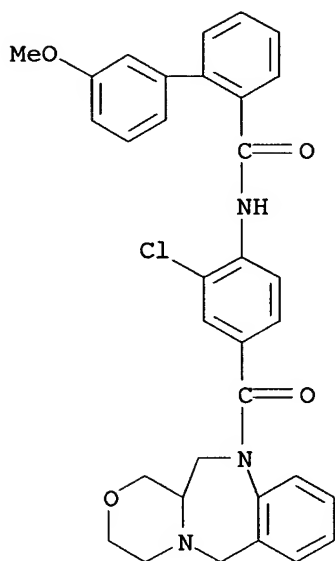
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methoxy- (9CI) (CA INDEX NAME)



RN 444162-59-8 CAPLUS

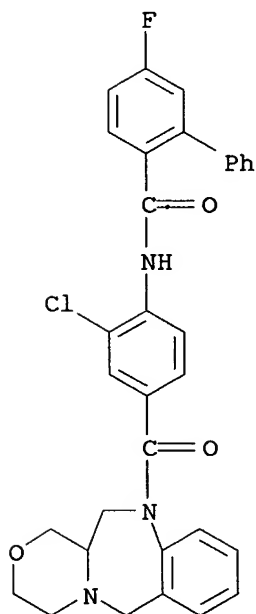
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3'-methoxy- (9CI) (CA INDEX NAME)

10/775,675



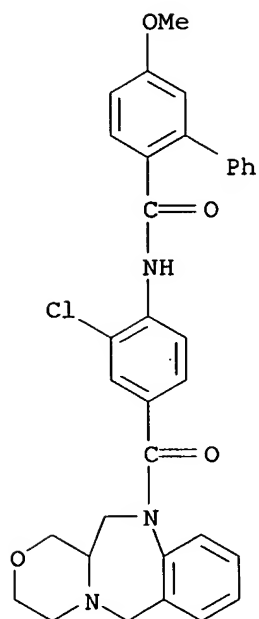
RN 444162-61-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-fluoro-(9CI) (CA INDEX NAME)



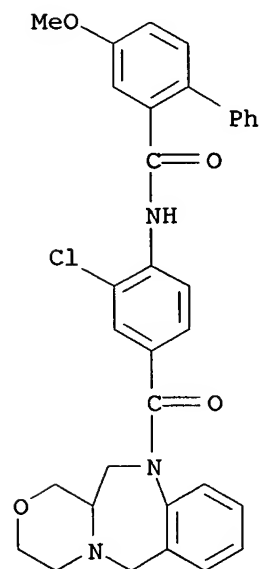
RN 444162-63-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-methoxy-(9CI) (CA INDEX NAME)



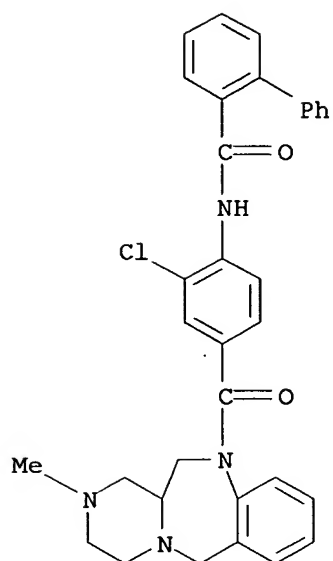
RN 444162-65-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



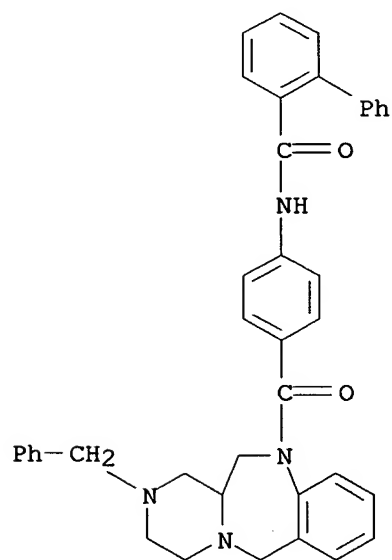
RN 444162-67-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



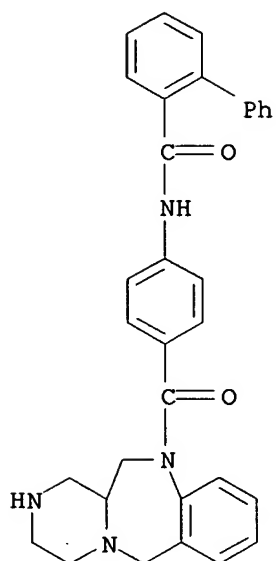
RN 444162-69-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[1,2,3,4,12,12a-hexahydro-2-(phenylmethyl)pyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-(9CI) (CA INDEX NAME)



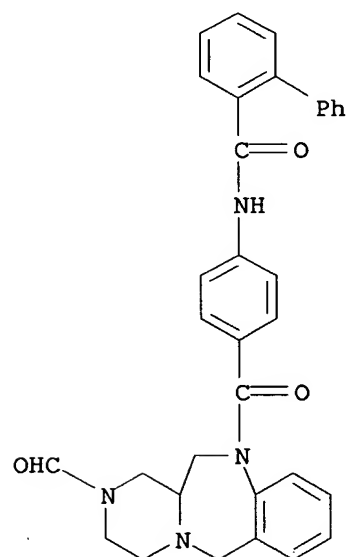
RN 444162-71-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydropyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-(9CI) (CA INDEX NAME)



RN 444162-73-6 CAPLUS

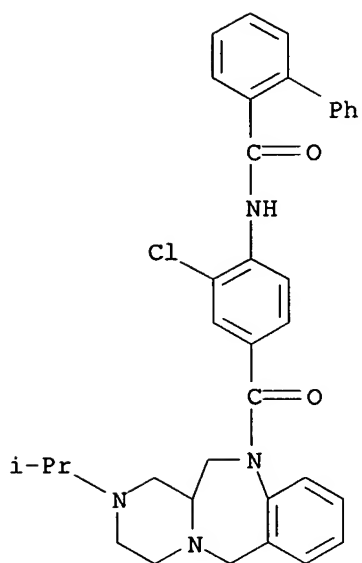
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(2-formyl-1,2,3,4,12,12a-hexahydropyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 444162-75-8 CAPLUS

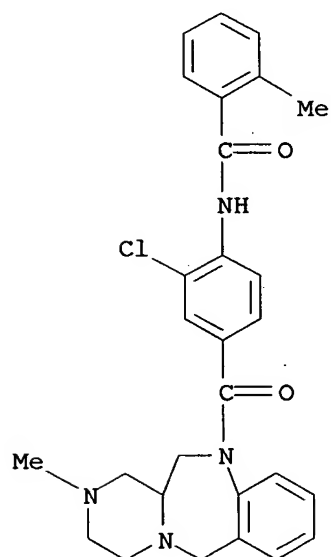
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[[1,2,3,4,12,12a-hexahydro-2-(1-methylethyl)pyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

10/775,675



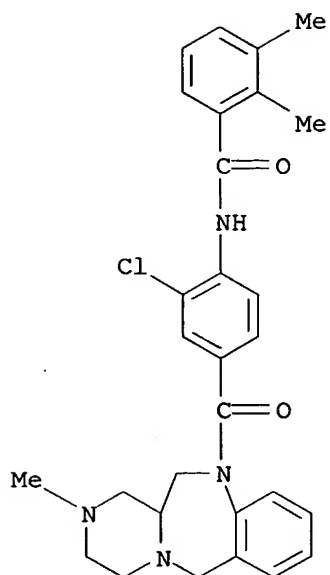
RN 444162-77-0 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



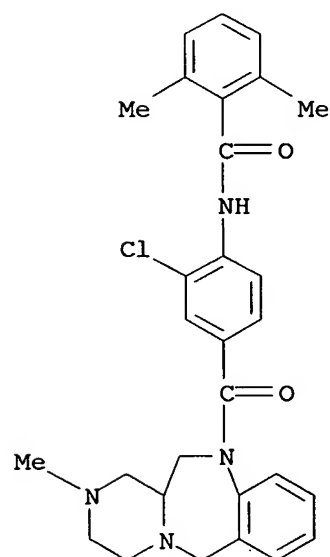
RN 444162-79-2 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2,3-dimethylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



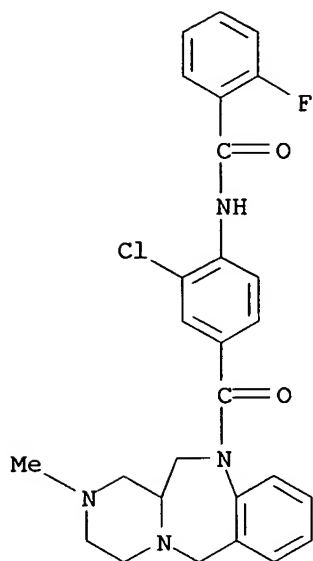
RN 444162-81-6 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



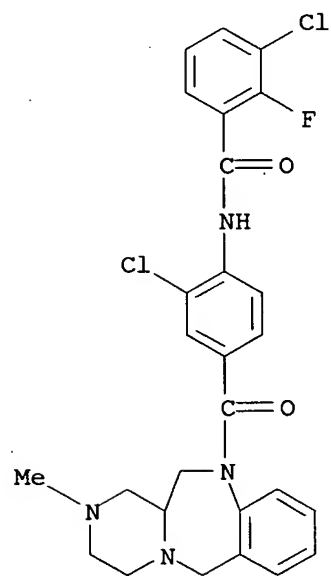
RN 444162-83-8 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 444162-85-0 CAPLUS

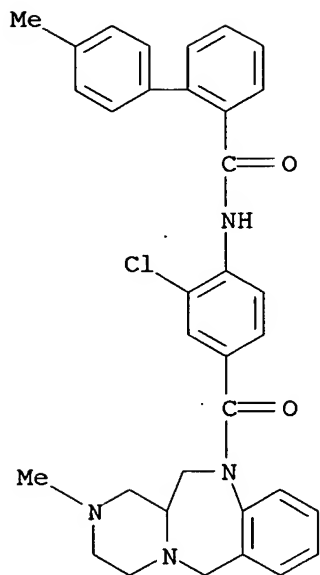
CN Benzamide, 3-chloro-N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 444162-87-2 CAPLUS

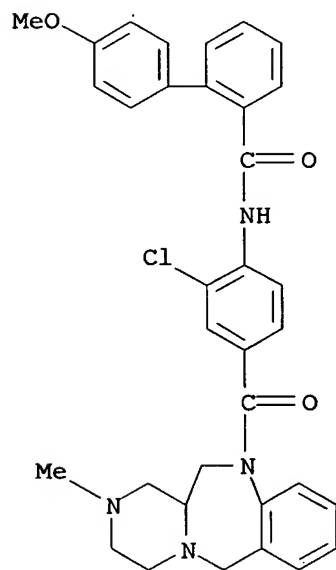
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl- (9CI) (CA INDEX NAME)

10/775,675



RN 444162-89-4 CAPLUS

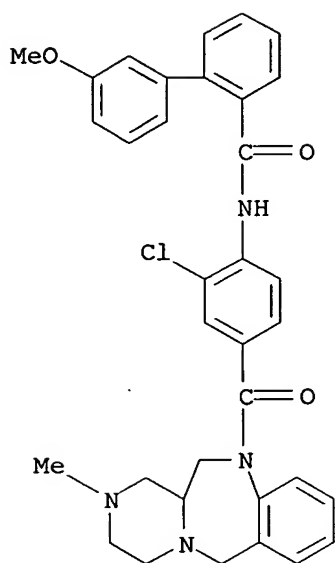
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methoxy- (9CI) (CA INDEX NAME)



RN 444162-91-8 CAPLUS

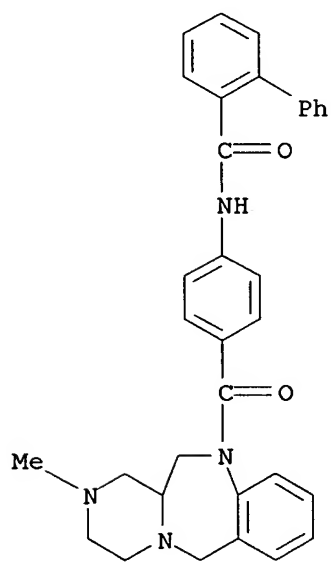
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3'-methoxy- (9CI) (CA INDEX NAME)

10/775,675



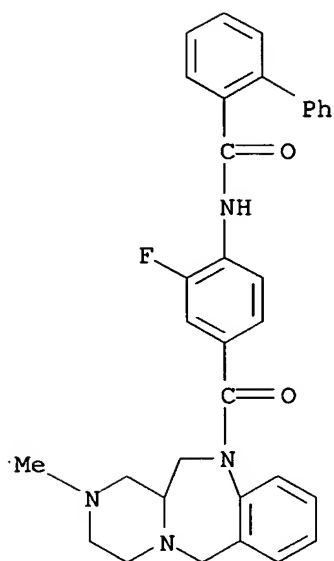
RN 444162-93-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



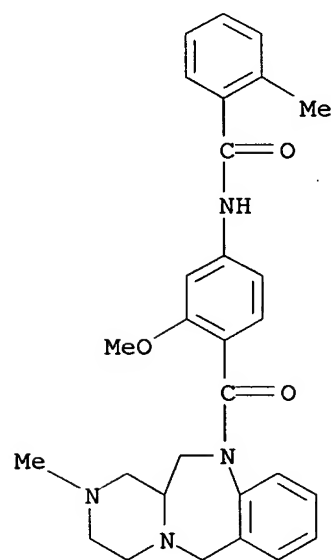
RN 444162-95-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-fluoro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



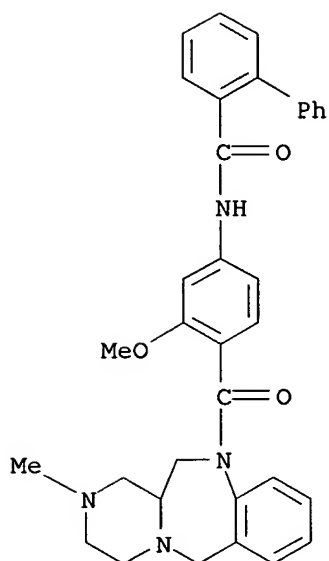
RN 444162-97-4 CAPLUS

CN Benzamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (9CI)
(CA INDEX NAME)



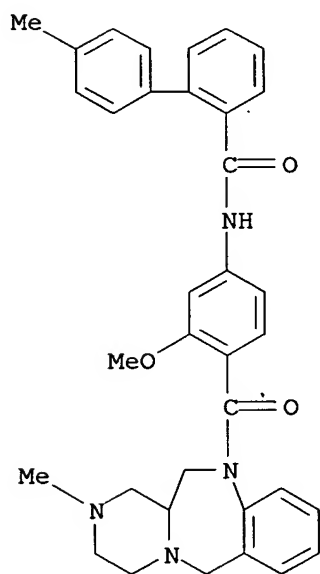
RN 444162-99-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)



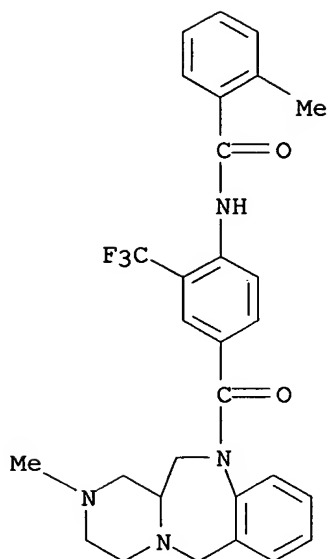
RN 444163-01-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3-methoxyphenyl]-4'-methyl- (9CI) (CA INDEX NAME)



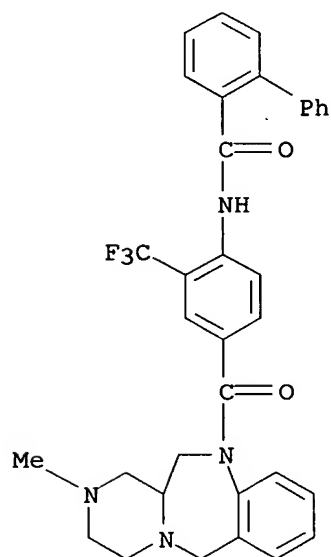
RN 444163-03-5 CAPLUS

CN Benzamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-2-(trifluoromethyl)phenyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 444163-05-7 CAPLUS

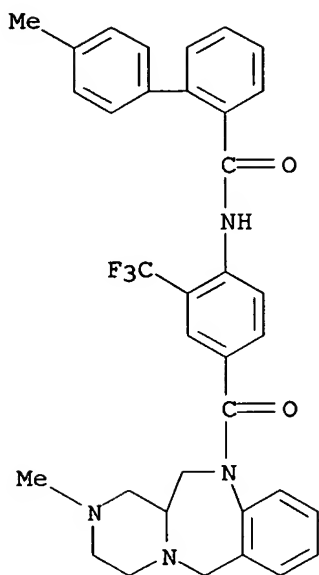
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 444163-07-9 CAPLUS

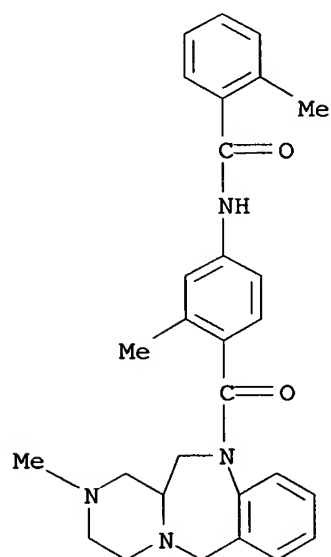
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-2-(trifluoromethyl)phenyl]-4'-methyl- (9CI) (CA INDEX NAME)

10/775,675



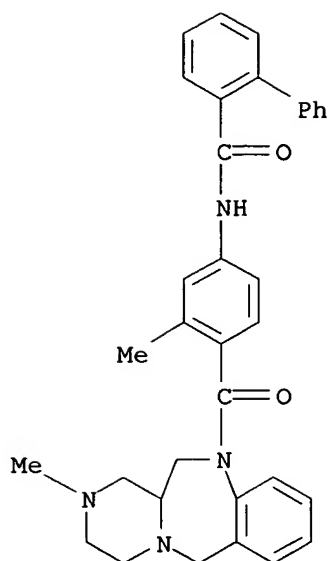
RN 444163-09-1 CAPLUS

CN Benzamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3-methylphenyl]-2-methyl- (9CI)
(CA INDEX NAME)



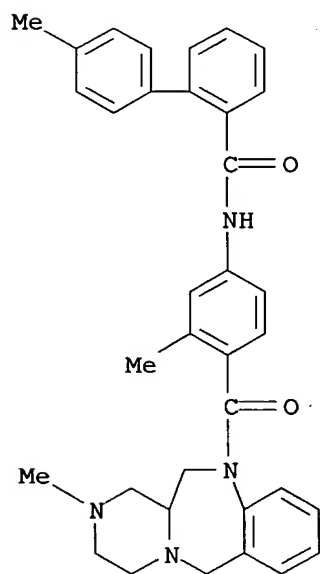
RN 444163-11-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3-methylphenyl]- (9CI) (CA INDEX NAME)



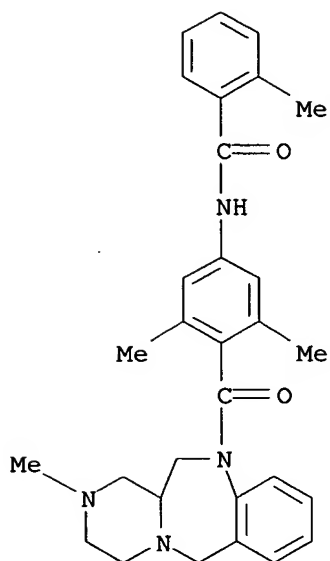
RN 444163-13-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3-methylphenyl]-4'-methyl- (9CI) (CA INDEX NAME)



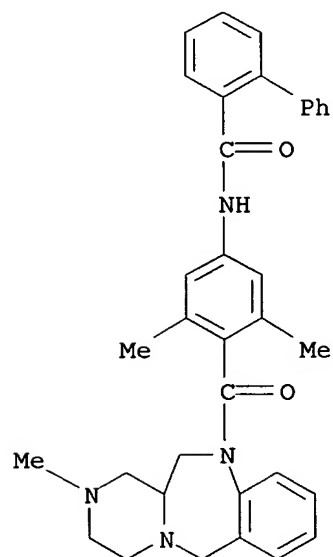
RN 444163-15-9 CAPLUS

CN Benzamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3,5-dimethylphenyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 444163-17-1 CAPLUS

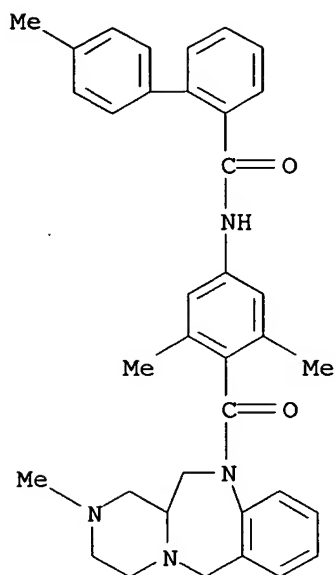
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3,5-dimethylphenyl]- (9CI) (CA INDEX NAME)



RN 444163-19-3 CAPLUS

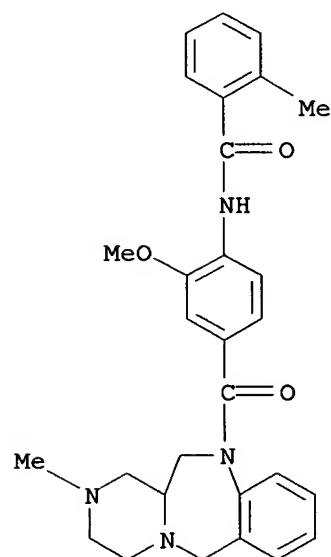
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-3,5-dimethylphenyl]-4'-methyl- (9CI) (CA INDEX NAME)

10/775,675



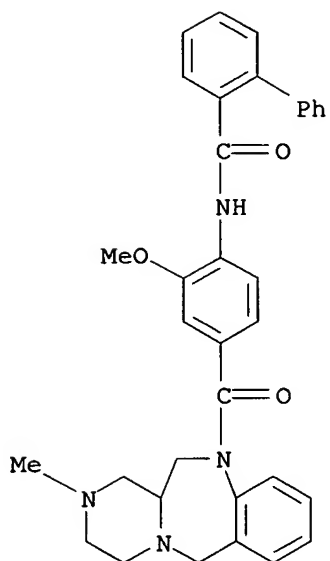
RN 444163-21-7 CAPLUS

CN Benzamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-2-methoxyphenyl]-2-methyl- (9CI)
(CA INDEX NAME)



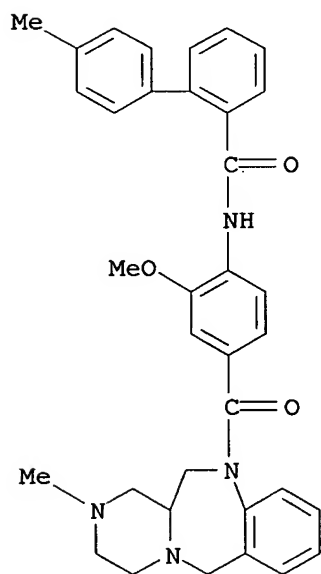
RN 444163-23-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



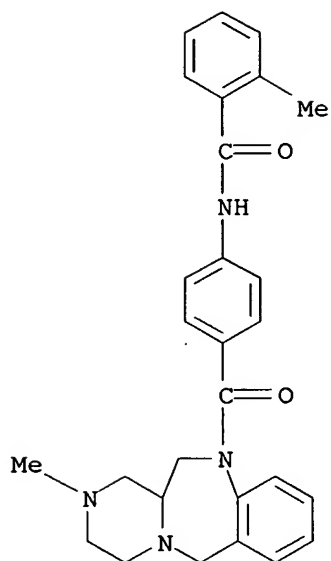
RN 444163-25-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-2-methoxyphenyl]-4'-methyl- (9CI) (CA INDEX NAME)



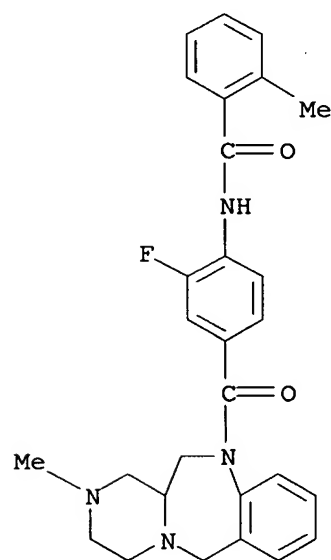
RN 444163-27-3 CAPLUS

CN Benzamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



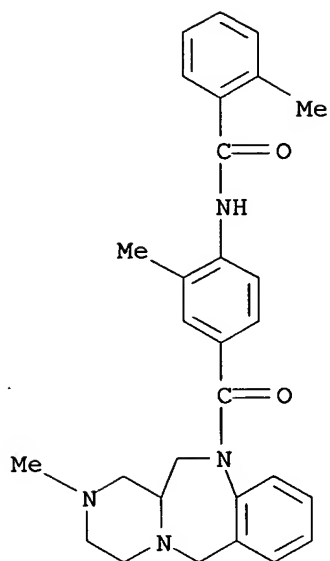
RN 444163-29-5 CAPLUS

CN Benzamide, N-[2-fluoro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



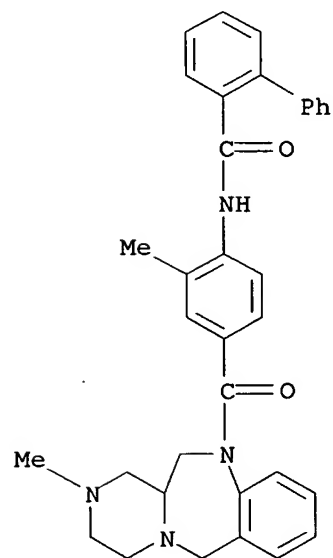
RN 444163-31-9 CAPLUS

CN Benzamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-2-methylphenyl]-2-methyl- (9CI) (CA INDEX NAME)



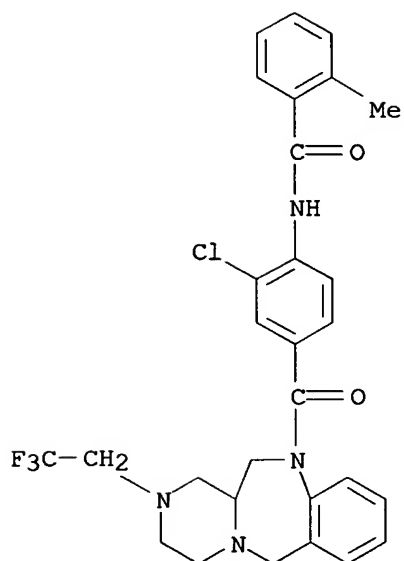
RN 444163-33-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]-2-methylphenyl]- (9CI) (CA INDEX NAME)



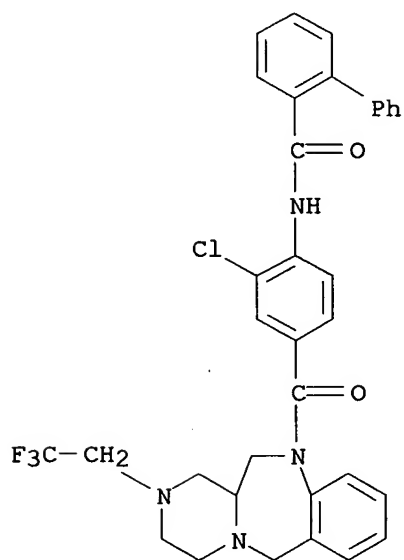
RN 444163-35-3 CAPLUS

CN Benzamide, N-[2-chloro-4-[[1,2,3,4,12,12a-hexahydro-2-(2,2,2-trifluoroethyl)pyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



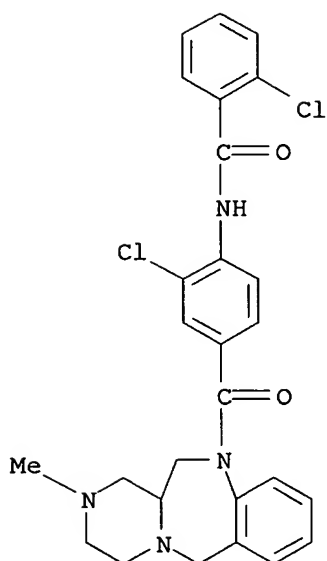
RN 444163-37-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-(2,2,2-trifluoroethyl)pyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



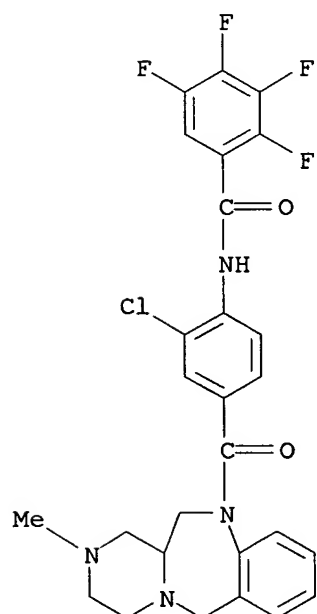
RN 444163-39-7 CAPLUS

CN Benzamide, 2-chloro-N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



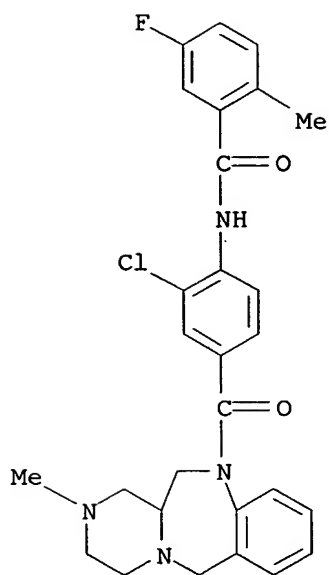
RN 444163-41-1 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3,4,5-tetrafluoro- (9CI)
(CA INDEX NAME)



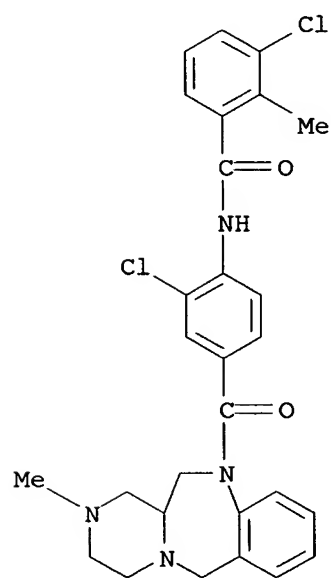
RN 444163-43-3 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (9CI)
(CA INDEX NAME)



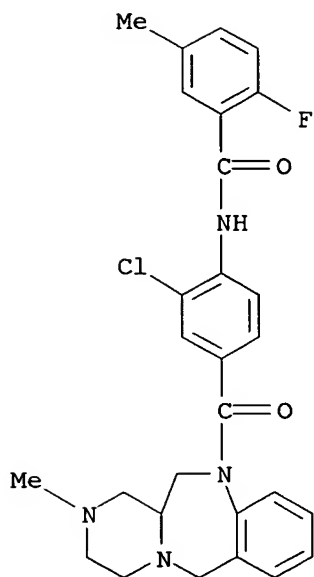
RN 444163-45-5 CAPLUS

CN Benzamide, 3-chloro-N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



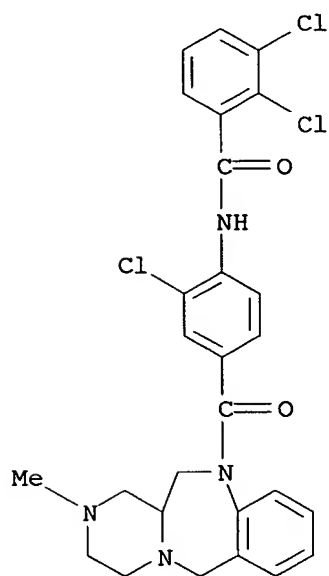
RN 444163-47-7 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-fluoro-5-methyl- (9CI) (CA INDEX NAME)



RN 444163-48-8 CAPLUS

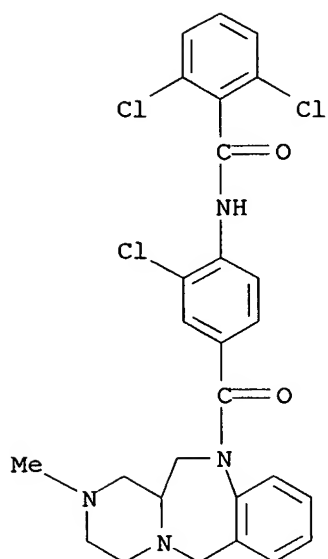
CN Benzamide, 2,3-dichloro-N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 444163-49-9 CAPLUS

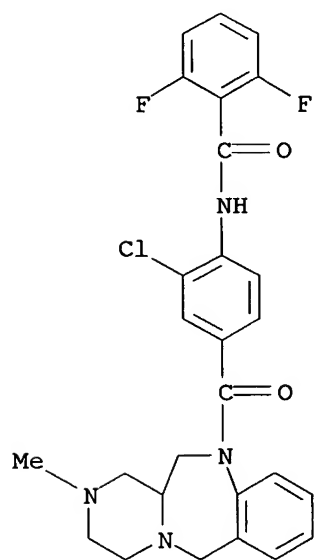
CN Benzamide, 2,6-dichloro-N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

10/775,675



RN 444163-50-2 CAPLUS

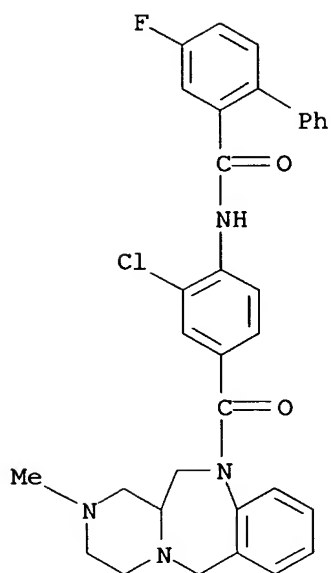
CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



RN 444163-51-3 CAPLUS

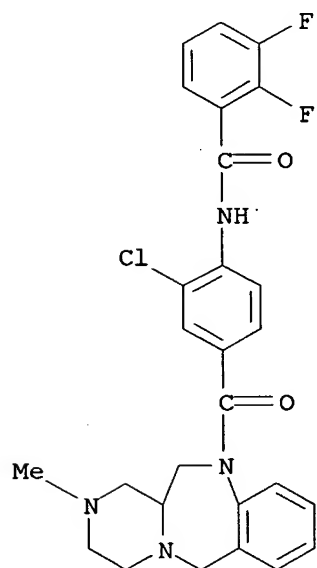
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)

10/775,675



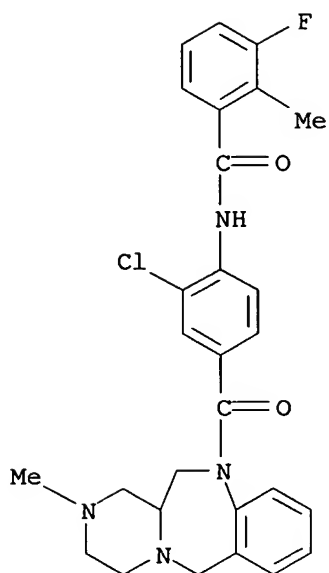
RN 444163-53-5 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3-difluoro- (9CI) (CA INDEX NAME)



RN 444163-56-8 CAPLUS

CN Benzamide, N-[2-chloro-4-[(1,2,3,4,12,12a-hexahydro-2-methylpyrazino[2,1-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (9CI) (CA INDEX NAME)



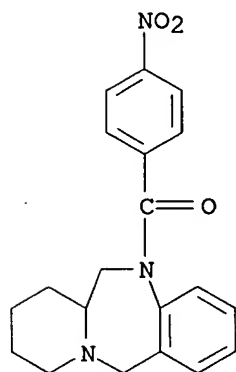
IT 285559-73-1P 285559-74-2P 285559-80-0P
285559-81-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzodiazepines as vasopressin receptor antagonists)

RN 285559-73-1 CAPLUS

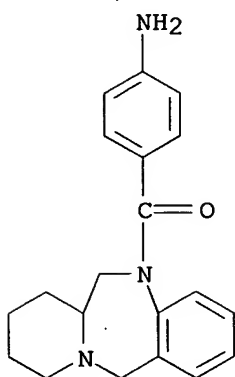
CN Pyrido[2,1-c][1,4]benzodiazepine, 5,6,6a,7,8,9,10,12-octahydro-5-(4-nitrobenzoyl)- (9CI) (CA INDEX NAME)



RN 285559-74-2 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 5-(4-aminobenzoyl)-5,6,6a,7,8,9,10,12-octahydro- (9CI) (CA INDEX NAME)

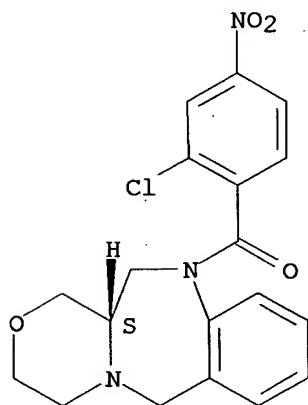
10/775,675



RN 285559-80-0 CAPLUS

CN 1H-[1,4]Oxazino[3,4-c][1,4]benzodiazepine, 11-(2-chloro-4-nitrobenzoyl)-3,4,6,11,12,12a-hexahydro-, (12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

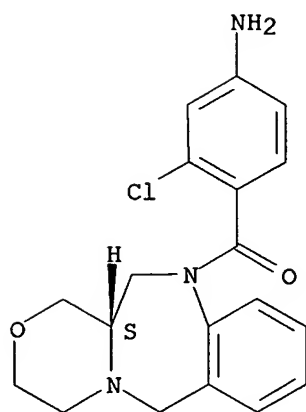


RN 285559-81-1 CAPLUS

CN 1H-[1,4]Oxazino[3,4-c][1,4]benzodiazepine, 11-(4-amino-2-chlorobenzoyl)-3,4,6,11,12,12a-hexahydro-, (12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/775,675



10/7/75, 675

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ACCESSION NUMBER: 2002:504647 CAPLUS

DOCUMENT NUMBER: 137:83636

TITLE: Combination drugs containing NK-1 receptor antagonists and NK-2 receptor antagonists and/or cholinolytics

INVENTOR(S): Doi, Takayuki; Hashimoto, Tadatoshi; Kamo, Izumi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

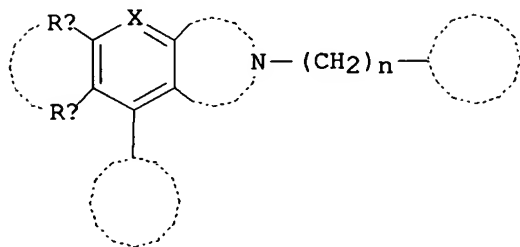
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|-------------|
| WO 2002051440 | A1 | 20020704 | WO 2001-JP11231 | 20011221 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2432543 | AA | 20020704 | CA 2001-2432543 | 20011221 |
| JP 2002249432 | A2 | 20020906 | JP 2001-390486 | 20011221 |
| EP 1352659 | A1 | 20031015 | EP 2001-271853 | 20011221 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| US 2004058914 | A1 | 20040325 | US 2003-451431 | 20030623 |
| PRIORITY APPLN. INFO.: | | | JP 2000-391013 | A 20001222 |
| | | | WO 2001-JP11231 | W. 20011221 |
| OTHER SOURCE(S): | MARPAT 137:83636 | | | |
| GI | | | | |



I

AB Disclosed are drugs useful as preventives and remedies for urinary frequency, urinary incontinence, asthma, chronic obstructive pulmonary disease, rheumatoid arthritis, arthritis deformans, pain, cough, irritable bowel syndrome, vomiting, depression, anxiety, manic-depression or schizophrenia which comprise a combination of an NK-1 receptor antagonist and an NK-2 receptor antagonist and/or a cholinolytic. More specifically,

drugs comprising a combination of a compound represented by the following formula I [wherein the ring M represents a heterocycle having, as the partial structure -X-Y< thereof, -N=C<, -CO-N< or -CS-N<; Ra and Rb are bonded to each other to form the ring A, or Ra and Rb may be the same or different and each represents hydrogen or a substituent in the ring M; the rings A and B are each an optionally substituted homocycle or heterocycle and at least one of them is an optionally substituted heterocycle; the ring C is an optionally substituted homocycle or heterocycle; the ring Z is an optionally substituted nitrogen-containing heterocycle; and n is an integer of 1 to 6], its salt or a prodrug thereof with an NK-2 receptor antagonist and/or a cholinolytic. The effect of (9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]diazocino[2,1-g][1,7] naphthyridine and (±)SR48968 (saredutant) hydrochloride in cyclophosphamide-induced urinary frequency rats were examined

IT 404867-31-8 439696-15-8

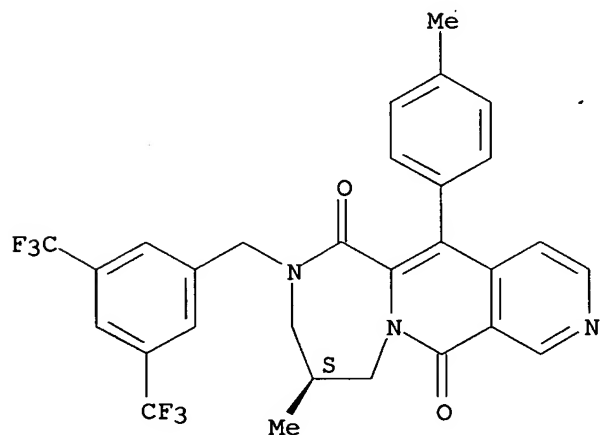
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination drugs containing NK-1 receptor antagonists and NK-2 receptor antagonists and/or cholinolytics)

RN 404867-31-8 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-4-methyl-12-(4-methylphenyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

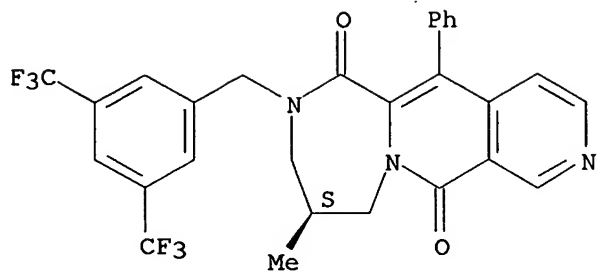


RN 439696-15-8 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-4-methyl-12-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/775,675



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/775,675

119 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:465810 CAPLUS

DOCUMENT NUMBER: 137:46797

TITLE: Diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors

INVENTOR(S): Snyder, James P.; Liotta, Dennis C.; Venkatesan, Hariharan; Wang, Minmin; Davis, Matthew C.

PATENT ASSIGNEE(S): Emory University, USA

SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2

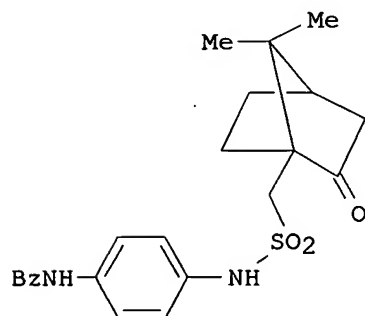
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|------------|
| WO 2002047679 | A2 | 20020620 | WO 2001-US49303 | 20011217 |
| WO 2002047679 | C1 | 20030130 | | |
| WO 2002047679 | A3 | 20030612 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2432825 | AA | 20020620 | CA 2001-2432825 | 20011217 |
| AU 2002031098 | A5 | 20020624 | AU 2002-31098 | 20011217 |
| US 2002128208 | A1 | 20020912 | US 2001-23603 | 20011217 |
| PRIORITY APPLN. INFO.: | | | US 2000-255946P | P 20001215 |
| | | | WO 2001-US49303 | W 20011217 |
| OTHER SOURCE(S): | MARPAT 137:46797 | | | |
| GI | | | | |



I

AB The title compds. were prepared as agonists and/or antagonists of V2, V1a or both receptors, in humans, for use in treating renal dysfunction or hypertension (no data). Thus, the sulfonamide I was obtained by

benzoylating p-phenylenediamine and reaction with (-)-camphorsulfonyl chloride.

IT **438192-55-3P 438192-56-4P**

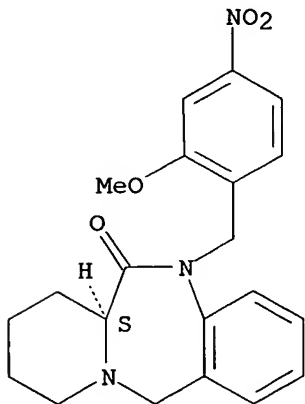
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

RN 438192-55-3 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepin-6(6aH)-one, 5,7,8,9,10,12-hexahydro-5-[(2-methoxy-4-nitrophenyl)methyl]-, (6aS)- (9CI) (CA INDEX NAME)

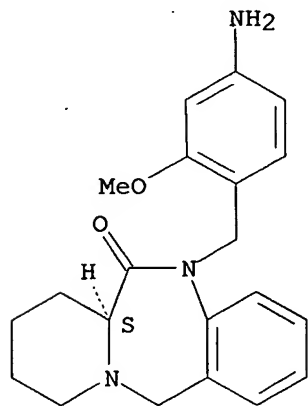
Absolute stereochemistry.



RN 438192-56-4 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepin-6(6aH)-one, 5-[(4-amino-2-methoxyphenyl)methyl]-5,7,8,9,10,12-hexahydro-, (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **438192-53-1P 438192-54-2P 438192-57-5P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

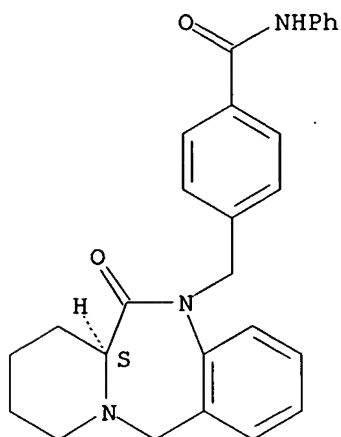
(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

RN 438192-53-1 CAPLUS

10/775,675

CN Benzamide, 4-[[[(6aS)-6,6a,7,8,9,10-hexahydro-6-oxopyrido[2,1-c][1,4]benzodiazepin-5(12H)-yl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)

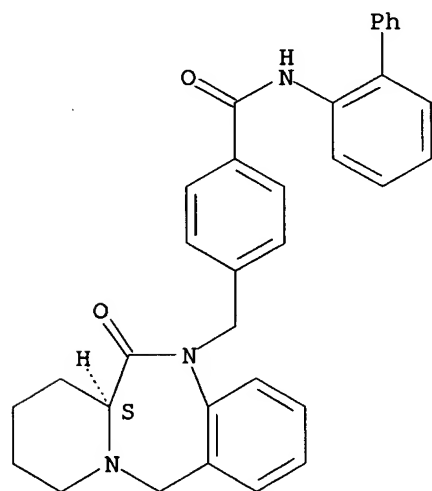
Absolute stereochemistry.



RN 438192-54-2 CAPLUS

CN Benzamide, N-[1,1'-biphenyl]-2-yl-4-[[[(6aS)-6,6a,7,8,9,10-hexahydro-6-oxopyrido[2,1-c][1,4]benzodiazepin-5(12H)-yl]methyl]- (9CI) (CA INDEX NAME)

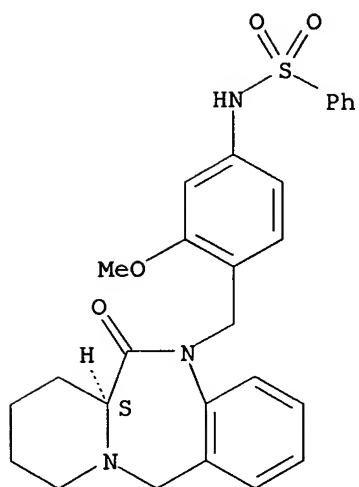
Absolute stereochemistry.



RN 438192-57-5 CAPLUS

CN Benzenesulfonamide, N-[4-[[[(6aS)-6,6a,7,8,9,10-hexahydro-6-oxopyrido[2,1-c][1,4]benzodiazepin-5(12H)-yl]methyl]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/7/5,675

109 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:220552 CAPLUS

DOCUMENT NUMBER: 136:247613

TITLE: Preparation of tricyclic heterocyclic compounds as tachykinin receptor antagonists

INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki; Kamo, Izumi; Shirai, Junya

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

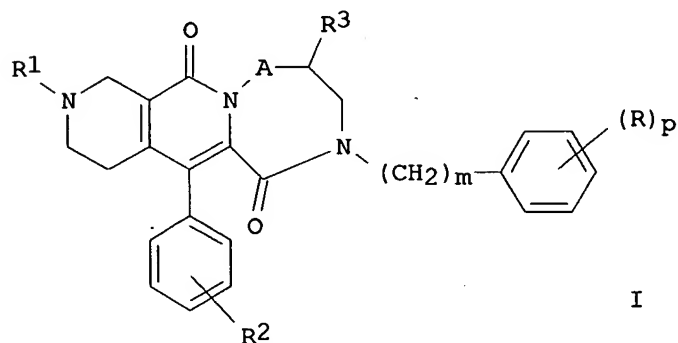
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-------------------|------------|
| WO 2002022574 | A1 | 20020321 | WO 2001-JP7815 | 20010910 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001086188 | A5 | 20020326 | AU 2001-86188 | 20010910 |
| JP 2002155084 | A2 | 20020528 | JP 2001-274336 | 20010910 |
| PRIORITY APPLN. INFO.: | | | JP 2000-280154 | A 20000911 |
| | | | WO 2001-JP7815 | W 20010910 |
| OTHER SOURCE(S): | | | MARPAT 136:247613 | |
| GI | | | | |



AB The title compds. I [A = (CH₂)_n ; R represents hydrogen, halo, etc.; R₁ represents hydrogen, optionally substituted alkyl, aryl, acyl, alkoxy carbonyl, carbamoyl, mono- or dialkylcarbamoyl, or alkylsulfonyl; R₂ represents hydrogen, halogeno, or optionally halogenated alkyl; R₃ represents hydrogen or alkyl; R represents hydrogen, halogeno, optionally halogenated alkyl, or optionally halogenated alkoxy; m is an integer of 0

to 3; n is 1 or 2; and p is an integer of 0 to 3; a proviso is given] are prepared I are useful in the treatment of urination disorder. Processes for preparing I are claimed. In an in vitro test for substance P antagonism, compds. of this invention showed IC₅₀ of 0.0164 nM to 0.0762 nM. Formulations are given.

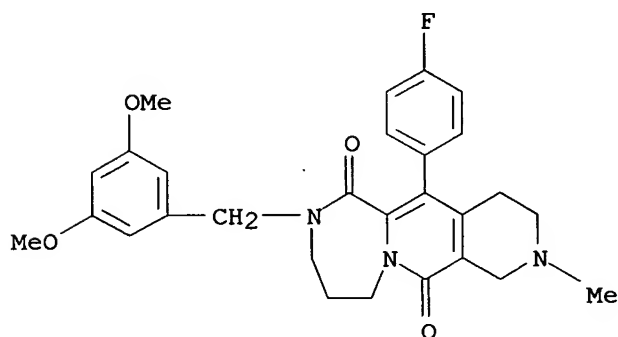
IT 404867-03-4P 404867-05-6P 404867-06-7P
404867-07-8P 404867-08-9P 404867-09-0P
404867-10-3P 404867-11-4P 404867-12-5P
404867-13-6P 404867-14-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic heterocyclic compds. as tachykinin receptor antagonists)

RN 404867-03-4 CAPLUS

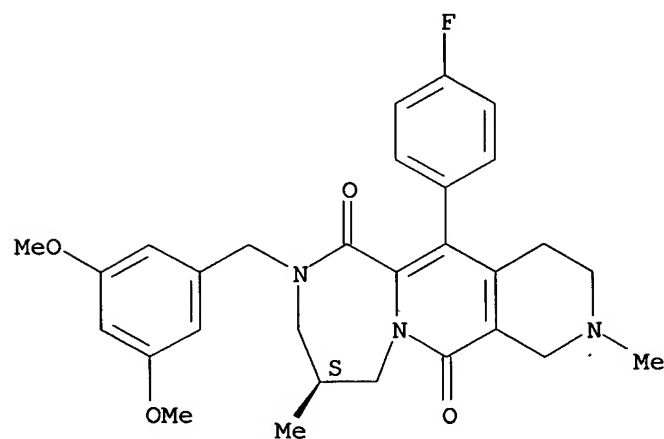
CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[(3,5-dimethoxyphenyl)methyl]-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-9-methyl- (9CI) (CA INDEX NAME)



RN 404867-05-6 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[(3,5-dimethoxyphenyl)methyl]-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-4,9-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

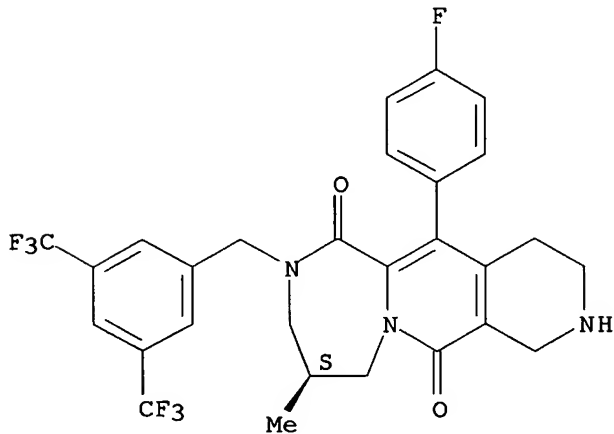


10/775,675

RN 404867-06-7 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-4-methyl-, (4S)- (9CI) (CA INDEX NAME)

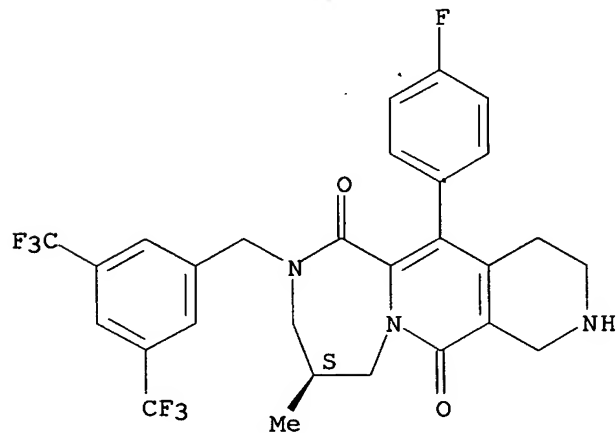
Absolute stereochemistry.



RN 404867-07-8 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-4-methyl-, monohydrochloride, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

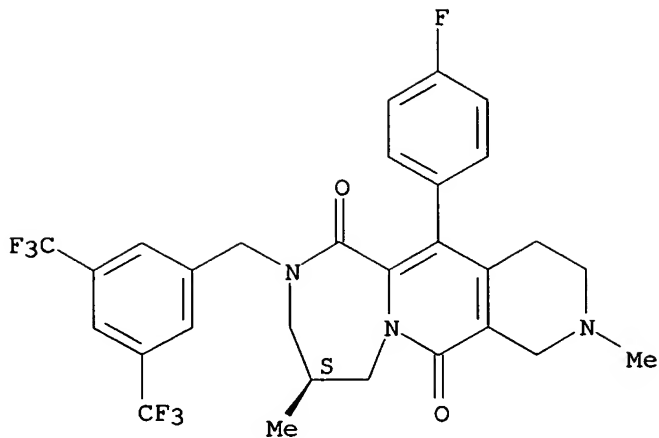


● HCl

RN 404867-08-9 CAPLUS

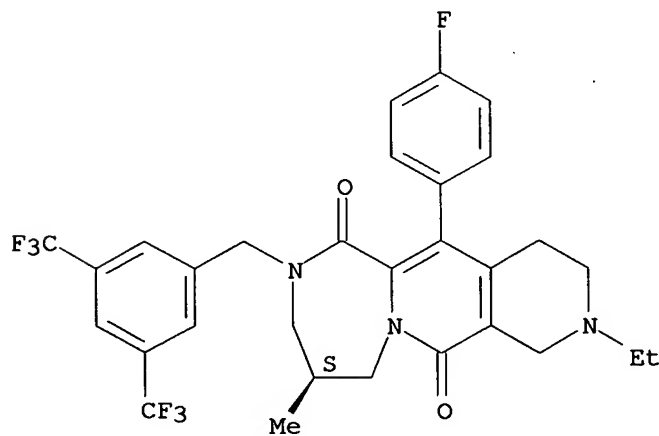
CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-4,9-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



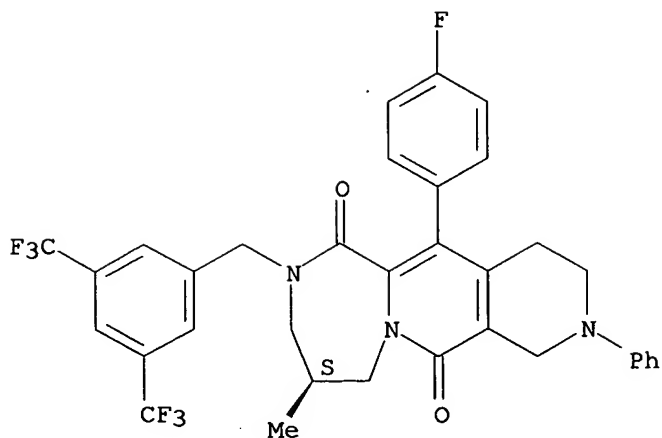
RN 404867-09-0 CAPLUS
 CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-9-ethyl-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-4-methyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 404867-10-3 CAPLUS
 CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-4-methyl-9-phenyl-, (4S)- (9CI) (CA INDEX NAME)

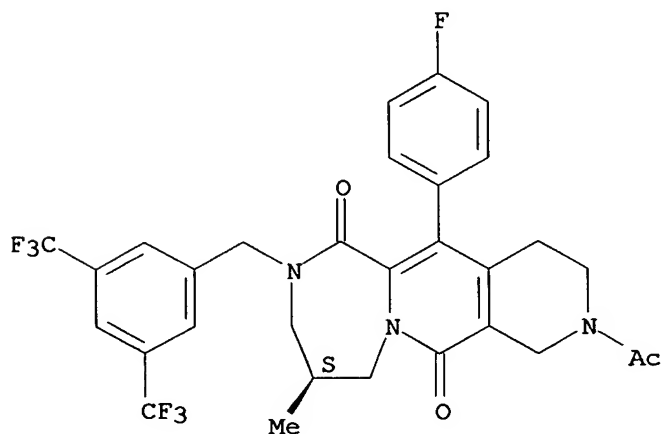
Absolute stereochemistry.



RN 404867-11-4 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 9-acetyl-2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-4-methyl-, (4S)- (9CI) (CA INDEX NAME)

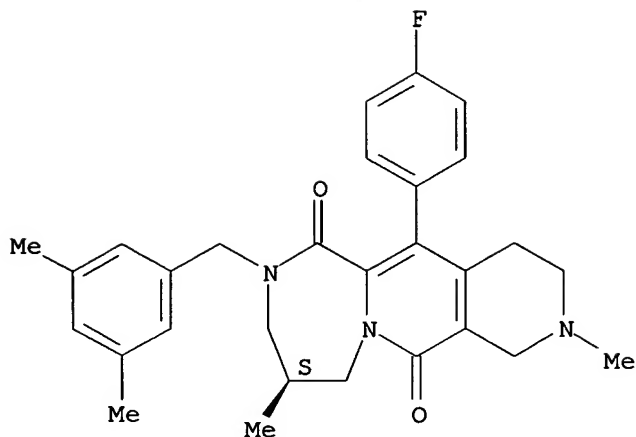
Absolute stereochemistry.



RN 404867-12-5 CAPLUS

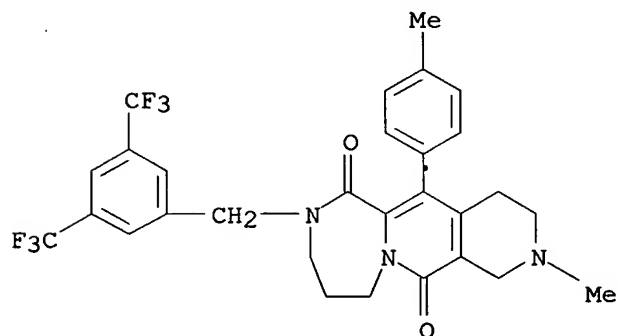
CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[(3,5-dimethylphenyl)methyl]-12-(4-fluorophenyl)-2,3,4,5,8,9,10,11-octahydro-4,9-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 404867-13-6 CAPLUS

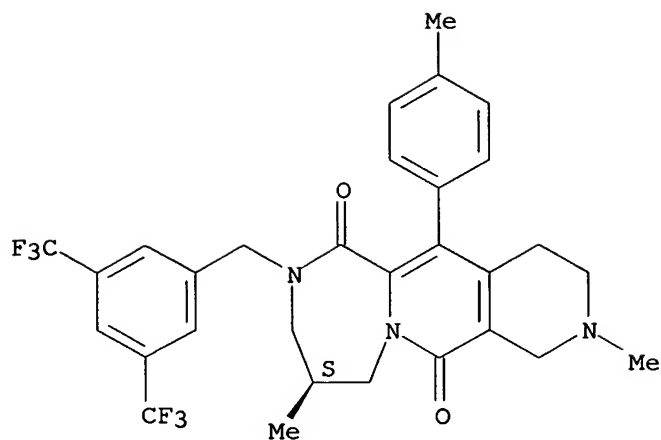
CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5,8,9,10,11-octahydro-9-methyl-12-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 404867-14-7 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5,8,9,10,11-octahydro-4,9-dimethyl-12-(4-methylphenyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 404867-15-8P 404867-21-6P 404867-23-8P

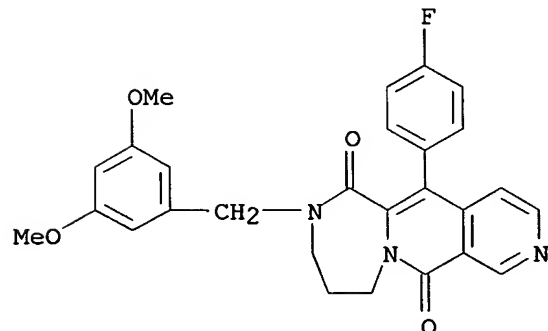
404867-26-1P 404867-29-4P 404867-31-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic heterocyclic compds. as tachykinin receptor antagonists)

RN 404867-15-8 CAPLUS

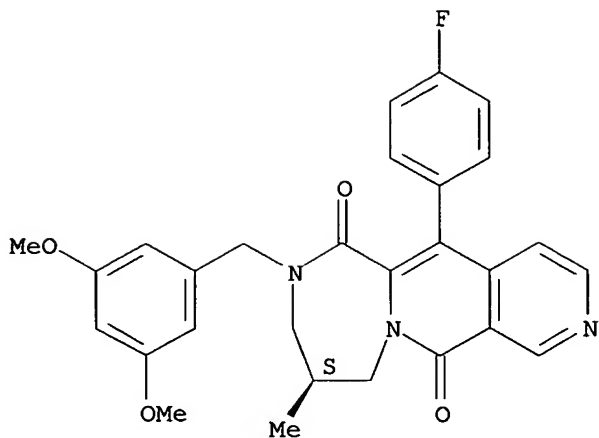
CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[(3,5-dimethoxyphenyl)methyl]-12-(4-fluorophenyl)-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



RN 404867-21-6 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[(3,5-dimethoxyphenyl)methyl]-12-(4-fluorophenyl)-2,3,4,5-tetrahydro-4-methyl-, (4S)- (9CI) (CA INDEX NAME)

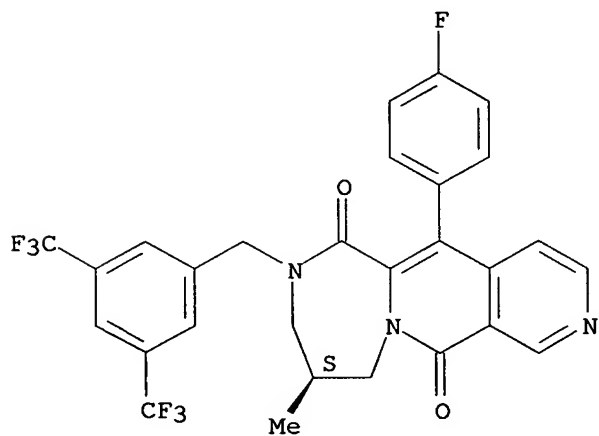
Absolute stereochemistry.



RN 404867-23-8 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-12-(4-fluorophenyl)-2,3,4,5-tetrahydro-4-methyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

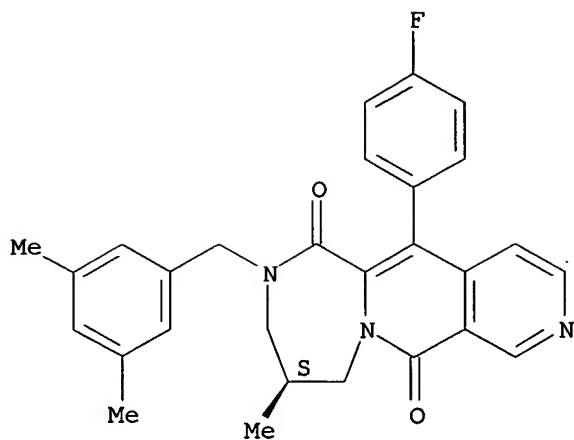


RN 404867-26-1 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[(3,5-dimethylphenyl)methyl]-12-(4-fluorophenyl)-2,3,4,5-tetrahydro-4-methyl-, (4S)- (9CI) (CA INDEX NAME)

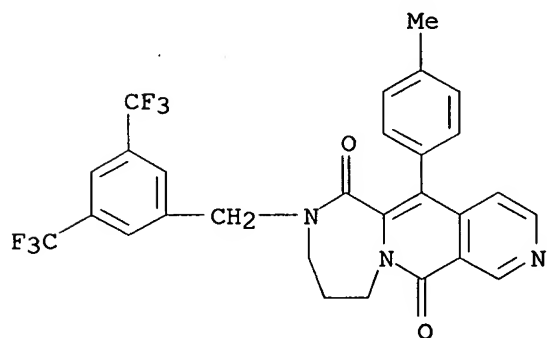
Absolute stereochemistry.

10/775,675



RN 404867-29-4 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-12-(4-methylphenyl)-(9CI) (CA INDEX NAME)

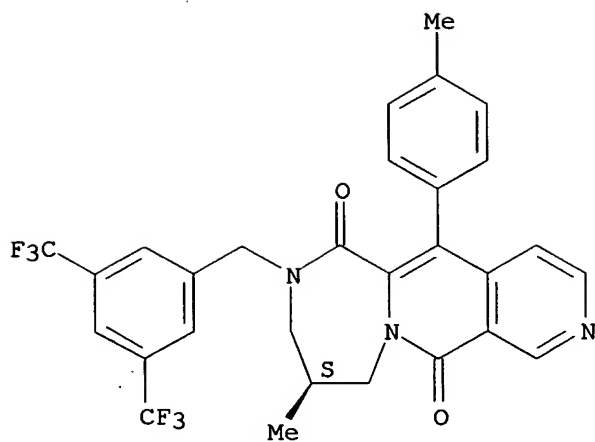


RN 404867-31-8 CAPLUS

CN [1,4]Diazepino[1,2-b][2,7]naphthyridine-1,7-dione, 2-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-4-methyl-12-(4-methylphenyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/775,675



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/775,675

L19 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:513702 CAPLUS

DOCUMENT NUMBER: 133:120350

TITLE: Preparation of tricyclic benzodiazepines as vasopressin receptor antagonists

INVENTOR(S): Hoekstra, William J.; Dyatkin, Alexey B.; Maryanoff, Bruce E.; Matthews, Jay M.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

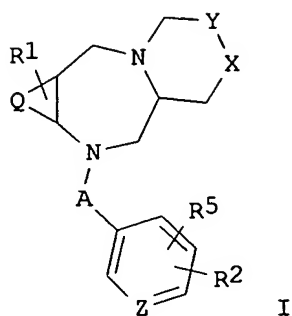
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-------------------|------------|
| WO 2000043398 | A2 | 20000727 | WO 1999-US30423 | 19991221 |
| WO 2000043398 | A3 | 20010111 | | |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2360767 | AA | 20000727 | CA 1999-2360767 | 19991221 |
| EP 1147115 | A2 | 20011024 | EP 1999-966495 | 19991221 |
| EP 1147115 | B1 | 20030910 | | |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| BR 9917086 | A | 20011030 | BR 1999-17086 | 19991221 |
| TR 200102069 | T2 | 20011121 | TR 2001-200102069 | 19991221 |
| AT 249465 | E | 20030915 | AT 1999-966495 | 19991221 |
| NZ 512960 | A | 20040130 | NZ 1999-512960 | 19991221 |
| PT 1147115 | T | 20040227 | PT 1999-966495 | 19991221 |
| AU 772397 | B2 | 20040429 | AU 2000-22014 | 19991221 |
| ES 2207333 | T3 | 20040516 | ES 1999-966495 | 19991221 |
| RU 2250899 | C2 | 20050427 | RU 2001-123247 | 19991221 |
| NO 2001003515 | A | 20010917 | NO 2001-3515 | 20010716 |
| HK 1038361 | A1 | 20040507 | HK 2002-100064 | 20020104 |
| PRIORITY APPLN. INFO.: | | | US 1999-116358P | P 19990119 |
| | | | US 1999-468650 | A 19991221 |
| | | | WO 1999-US30423 | W 19991221 |
| OTHER SOURCE(S): | MARPAT 133:120350 | | | |
| GI | | | | |



AB Title compds. [I; A = CO, SO₂, CH₂; Y = CH₂, CH; X = CH₂, CH, NR₃, S, O; Z = N, CH; R₁ = H, alkyl, alkoxy, halo, aminoalkyl, NO₂; R₂ = H, NR₄COAr, NR₄Ar, SCH₂Ar, etc.; Ar = (substituted) naphthyl, Ph; R₃ = H, acyl, alkyl, alkoxy, Cl, F, OH, dialkylamino, CF₃, OCF₃; Q = atoms to form a benzene or 5-6 membered heterocyclic ring; with provisos], were prepared. Thus, 10-[4-[(2-biphenyl)carbonyl]amino]benzoyl]-10,11-dihydro-5H-piperidino[2,1-c][1,4]benzodiazepine hydrochloride, prepared in several steps starting from isatoic anhydride and pipecolic acid, bound to vasopressin V₂ receptors with IC₅₀ = 9 nM.

IT 285559-00-4P 285559-01-5P 285559-02-6P
 285559-03-7P 285559-04-8P 285559-05-9P
 285559-06-0P 285559-07-1P 285559-08-2P
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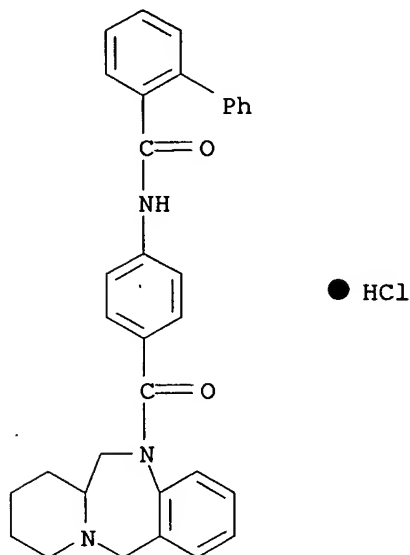
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

10/775,675

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic benzodiazepines as vasopressin receptor
antagonists)

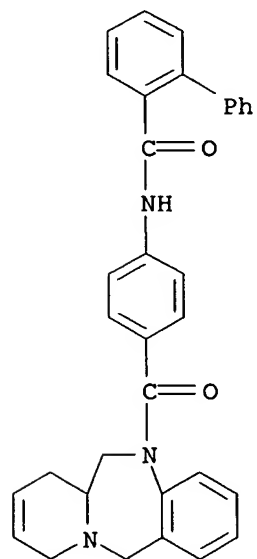
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CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(6,6a,7,8,9,10-hexahydropyrido[2,1-c][1,4]benzodiazepin-5(12H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI)
(CA INDEX NAME)



RN 285559-01-5 CAPLUS

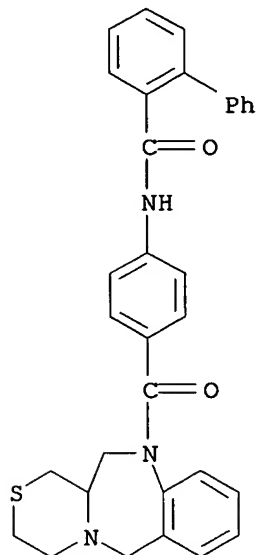
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RN 285559-02-6 CAPLUS

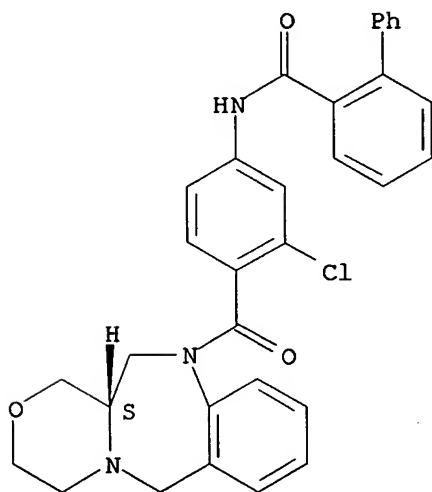
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285559-03-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

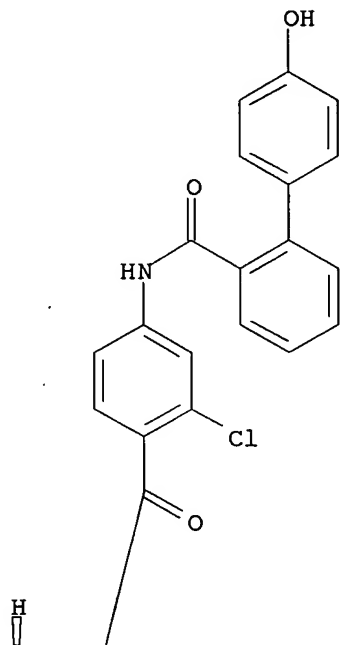
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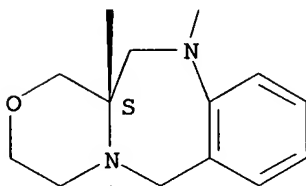
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-4'-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



PAGE 2-A



RN 285559-05-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-4'-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

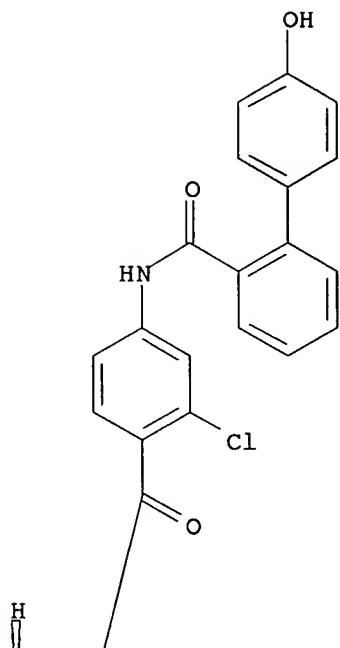
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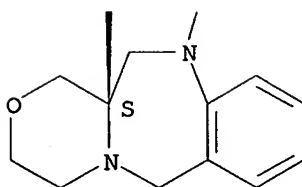
10/775,675

Absolute stereochemistry. Rotation (+).

PAGE 1-A



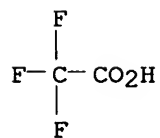
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



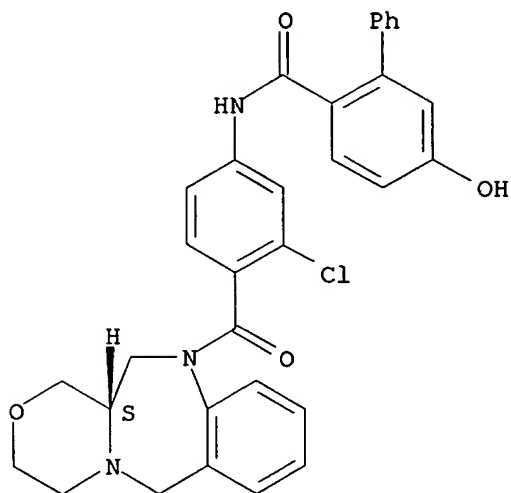
RN 285559-06-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-

10/775,675

yl]carbonyl]phenyl]-5-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 285559-07-1 CAPLUS

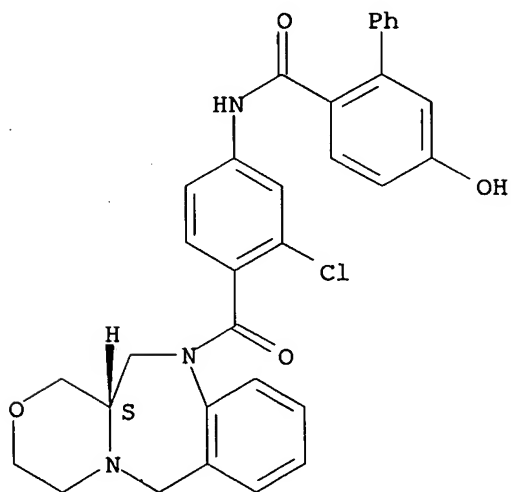
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CRN 285559-06-0

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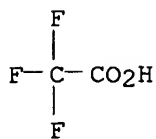
Absolute stereochemistry. Rotation (+).



CM 2

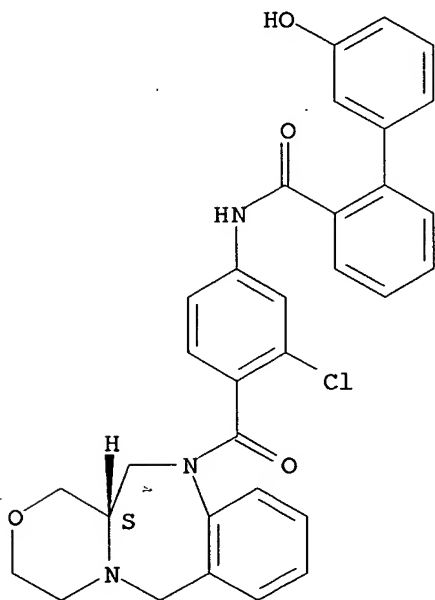
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CRN 76-05-1
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Absolute stereochemistry. Rotation (+).



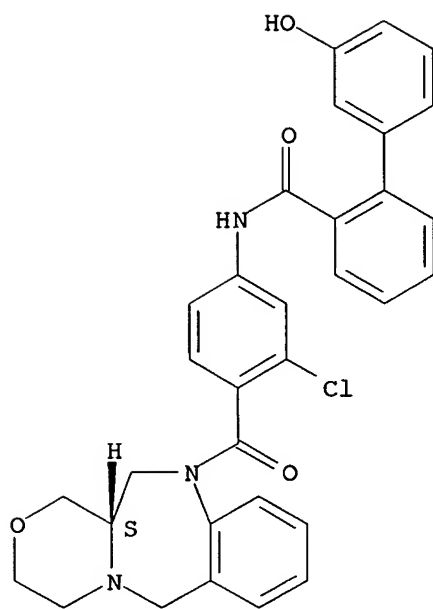
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CM 1

CRN 285559-08-2
CMF C32 H28 Cl N3 O4

Absolute stereochemistry. Rotation (+).

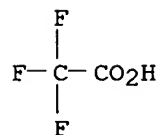
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CM 2

CRN 76-05-1

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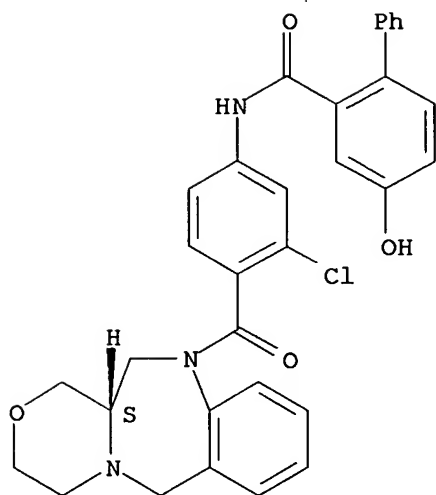


RN 285559-10-6 CAPLUS

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Absolute stereochemistry. Rotation (+).

10/775,675



RN 285559-11-7 CAPLUS

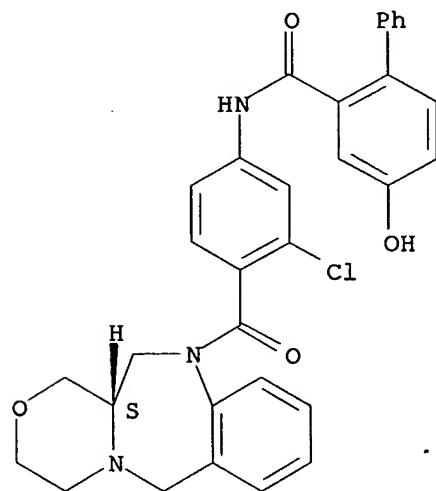
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-4-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-10-6

CMF C32 H28 Cl N3 O4

Absolute stereochemistry. Rotation (+).

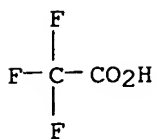


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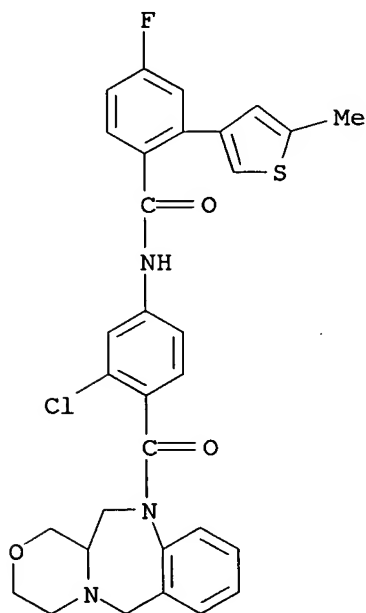
CMF C2 H F3 O2

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RN 285559-12-8 CAPLUS

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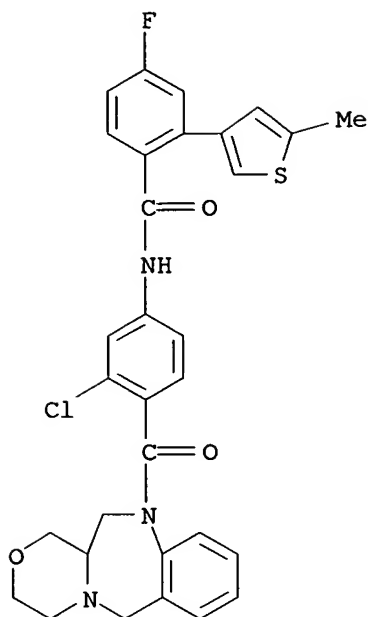
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4-fluoro-2-(5-methyl-3-thienyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-12-8

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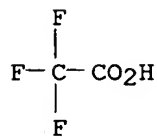
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CM 2

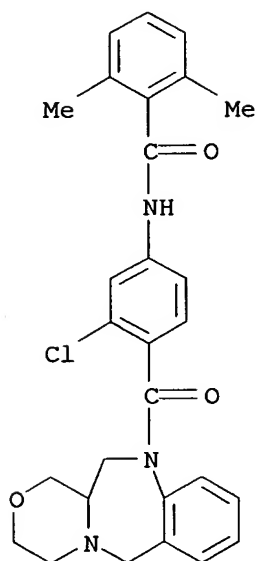
CRN 76-05-1

CMF C2 H F3 O2



RN 285559-14-0 CAPLUS

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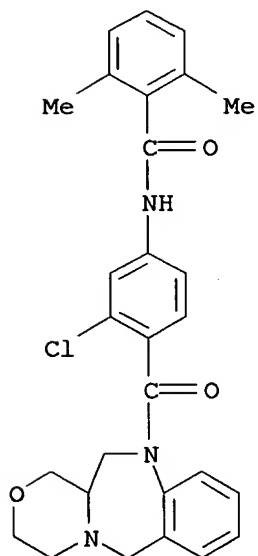
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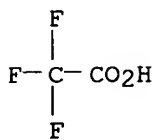
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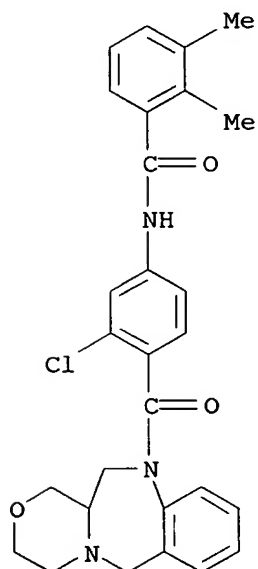
CM 2

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CRN 76-05-1
CMF C2 H F3 O2



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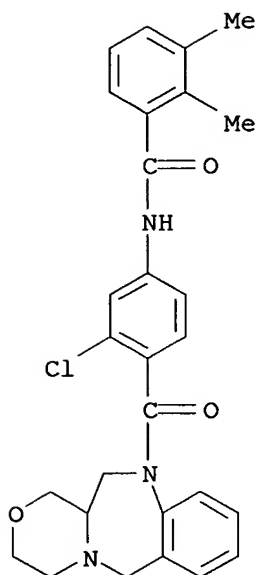


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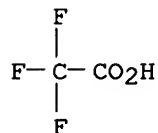
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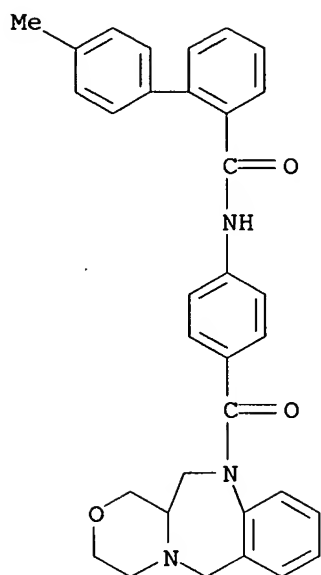
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CN [1,1'-Biphenyl]-2-carboxamide, 4'-methyl-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

10/775,675



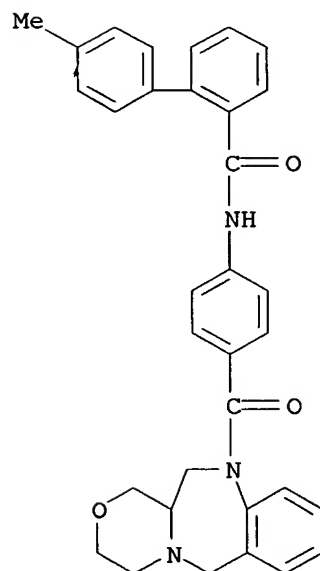
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CM 1

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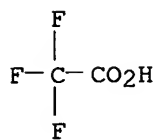
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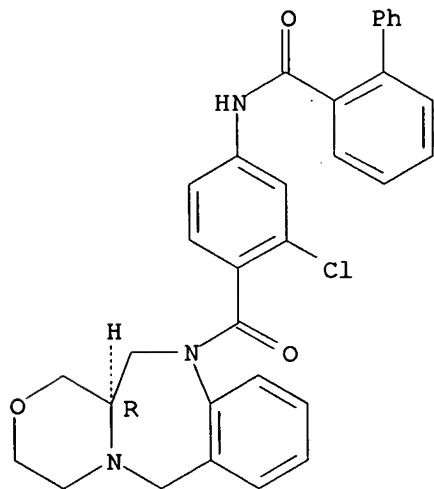
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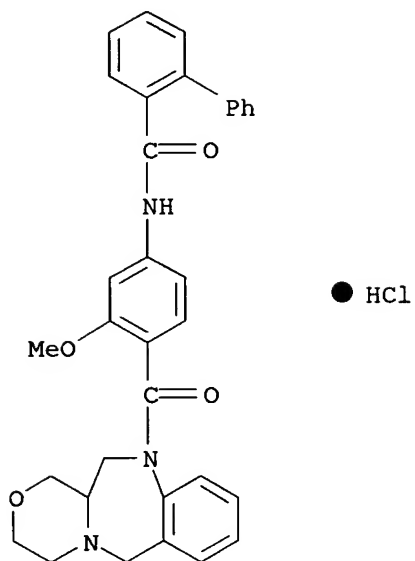
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Absolute stereochemistry. Rotation (-).



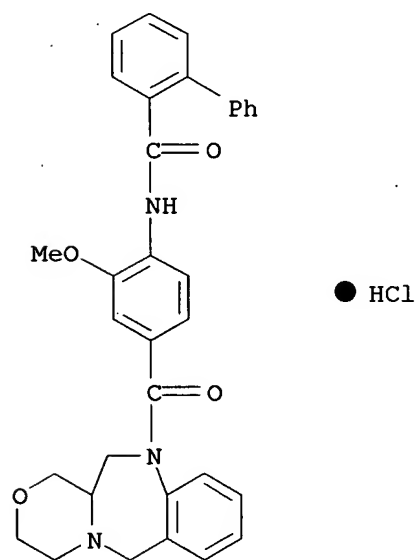
● HCl

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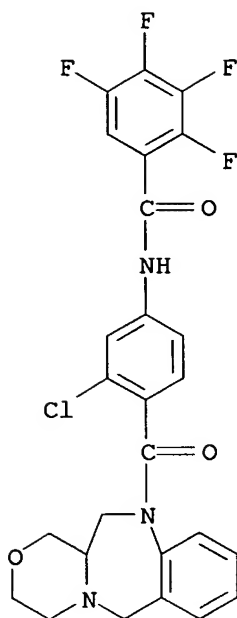
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-methoxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-23-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3,4,5-tetrafluoro- (9CI) (CA INDEX NAME)

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RN 285559-24-2 CAPLUS

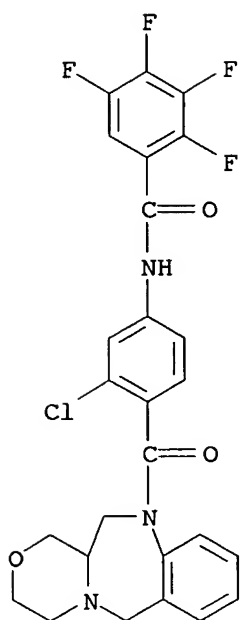
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2,3,4,5-tetrafluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-23-1

CMF C26 H20 Cl F4 N3 O3

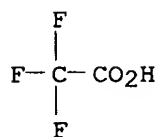
10/775,675



CM 2

CRN 76-05-1

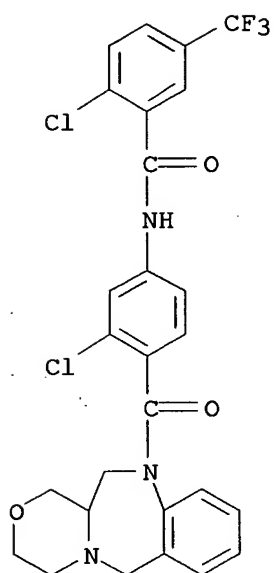
CMF C2 H F3 O2



RN 285559-25-3 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/775,675



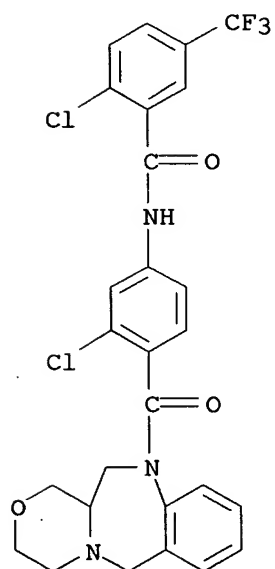
RN 285559-26-4 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-5-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-25-3

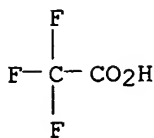
CMF C27 H22 Cl2 F3 N3 O3



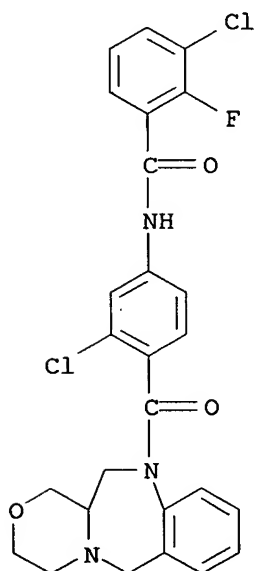
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-27-5 CAPLUS
CN Benzamide, 3-chloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)

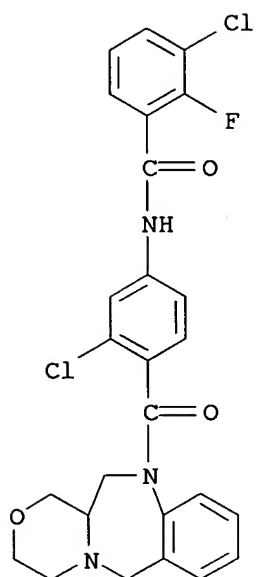


RN 285559-28-6 CAPLUS
CN Benzamide, 3-chloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-fluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-27-5
CMF C26 H22 Cl2 F N3 O3

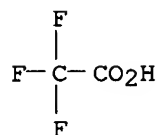
10/775,675



CM 2

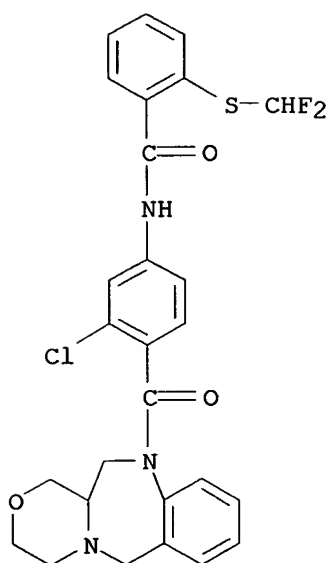
CRN 76-05-1

CMF C2 H F3 O2



RN 285559-29-7 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-[(difluoromethyl)thio]-
(9CI) (CA INDEX NAME)



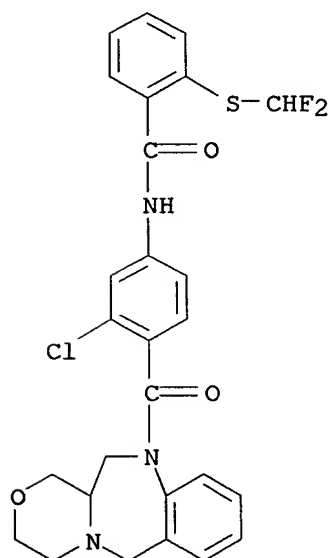
RN 285559-30-0 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-[(difluoromethyl)thio]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-29-7

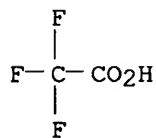
CMF C27 H24 Cl F2 N3 O3 S



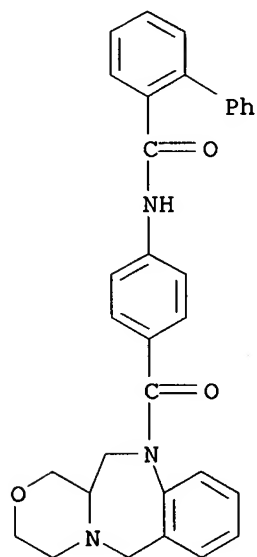
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-31-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

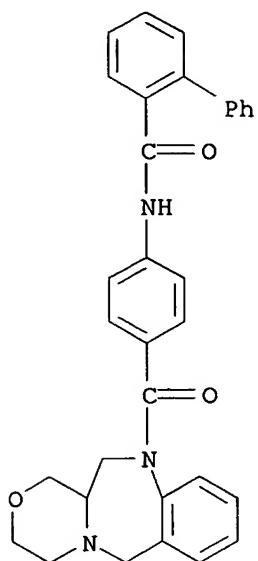


RN 285559-32-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1.

CRN 285559-31-1
CMF C32 H29 N3 O3

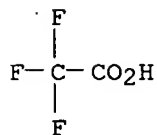
10/775,675



CM 2

CRN 76-05-1

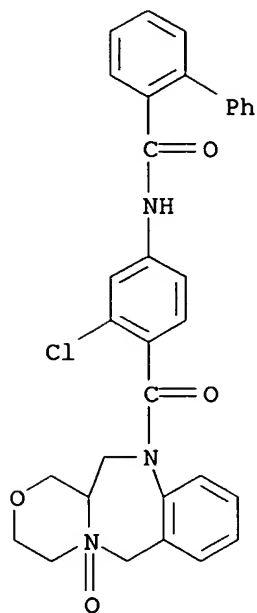
CMF C2 H F3 O2



RN 285559-33-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-5-oxido-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-(9CI) (CA INDEX NAME)

10/775,675



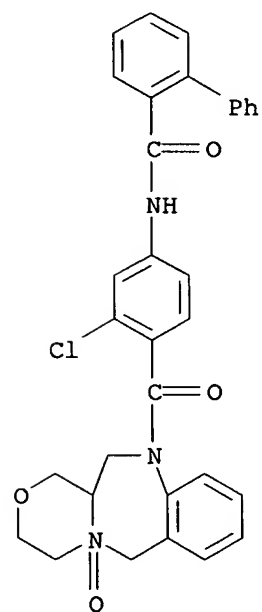
RN 285559-34-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-5-oxido-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-33-3

CMF C32 H28 Cl N3 O4

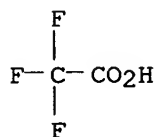


10/775,675

CM 2

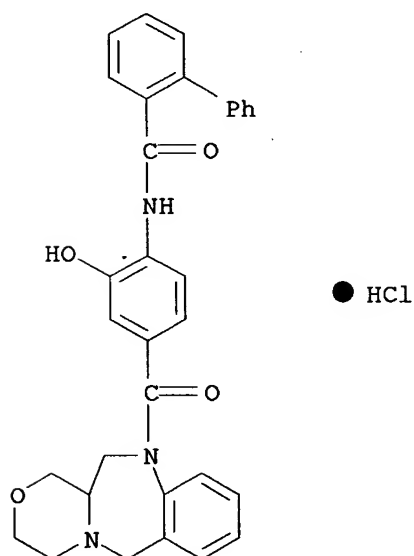
CRN 76-05-1

CMF C2 H F3 O2



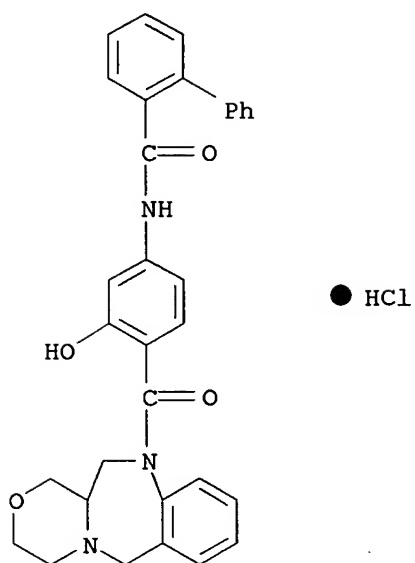
RN 285559-35-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



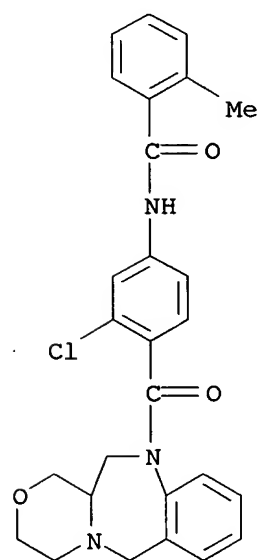
RN 285559-36-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-37-7 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl-, (9CI) (CA INDEX NAME)



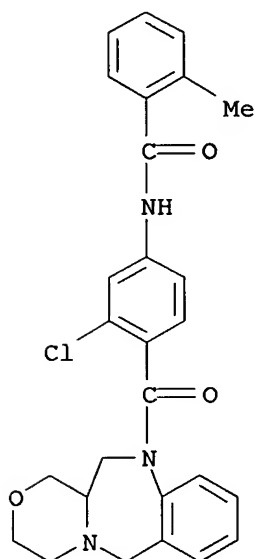
RN 285559-38-8 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

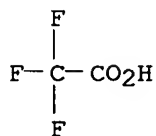
10/775,675

CRN 285559-37-7
CMF C27 H26 Cl N3 O3



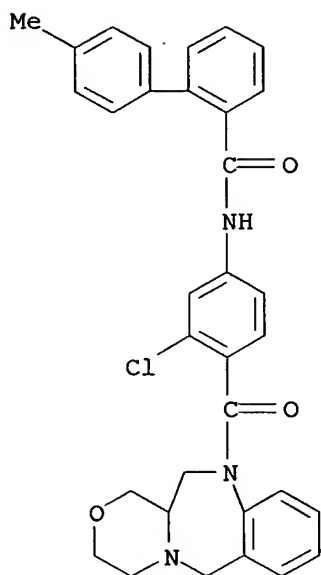
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-39-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl-
(9CI) (CA INDEX NAME)

10/775,675



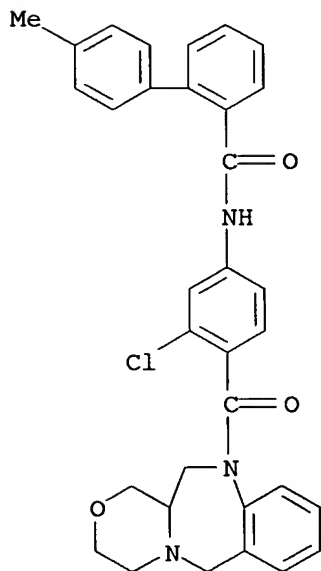
RN 285559-40-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-39-9

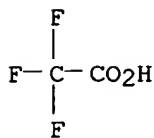
CMF C33 H30 Cl N3 O3



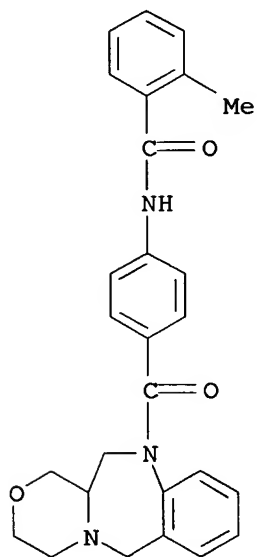
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-41-3 CAPLUS
CN Benzamide, 2-methyl-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

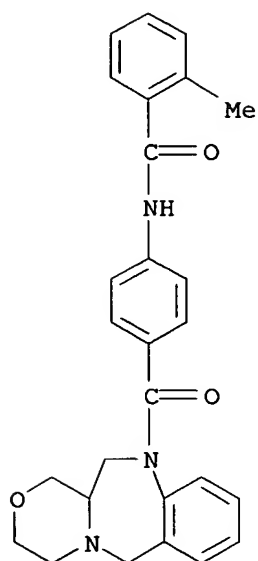


RN 285559-42-4 CAPLUS
CN Benzamide, 2-methyl-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-41-3
CMF C27 H27 N3 O3

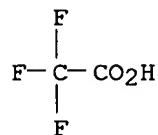
10/775,675



CM 2

CRN 76-05-1

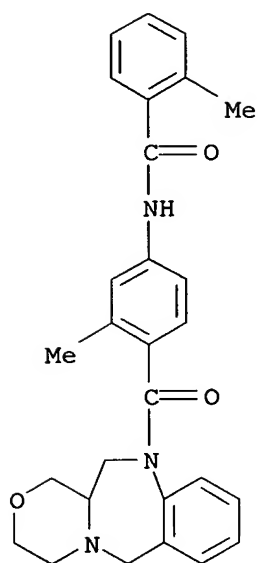
CMF C2 H F3 O2



RN 285559-43-5 CAPLUS

CN Benzamide, 2-methyl-N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

10/775,675



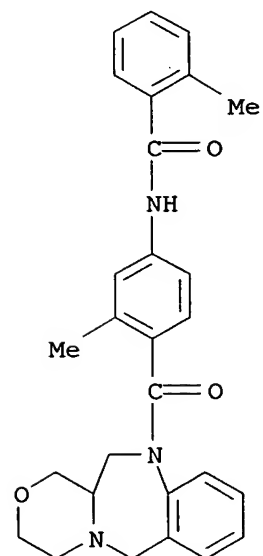
RN 285559-44-6 CAPLUS

CN Benzamide, 2-methyl-N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-43-5

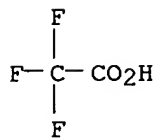
CMF C28 H29 N3 O3



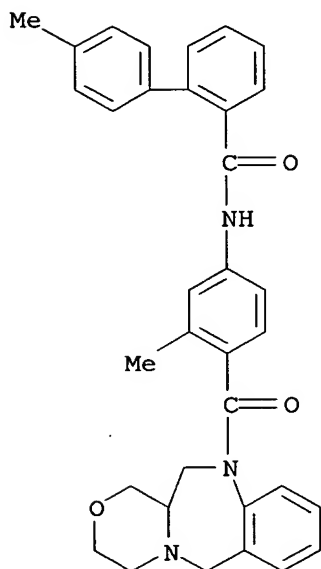
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-45-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-methyl-N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

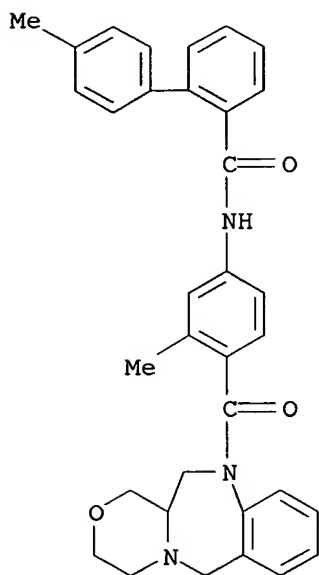


RN 285559-46-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-methyl-N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-45-7
CMF C34 H33 N3 O3

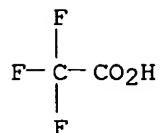
10/775,675



CM 2

CRN 76-05-1

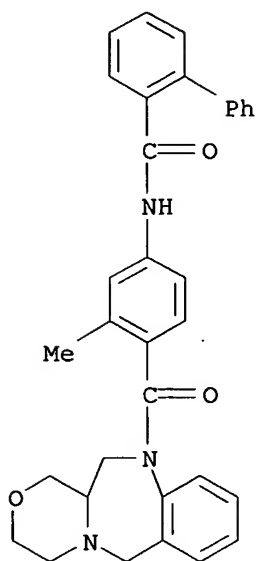
CMF C2 H F3 O2



RN 285559-47-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

10/775,675



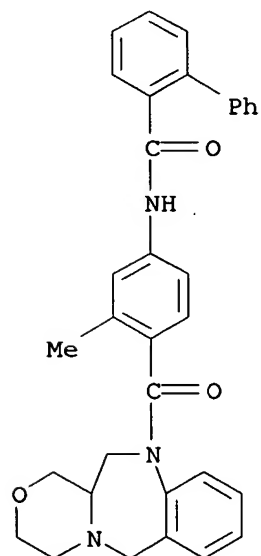
RN 285559-48-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-methyl-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-47-9

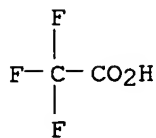
CMF C33 H31 N3 O3



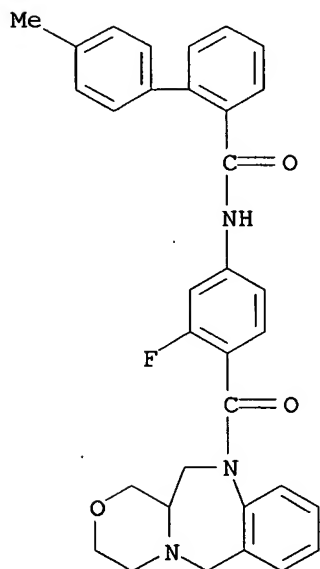
CM 2

10/775,675

CRN 76-05-1
CMF C2 H F3 O2



RN 285559-49-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-fluoro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl-
(9CI) (CA INDEX NAME)

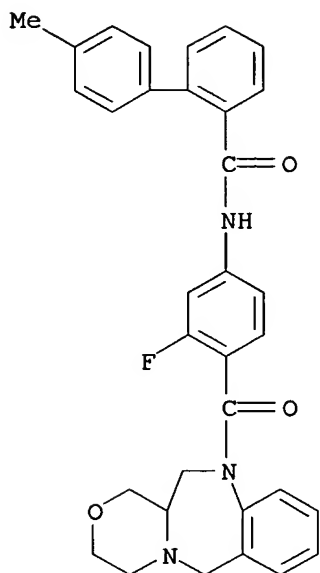


RN 285559-50-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-fluoro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-4'-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 285559-49-1
CMF C33 H30 F N3 O3

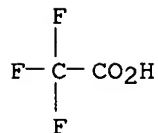
10/775,675



CM 2

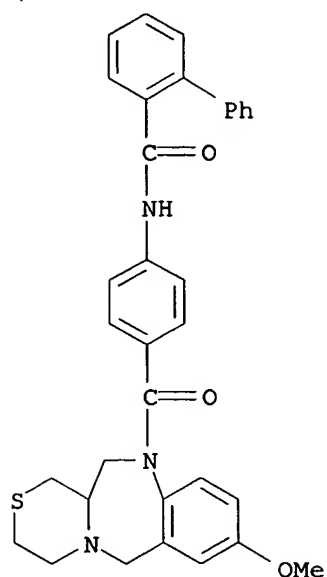
CRN 76-05-1

CMF C2 H F3 O2



RN 285559-52-6 CAPLUS

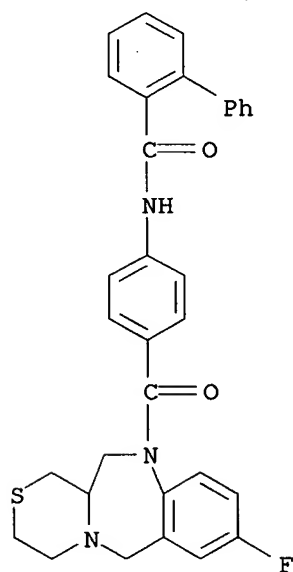
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8-methoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 285559-53-7 CAPLUS

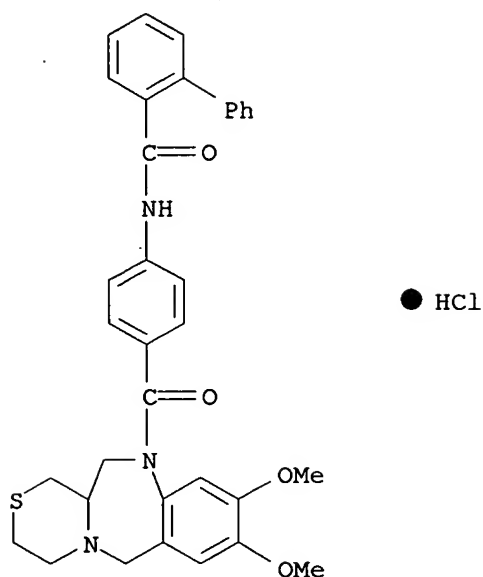
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8-fluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

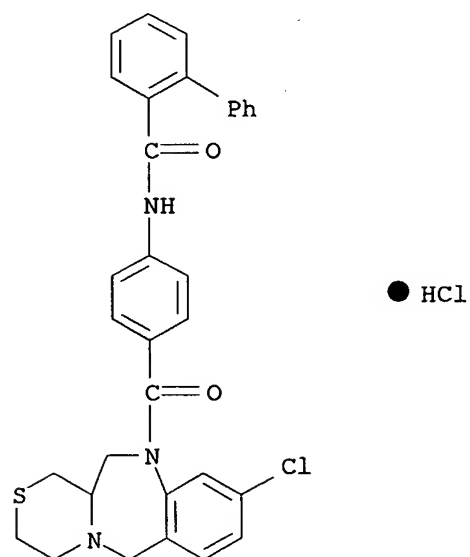
RN 285559-55-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8,9-dimethoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



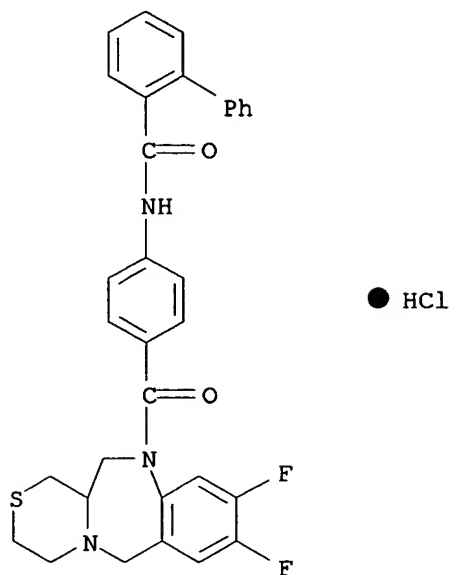
RN 285559-56-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(9-chloro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



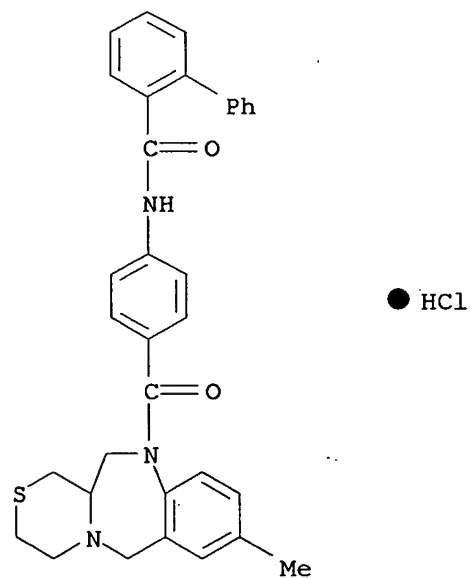
RN 285559-57-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8,9-difluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



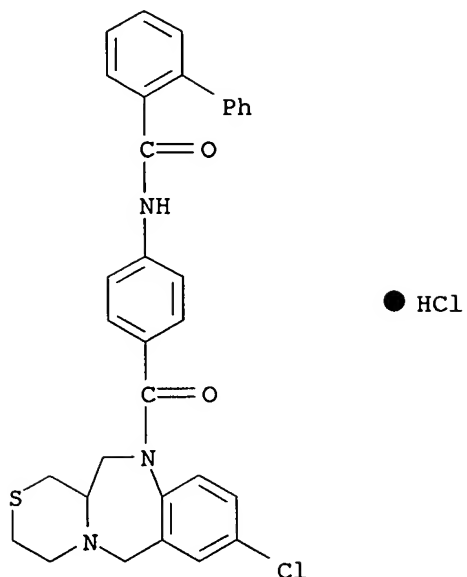
RN 285559-59-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8-methyl-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



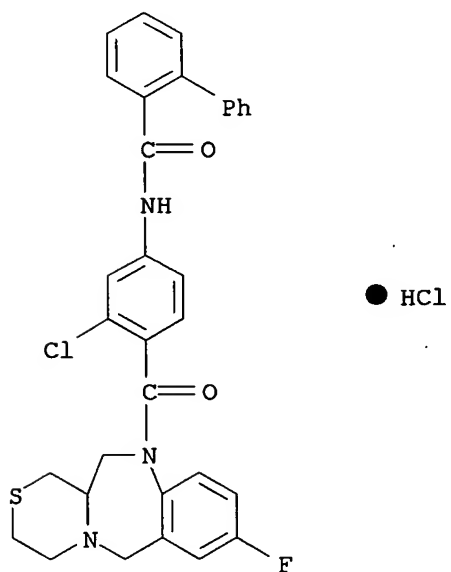
RN 285559-60-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8-chloro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



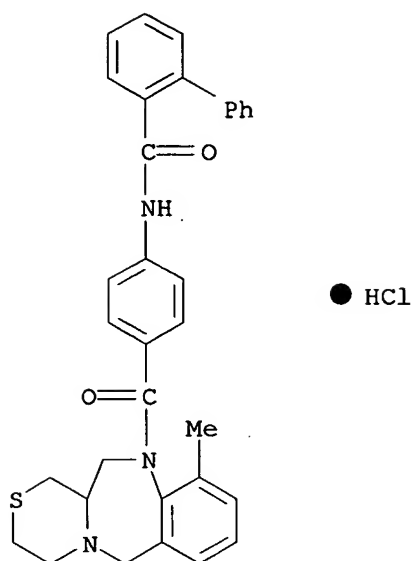
RN 285559-61-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(8-fluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



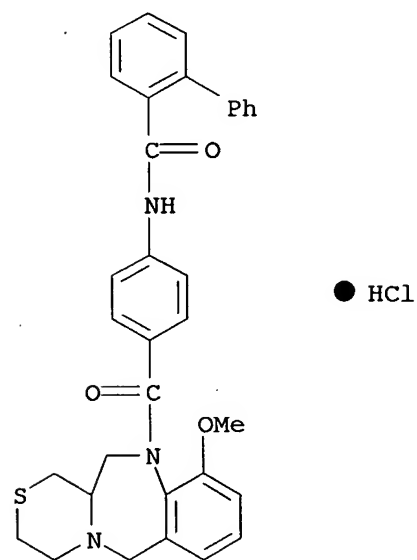
RN 285559-62-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-10-methyl-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-63-9 CAPLUS

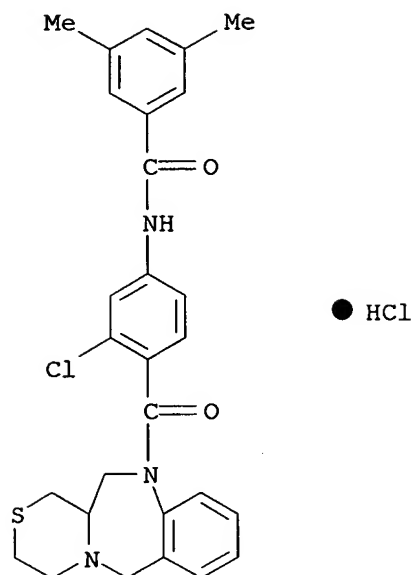
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-10-methoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-64-0 CAPLUS

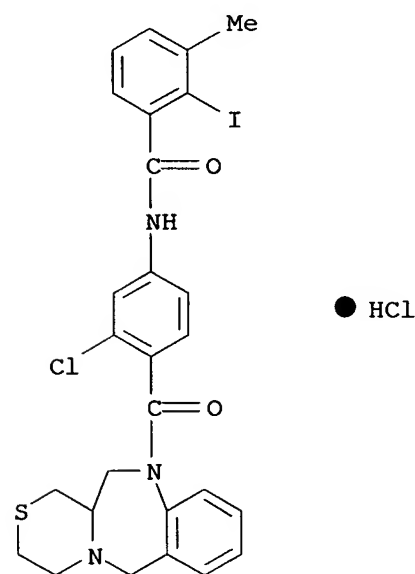
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3,5-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

10/775,675



RN 285559-65-1 CAPLUS

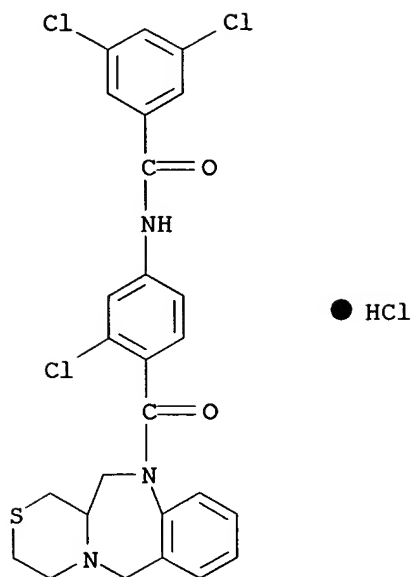
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-iodo-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-66-2 CAPLUS

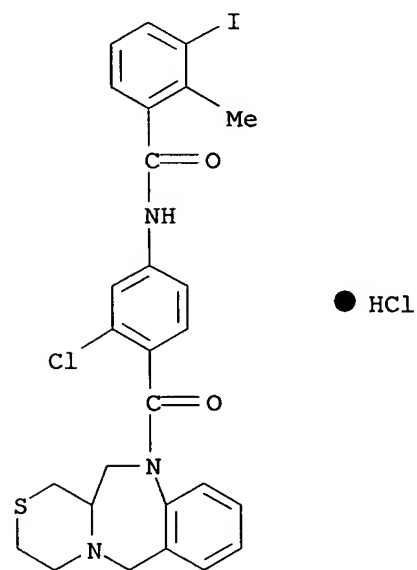
CN Benzamide, 3,5-dichloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/775,675



RN 285559-67-3 CAPLUS

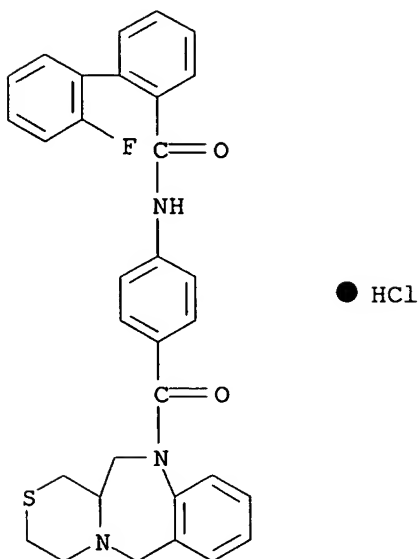
CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3-iodo-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 285559-68-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-fluoro-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

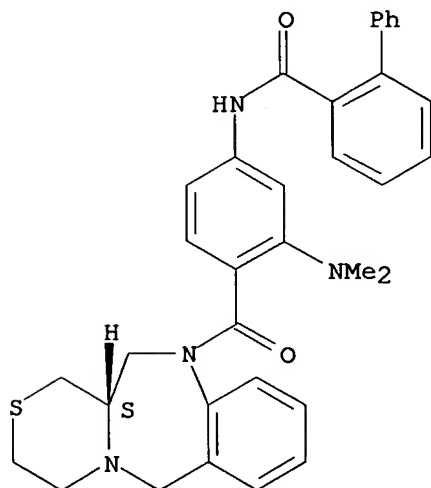
10/775,675



RN 285559-69-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-(dimethylamino)-4-[[1,1'-biphenyl]-2-carboxamido]phenyl]-11(6H)-5,6,11,12-tetrahydro-1,4-thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

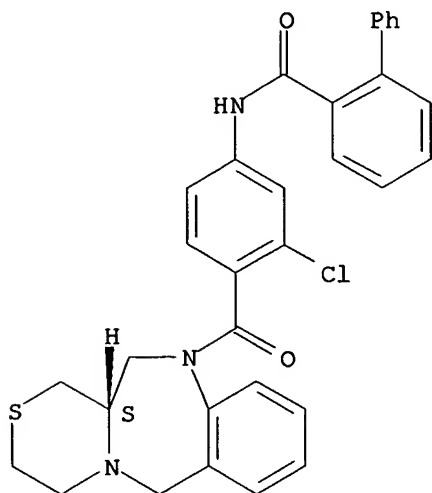


RN 285559-70-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[1,1'-biphenyl]-2-carboxamido]phenyl]-11(6H)-5,6,11,12-tetrahydro-1,4-thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/775,675

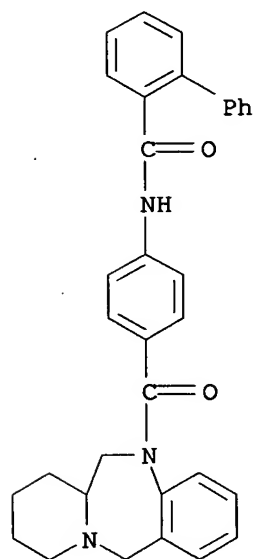
Absolute stereochemistry. Rotation (+).



● HCl

RN 285559-84-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(6,6a,7,8,9,10-hexahydropyrido[2,1-c][1,4]benzodiazepin-5(12H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

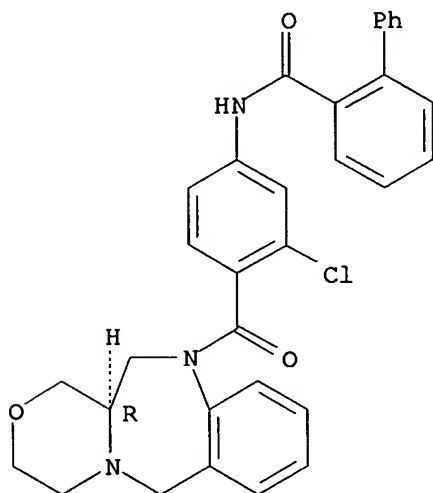


RN 285559-85-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[[(12aR)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

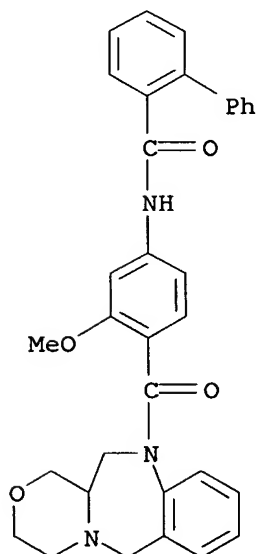
10/775,675

Absolute stereochemistry. Rotation (-).



RN 285559-86-6 CAPLUS

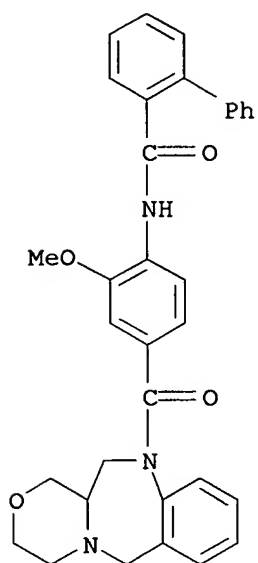
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-methoxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285559-87-7 CAPLUS

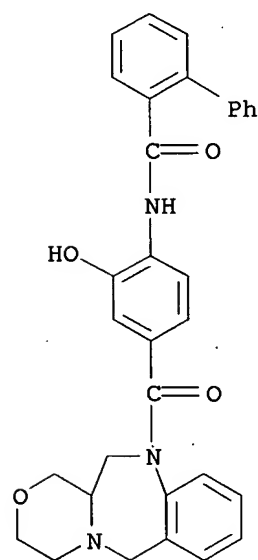
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-methoxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

10/775,675



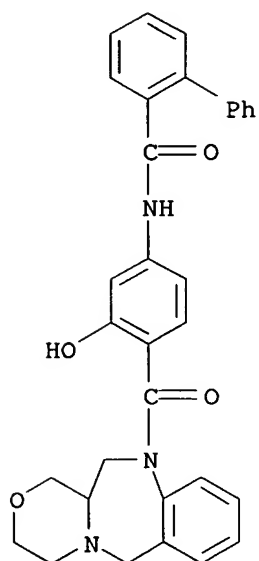
RN 285559-88-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



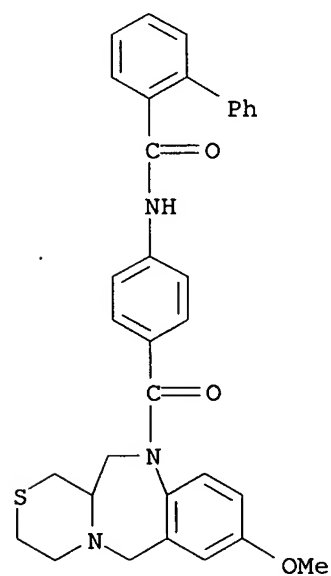
RN 285559-89-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-hydroxy-4-[(3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



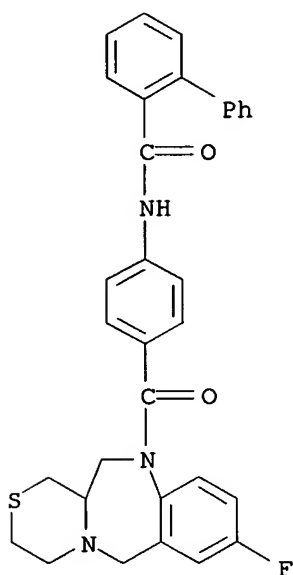
RN 285559-90-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8-methoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



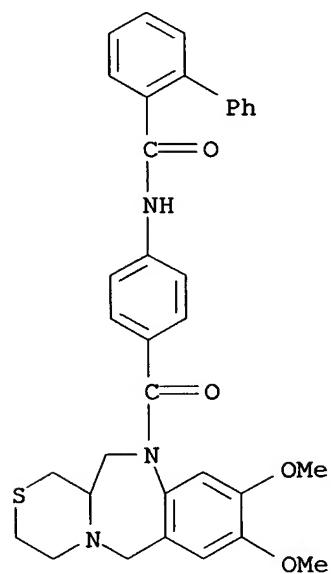
RN 285559-91-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8-fluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



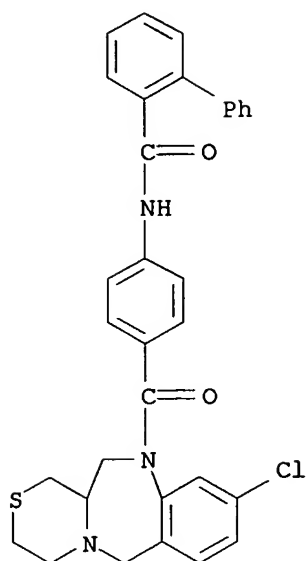
RN 285559-92-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8,9-dimethoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



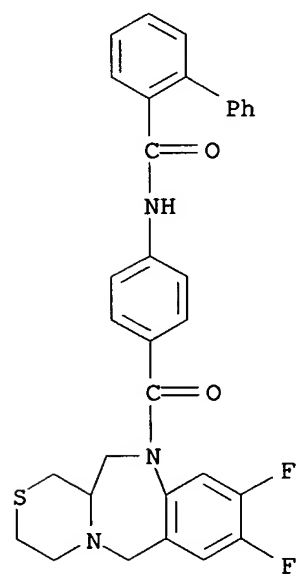
RN 285559-93-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(9-chloro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



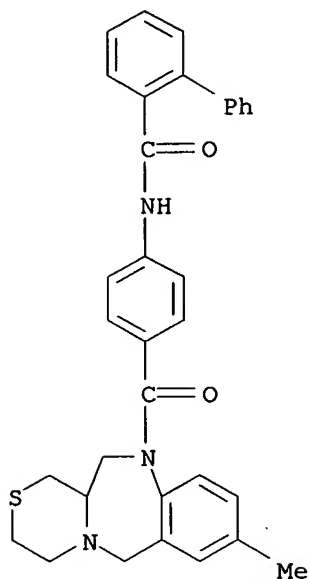
RN 285559-94-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8,9-difluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



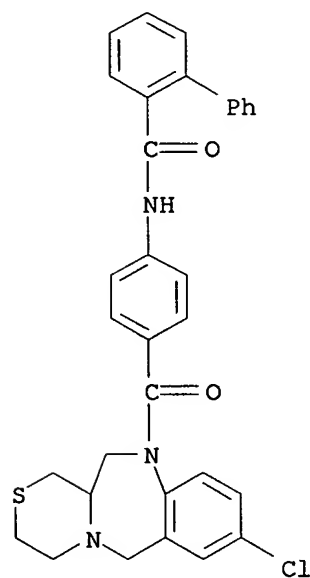
RN 285559-95-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-8-methyl-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 285559-96-8 CAPLUS

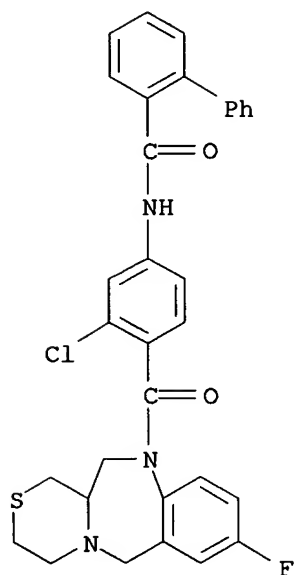
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(8-chloro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285559-97-9 CAPLUS

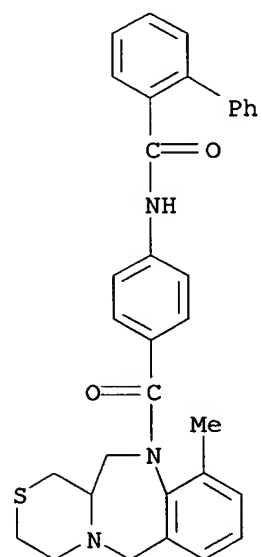
CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(8-fluoro-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

10/775,675



RN 285559-98-0 CAPLUS

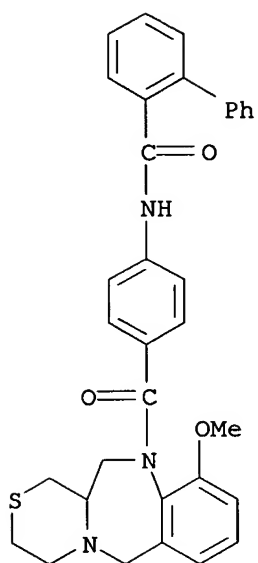
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-10-methyl-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285559-99-1 CAPLUS

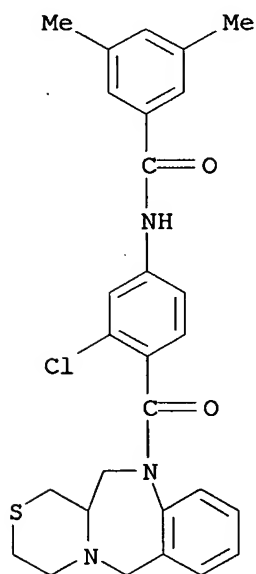
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(3,4,12,12a-tetrahydro-10-methoxy-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)

10/775,675



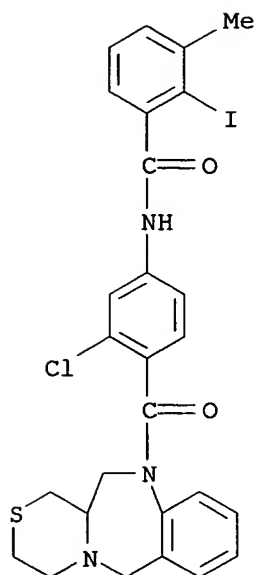
RN 285560-00-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



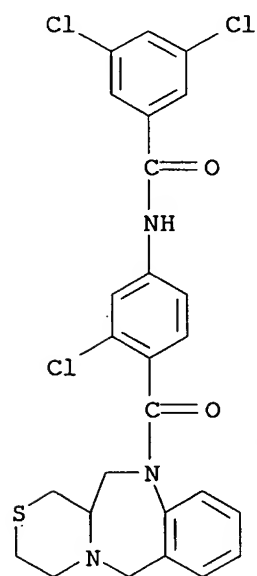
RN 285560-01-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-2-iodo-3-methyl- (9CI) (CA INDEX NAME)



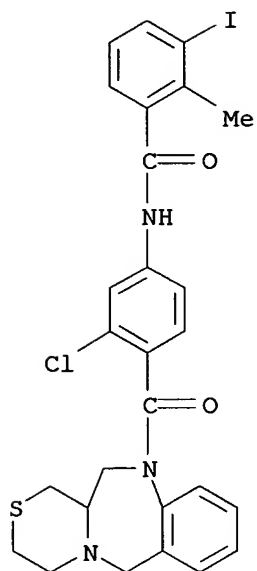
RN 285560-02-3 CAPLUS

CN Benzamide, 3,5-dichloro-N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



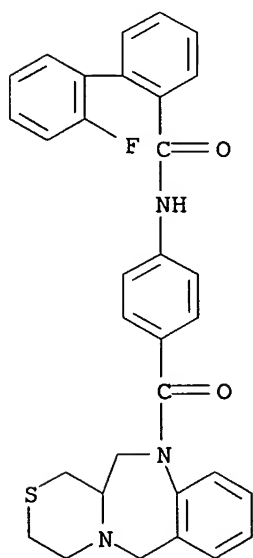
RN 285560-03-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]-3-iodo-2-methyl- (9CI)
(CA INDEX NAME)



RN 285560-04-5 CAPLUS

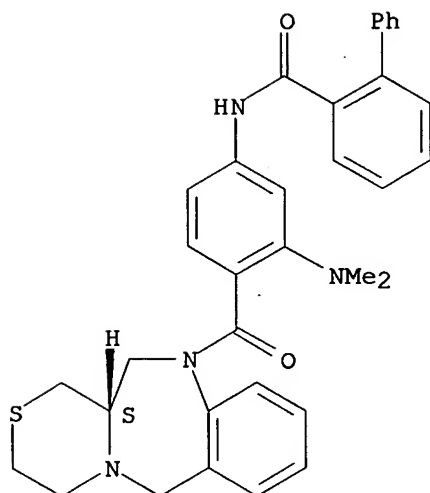
CN [1,1'-Biphenyl]-2-carboxamide, 2'-fluoro-N-[4-[(3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl)carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



RN 285560-05-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-(dimethylamino)-4-[[[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

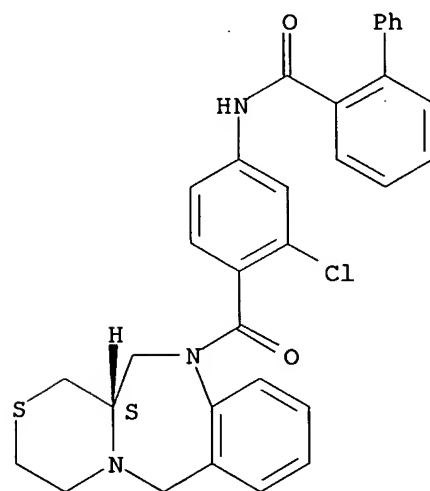
Absolute stereochemistry.



RN 285560-06-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]thiazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

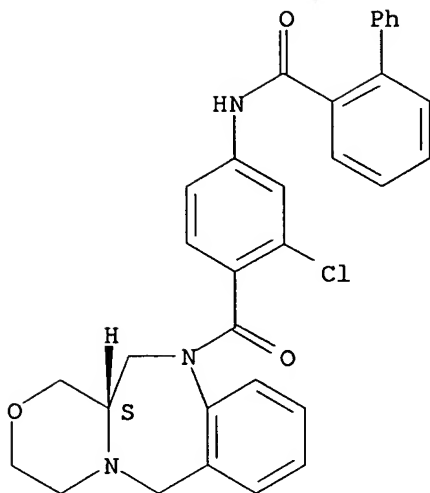
Absolute stereochemistry. Rotation (+).



RN 285571-93-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(12aS)-3,4,12,12a-tetrahydro-1H-[1,4]oxazino[3,4-c][1,4]benzodiazepin-11(6H)-yl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

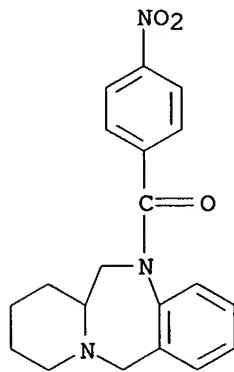


IT 285559-73-1P 285559-74-2P 285559-80-0P
285559-81-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of tricyclic benzodiazepines as vasopressin receptor
antagonists)

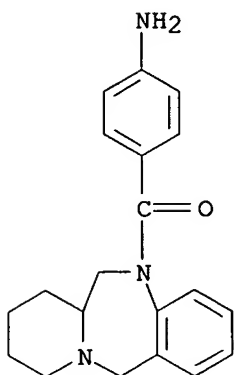
RN 285559-73-1 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 5,6,6a,7,8,9,10,12-octahydro-5-(4-nitrobenzoyl)- (9CI) (CA INDEX NAME)



RN 285559-74-2 CAPLUS

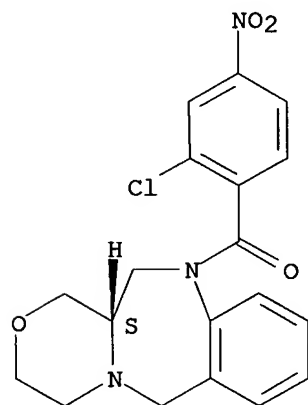
CN Pyrido[2,1-c][1,4]benzodiazepine, 5-(4-aminobenzoyl)-5,6,6a,7,8,9,10,12-octahydro- (9CI) (CA INDEX NAME)



RN 285559-80-0 CAPLUS

CN 1H-[1,4]Oxazino[3,4-c][1,4]benzodiazepine, 11-(2-chloro-4-nitrobenzoyl)-3,4,6,11,12,12a-hexahydro-, (12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

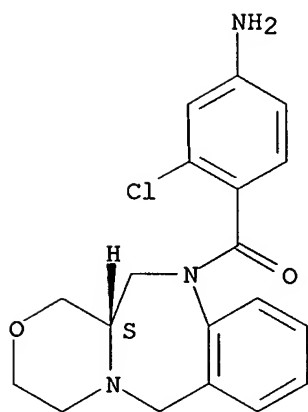


RN 285559-81-1 CAPLUS

CN 1H-[1,4]Oxazino[3,4-c][1,4]benzodiazepine, 11-(4-amino-2-chlorobenzoyl)-3,4,6,11,12,12a-hexahydro-, (12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/775,675



10/775,675

ANSWER 7 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:383926 CAPLUS

DOCUMENT NUMBER: 133:17490

TITLE: Preparation of [1,4]diazepino[2,1-g][1,7]naphthyridine, [1,4]diazonino[2,1-g][1,7]naphthyridine, 13H-[1,4]diazocino[2,1-g][1,7]naphthyridine, and pyrido[3,2-f][1,4]oxazepine derivatives and related compounds as antiemetics

INVENTOR(S): Doi, Takayuki; Yamamoto, Masaki; Fukui, Hideo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 284 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2000032192 | A1 | 20000608 | WO 1999-JP6569 | 19991125 |
| W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2352612 | AA | 20000608 | CA 1999-2352612 | 19991125 |
| EP 1145714 | A1 | 20011017 | EP 1999-972920 | 19991125 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2000273042 | A2 | 20001003 | JP 1999-336187 | 19991126 |
| PRIORITY APPLN. INFO.: | | | JP 1998-337438 | A 19981127 |
| | | | JP 1999-10907 | A 19990119 |
| | | | WO 1999-JP6569 | W 19991125 |

OTHER SOURCE(S): MARPAT 133:17490

GI For diagram(s), see printed CA Issue.

AB Drugs comprising compds. represented by general formula (I) (wherein the ring M is a heterocycle having, as the partial structure X:Y, N:C, CO-N or CS-N; Ra and Rb are bonded to each other to form the ring A, or Ra and Rb are the same or different and each represents hydrogen or a substituent of the ring M; the rings A and B are each an optionally substituted homocyclic or heterocycle and at least one of them is an optionally substituted heterocycle; the ring C is an optionally substituted homocyclic or heterocycle; the ring Z is an optionally substituted nitrogen-containing heterocycle; and n is an integer of 1 to 6) or salts thereof combined with emetic drugs are claimed. The compds. I or salts thereof are useful as antiemetic agents which, in particular, can rapidly and safely inhibit even at a small dose emesis induced by emetic drugs such as anticancer agents, morphine, and apomorphine. Thus, a mixture of (R)-N-[3,5-bis(trifluoromethyl)benzyl]-7,8-dihydro-7-(4-hydroxy-3-methylbutyl)-5-(4-methylphenyl)-8-oxo-6-pyrido[3,4-b]pyridinecarboxamide (preparation given), Et₃N, and MeSO₂Cl in THF was stirred at room temperature for 30 min, followed by treatment of the product with NaH in THF at room temperature for 1.5 h to give (9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]diazocino[2,1-

g][1,7]naphthyridine (II). II at 1-10 mg/kg p.o. in vivo inhibited cisplatin-induced emesis in male ferret. Pharmaceutical formulations containing I were prepared

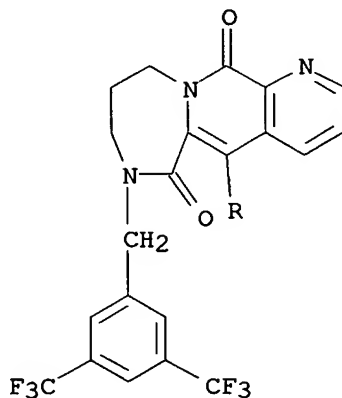
IT 183549-77-1P 183549-79-3P 183549-82-8P
 183549-87-3P 183549-88-4P 183549-89-5P
 183550-02-9P 183550-03-0P 183550-08-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of ¹³H-[1,4]diazocino[g][1,7]naphthyridine derivs. and related compds. as antiemetics)

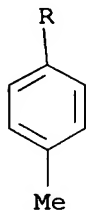
RN 183549-77-1 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl)methyl]-7,8,9,10-tetrahydro-5-(4-methylphenyl)-(9CI) (CA INDEX NAME)

PAGE 1-A



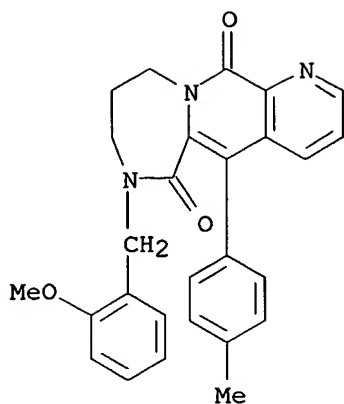
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RN 183549-79-3 CAPLUS

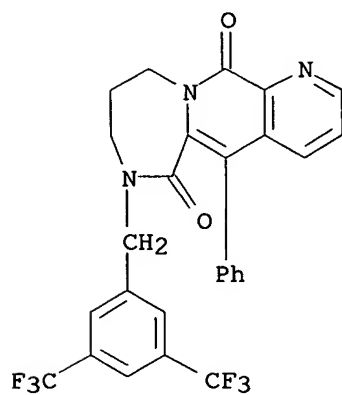
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7,8,9,10-tetrahydro-7-[(2-methoxyphenyl)methyl]-5-(4-methylphenyl)-(9CI) (CA INDEX NAME)

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RN 183549-82-8 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-5-phenyl- (9CI)
(CA INDEX NAME)

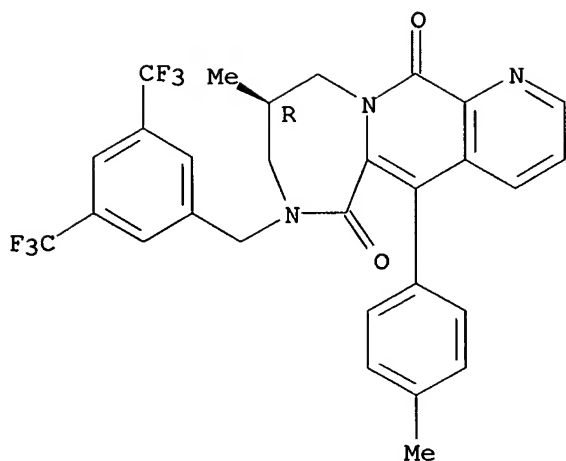


RN 183549-87-3 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

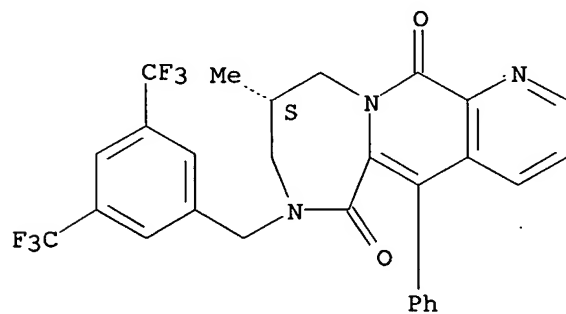
10/775,675



RN 183549-88-4 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

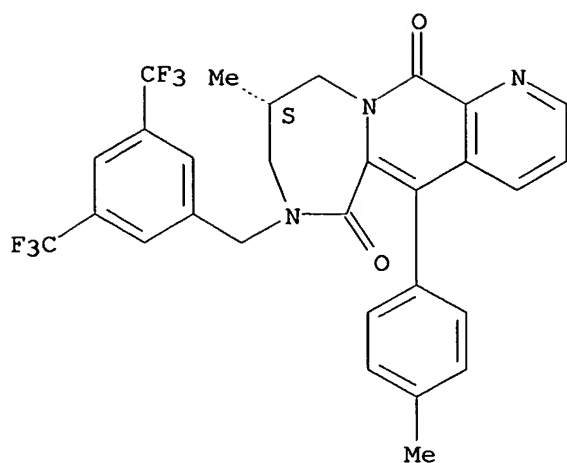


RN 183549-89-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9S)- (9CI) (CA INDEX NAME)

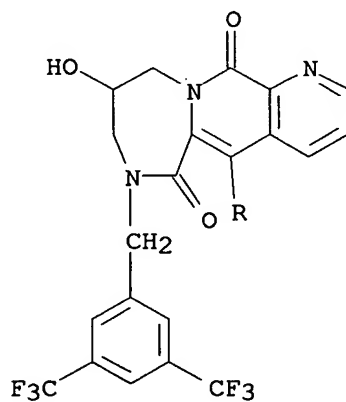
Absolute stereochemistry. Rotation (+).

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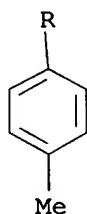


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PAGE 1-A

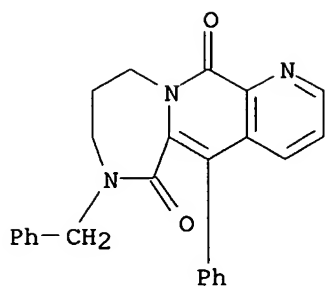


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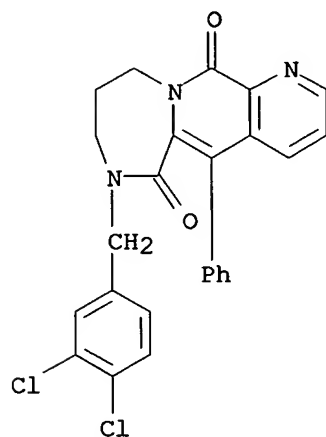
RN 183550-03-0 CAPLUS
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7,8,9,10-tetrahydro-5-phenyl-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

10/775,675



RN 183550-08-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[(3,4-dichlorophenyl)methyl]-7,8,9,10-tetrahydro-5-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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LIB ANSWER 8 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:613656 CAPLUS

DOCUMENT NUMBER: 131:228734

TITLE: Preparation of diazocinonaphthyridines, diazepinonaphthyridines, and related compounds having tachykinin receptor antagonistic activity for preventing or treating depression, anxiety, manic-depressive illness or psychopathy.

INVENTOR(S): Natsugari, Hideaki; Doi, Takayuki; Ikeura, Yoshinori

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

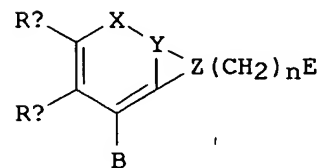
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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| WO 9947132 | A2 | 19990923 | WO 1999-JP1358 | 19990318 |
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| W: | AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2321155 | AA | 19990923 | CA 1999-2321155 | 19990318 |
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| AU 751114 | B2 | 20020808 | | |
| JP 11322748 | A2 | 19991124 | JP 1999-72954 | 19990318 |
| BR 9908895 | A | 20001205 | BR 1999-8895 | 19990318 |
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| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | |
| EP 1184036 | A2 | 20020306 | EP 2001-127194 | 19990318 |
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| NO 2000004144 | A | 20001010 | NO 2000-4144 | 20000818 |
| US 2002132817 | A1 | 20020919 | US 2002-97791 | 20020313 |
| PRIORITY APPLN. INFO.: | | | JP 1998-69999 | A 19980319 |
| | | | EP 1999-909233 | A3 19990318 |
| | | | WO 1999-JP1358 | W 19990318 |
| | | | US 1999-308311 | A1 19990518 |

OTHER SOURCE(S): MARPAT 131:228734

GI



AB Pharmaceutical compns. for preventing or treating depression, anxiety, manic-depression, or psychopathy [I; XY = N:C, CON, CSN; Ra, Rb = H, substituent; RaRb = atoms to form a (substituted) (heterocyclic) ring; B, E = (substituted) homocyclic or heterocyclic ring, Z = (substituted) N-containing heterocyclic ring; n = 1-6; with provisos], are claimed. Thus, (9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]-diazocino[2,1-g][1,7]naphthyridine (II) (preparation described) antagonized substance P with IC50 = 0.43 nM. A II tablet formulation is given.

IT **183549-77-1P 183549-79-3P 183549-82-8P**

183549-87-3P 183549-88-4P 183549-89-5P

183550-02-9P 183550-03-0P 183550-08-5P

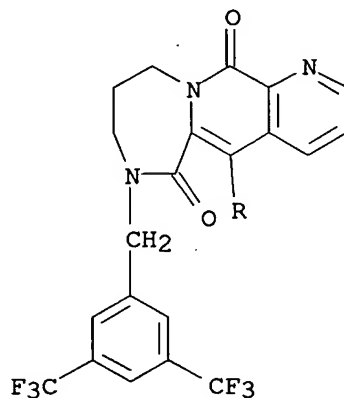
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diazocinonaphthyridines, diazepinonaphthyridines, and related compds. having tachykinin receptor antagonistic activity)

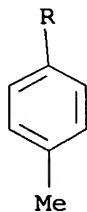
RN 183549-77-1 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-5-(4-methylphenyl)-(9CI) (CA INDEX NAME)

PAGE 1-A



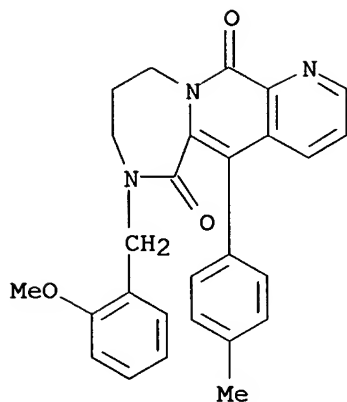
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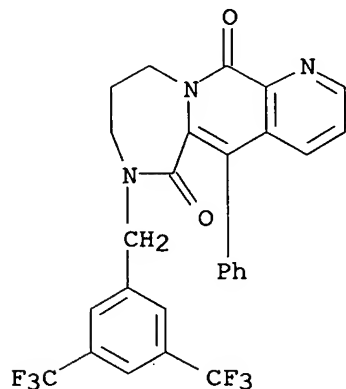
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RN 183549-82-8 CAPLUS

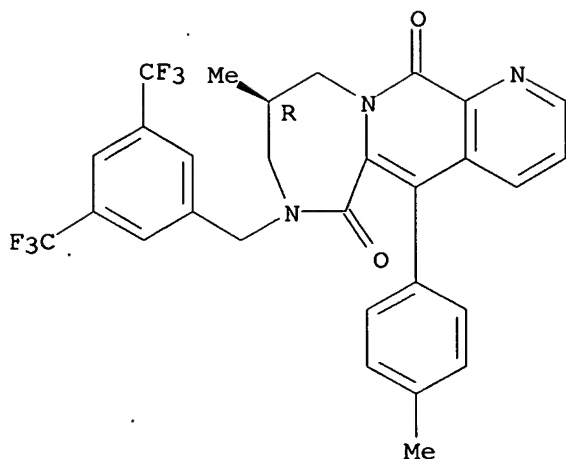
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-5-phenyl- (9CI)
(CA INDEX NAME)



RN 183549-87-3 CAPLUS

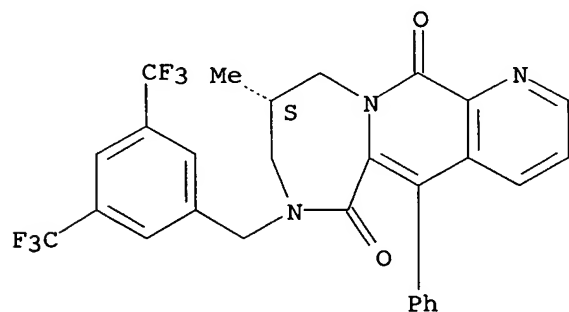
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 183549-88-4 CAPLUS
 CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

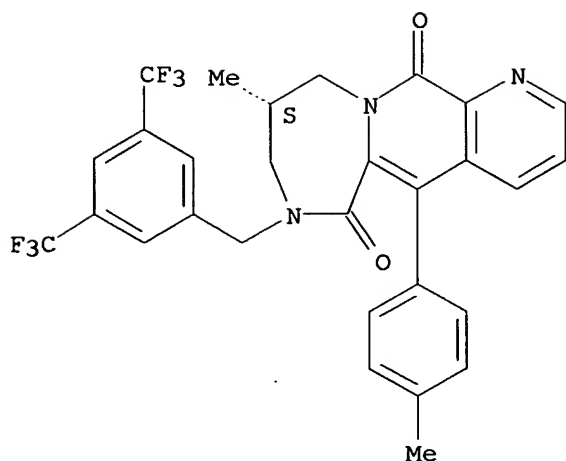
Absolute stereochemistry. Rotation (+).



RN 183549-89-5 CAPLUS
 CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9S)- (9CI) (CA INDEX NAME)

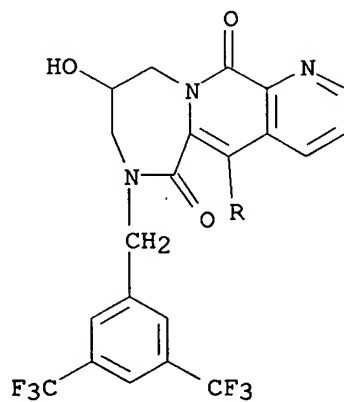
Absolute stereochemistry. Rotation (+).

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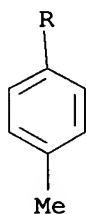


RN 183550-02-9 CAPLUS
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl)methyl]-7,8,9,10-tetrahydro-9-hydroxy-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

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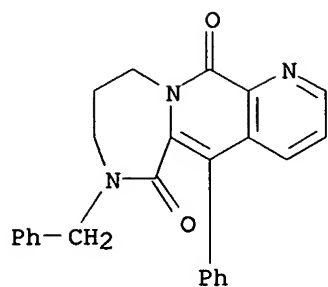


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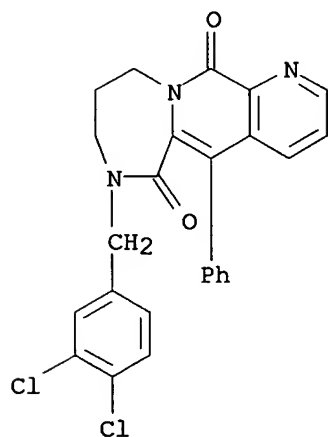
RN 183550-03-0 CAPLUS
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7,8,9,10-tetrahydro-5-phenyl-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

10/775,675



RN 183550-08-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[(3,4-dichlorophenyl)methyl]-7,8,9,10-tetrahydro-5-phenyl- (9CI) (CA INDEX NAME)



109 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:567004 CAPLUS

DOCUMENT NUMBER: 131:337008

TITLE: Axially chiral 1,7-naphthyridine-6-carboxamide derivatives as orally active tachykinin NK1 receptor antagonists: synthesis, antagonistic activity, and effects on bladder functions

AUTHOR(S): Natsugari, Hideaki; Ikeura, Yoshinori; Kamo, Izumi; Ishimaru, Takenori; Ishichi, Yuji; Fujishima, Akira; Tanaka, Toshimasa; Kasahara, Fumiko; Kawada, Mitsuru; Doi, Takayuki

CORPORATE SOURCE: Pharmaceutical Research Division and Technology Development Department, Takeda Chemical Industries Ltd., Yodogawa-ku Osaka, 532-8686, Japan

SOURCE: Journal of Medicinal Chemistry (1999), 42(19), 3982-3993

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Cyclic analogs of N-[3,5-bis(trifluoromethyl)benzyl]-7,8-dihydro-N,7-dimethyl-5-(4-methylphenyl)-8-oxo-1,7-naphthyridine-6-carboxamide having a 6-9-membered ring I and II [X = (CH₂)_n, n = 2-5; X = (R)-, (S)-CH₂CHMeCH₂, (R)-, (S)-(CH₂)₂CHMeCH₂] were synthesized and evaluated for NK1 antagonistic activities. The 8-membered ring compound with a β-Me group at the C(9)-position, (aR,9R)-7-[3,5-bis(trifluoromethyl)benzyl]-8,9,10,11-tetrahydro-9-Me-5-(4-methylphenyl)-7H-[1,4]diazocino[2,1-g][1,7]naphthyridine-6,13-dione [(aR,9R)-III], was atropdiastereoselectively synthesized by cyclization of a chiral carboxamide intermediate, IV [X = (R)-(CH₂)₂CHMeCH₂]. On the other hand, the 7-membered ring compound with a β-Me group at the C(9)-position [(9S)-II (n = 3)] was obtained as an equilibrium mixture of atropisomers with a ratio of ca. 3:2 in solution at room temperature (measured by NMR in CDCl₃). Compds. (9S)-II (n = 3) and (aR,9R)-III exhibited excellent antagonistic activities both in vitro [IC₅₀ (inhibition of [125I]BH-SP binding in human IM-9 cells) = 0.28 and 0.45 nM, resp.] and in vivo (iv and po). Significantly, the in vitro activity of (aR,9R)-III was ca. 750-fold higher than that of its enantiomer (aS,9S)-III, ca. 40-fold higher than its atropisomer (aS,9R)-III, and ca. 20-fold higher than its diastereomer (aR,9S)-III. The structure-activity relationships in this series, along with the X-ray anal. of (aR,9R)-III, indicated that the stereochem. around the -C(6)(=O)-N(7)-CH₂Ar moiety is important for NK1 receptor recognition. The NK1 antagonists showed effects on bladder functions in guinea pigs upon i.v. injection: i.e., the antagonists increased the shutdown time of distension-induced rhythmic bladder contractions and the bladder volume threshold, and the effects on the shutdown time were found to correlate well with the NK1 antagonistic activities. Compound (aR,9R)-III has been identified as a potential clin. candidate for the treatment of bladder function disorders.

IT 183549-77-1P 183549-87-3P 183549-89-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, NK1 receptor antagonist activity, crystal structure, and structure-activity relationship of naphthyridinecarboxamide derivs.)

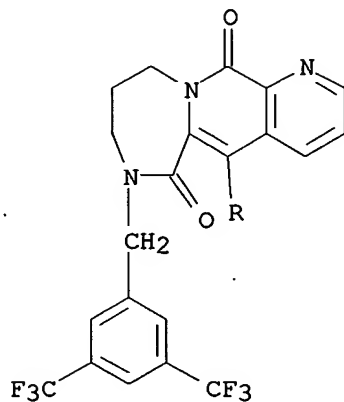
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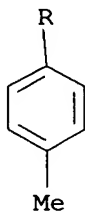
10/775,675

bis(trifluoromethyl)phenyl)methyl]-7,8,9,10-tetrahydro-5-(4-methylphenyl)-
(9CI) (CA INDEX NAME)

PAGE 1-A



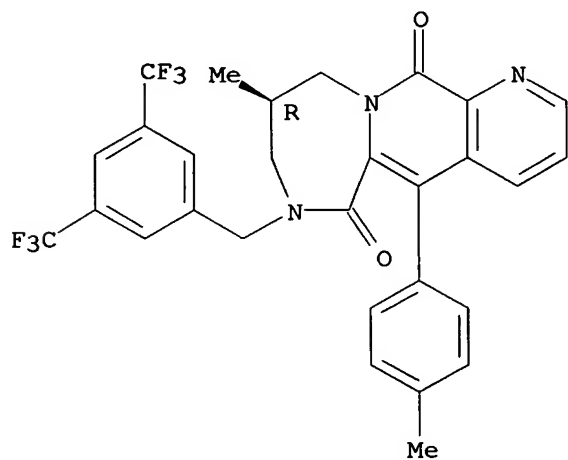
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RN 183549-87-3 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl)methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

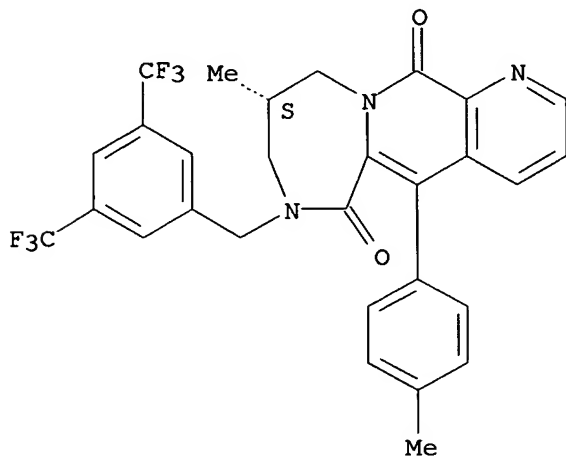


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RN 183549-89-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

54

THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/775,675

~~D19~~ ANSWER 10 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:427772 CAPLUS

DOCUMENT NUMBER: 129:95515

TITLE: Preparation of medium-ring polycyclic heterocycles as tachykinin receptor antagonists

INVENTOR(S): Natsugari, Hideaki; Ishimaru, Takenori; Doi, Takayuki; Ikeura, Yoshinori; Kimura, Chiharu; Tarui, Naoki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: U.S., 66 pp., Cont.-in-part of U.S. Ser. No. 621,360.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

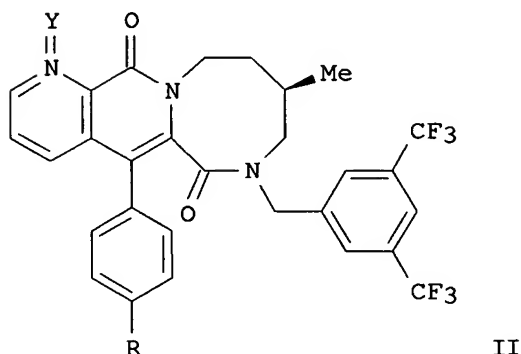
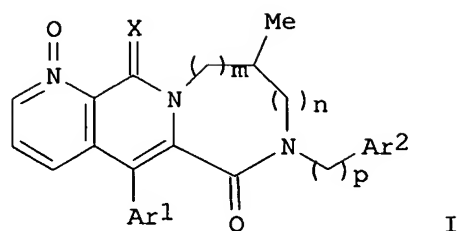
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| ----- | --- | ----- | ----- | ----- |
| US 5770590 | A | 19980623 | US 1996-717801 | 19960923 |
| JP 09263585 | A2 | 19971007 | JP 1996-66337 | 19960322 |
| JP 2976097 | B2 | 19991110 | | |
| JP 09263587 | A2 | 19971007 | JP 1997-20386 | 19960322 |
| CN 1140172 | A | 19970115 | CN 1996-106081 | 19960323 |
| US 5786352 | A | 19980728 | US 1996-621360 | 19960325 |
| SG 69968 | A1 | 20000125 | SG 1996-6546 | 19960325 |
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| US 6489315 | B1 | 20021203 | US 2000-644306 | 20000823 |
| PRIORITY APPLN. INFO.: | | | JP 1995-91436 | A 19950324 |
| | | | JP 1995-207553 | A 19950720 |
| | | | JP 1995-264727 | A 19950918 |
| | | | JP 1996-30033 | A 19960123 |
| | | | JP 1996-66337 | A 19960322 |
| | | | US 1996-621360 | A2 19960325 |
| | | | JP 1996-214698 | A 19960814 |
| | | | US 1998-87894 | A3 19980601 |

OTHER SOURCE(S): MARPAT 129:95515

GI



AB A variety of polycyclic heterocycles are disclosed, and in particular the compds. I and salts are claimed [wherein X = O, S; Ar1, Ar2 = certain (un)substituted Ph; m, n = 0 to 4; (m+n) = 2 to 4; p = 1 to 6]. The compds. show an excellent tachykinin receptor antagonistic effect. For instance, (9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]diazocino[2,1-g][1,7]naphthyridine, i.e., II [Y = absent, R = Me] (preparation given) underwent hydroxylation by *Streptomyces subutilus* IFO 13388 to give II [Y = absent, R = CH₂OH] (III). The latter underwent acetylation with Ac₂O and pyridine, N-oxidation with m-ClC₆H₄C(O)OOH, and hydrolytic deacetylation, to give title compound II [Y = O, R = CH₂OH]. III had an ID₅₀ of 2.5 µg/kg i.v. for inhibiting capsaicin-induced tracheal plasma extravasation in anesthetized guinea pigs. I also showed substance P receptor antagonistic and NK₂ receptor inhibitory activities.

IT 183549-77-1P 183549-79-3P 183549-82-8P

183549-87-3P 183549-88-4P 183549-89-5P

183550-02-9P 183550-03-0P 183550-08-5P

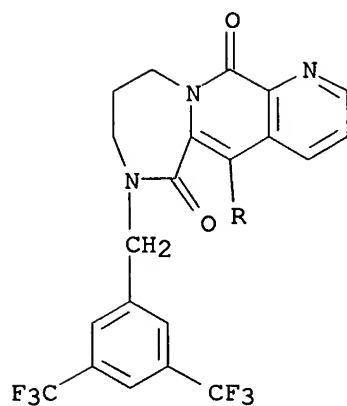
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of medium-ring polycyclic heterocycles as tachykinin receptor antagonists)

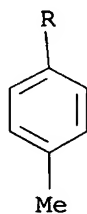
RN 183549-77-1 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-5-(4-methylphenyl)-(9CI) (CA INDEX NAME)

PAGE 1-A

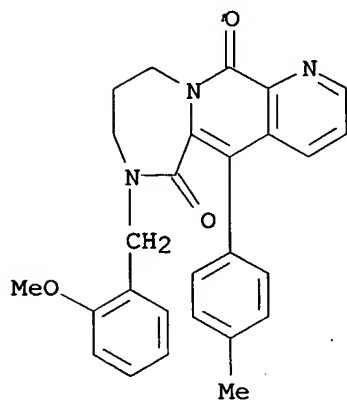


PAGE 2-A



RN 183549-79-3 CAPLUS

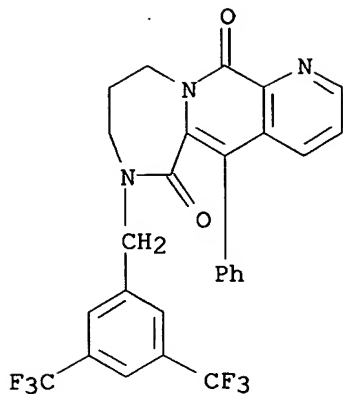
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7,8,9,10-tetrahydro-7-
 [(2-methoxyphenyl)methyl]-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 183549-82-8 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-
 bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-5-phenyl- (9CI)
 (CA INDEX NAME)

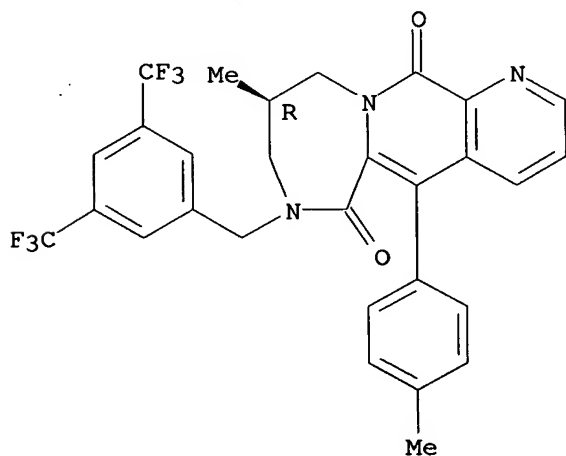
10/775,675



RN 183549-87-3 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

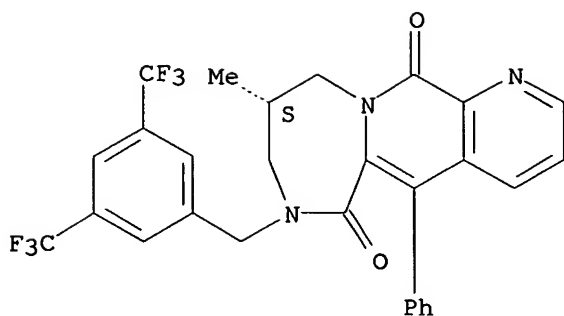


RN 183549-88-4 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

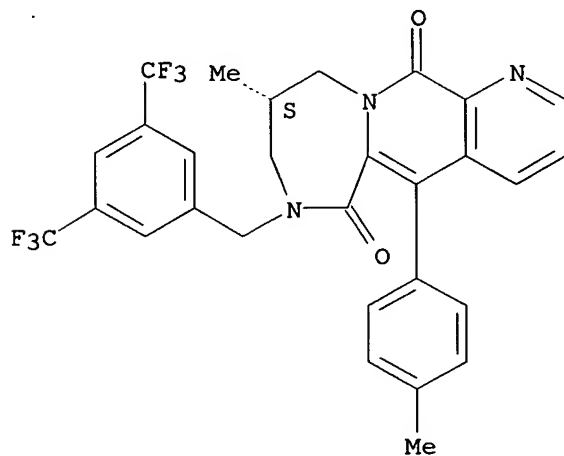
10/775,675



RN 183549-89-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9S)- (9CI) (CA INDEX NAME)

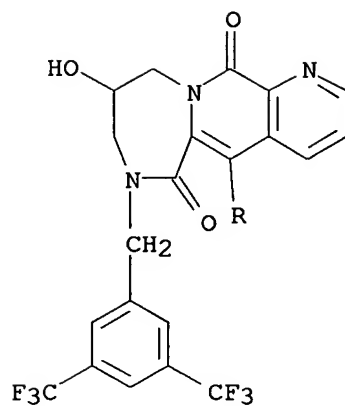
Absolute stereochemistry. Rotation (+).



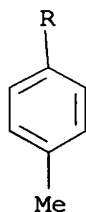
RN 183550-02-9 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-hydroxy-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

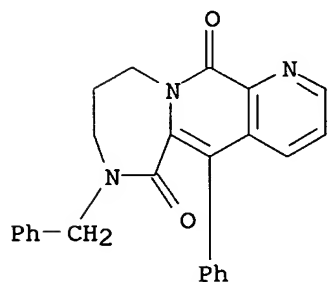


PAGE 2-A



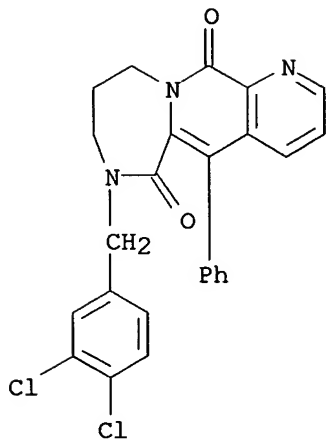
RN 183550-03-0 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7,8,9,10-tetrahydro-5-phenyl-7-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183550-08-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[(3,4-dichlorophenyl)methyl]-7,8,9,10-tetrahydro-5-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/775,675

119 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:728630 CAPLUS

DOCUMENT NUMBER: 126:8145

TITLE: Preparation of polycyclic heterocycles as tachykinin receptor antagonists

INVENTOR(S): Natsugari, Hideaki; Ishimaru, Takenori; Doi, Takayuki; Ikeura, Yoshinori; Kimura, Chiharu

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 94 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------|------|----------|------------------|----------------------------|
| EP 733632 | A1 | 19960925 | EP 1996-104500 | 19960321 |
| EP 733632 | B1 | 20030604 | | |
| R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| NO 9601160 | A | 19960925 | NO 1996-1160 | 19960321 |
| NO 309272 | B1 | 20010108 | | |
| TW 394773 | B | 20000621 | TW 1996-85103427 | 19960321 |
| AT 242243 | E | 20030615 | AT 1996-104500 | 19960321 |
| ES 2194937 | T3 | 20031201 | ES 1996-104500 | 19960321 |
| CA 2172421 | AA | 19960925 | CA 1996-2172421 | 19960322 |
| AU 9648261 | A1 | 19961003 | AU 1996-48261 | 19960322 |
| AU 699611 | B2 | 19981210 | | |
| CN 1140172 | A | 19970115 | CN 1996-106081 | 19960323 |
| IL 117631 | A1 | 20001121 | IL 1996-117631 | 19960324 |
| BR 9601125 | A | 19980106 | BR 1996-1125 | 19960325 |
| SG 69968 | A1 | 20000125 | SG 1996-6546 | 19960325 |
| US 6489315 | B1 | 20021203 | US 2000-644306 | 20000823 |
| PRIORITY APPLN. INFO.: | | | | JP 1995-91436 A 19950324 |
| | | | | JP 1995-207553 A 19950720 |
| | | | | JP 1995-264727 A 19950918 |
| | | | | JP 1996-30033 A 19960123 |
| | | | | US 1996-621360 A3 19960325 |
| | | | | US 1998-87894 A3 19980601 |

OTHER SOURCE(S): MARPAT 126:8145

GI For diagram(s), see printed CA Issue.

AB Title compds. [I; R = (CH₂)_nR₄; R₁, R₂ = H or a substituent; R₁R₂ = atoms to complete a (hetero)cyclic ring; ring B = heterocyclic ring; R₃, R₄ = (hetero)cyclic ring; X-Y = N:C, C(O)N, C(S)N; n = 1-6] were prepared. Thus, 4-BrC₆H₄Me was condensed with 2,3-pyridinedicarboxylic acid and the product amidated by HN(CH₂CN)₂ to give, after cyclization in 5 addnl. steps, 7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9-tetrahydro-5-(4-methylphenyl)-6,11-dioxo-11H-pyrazino[2,1-g][1,7]naphthyridine. Data for in vitro biol. activity of selected I were given.

IT 183549-77-1P 183549-79-3P 183549-82-8P

183549-87-3P 183549-88-4P 183549-89-5P

183550-02-9P 183550-03-0P 183550-08-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of polycyclic heterocycles as tachykinin receptor antagonists)

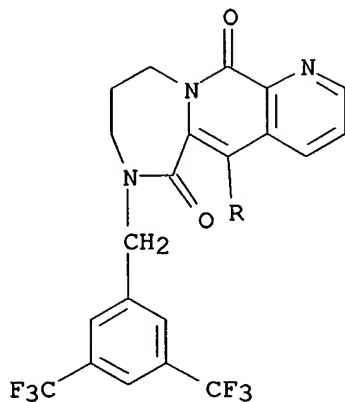
RN 183549-77-1 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-

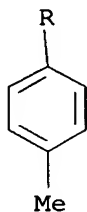
10/775,675

bis(trifluoromethyl)phenyl)methyl]-7,8,9,10-tetrahydro-5-(4-methylphenyl)-
(9CI) (CA INDEX NAME)

PAGE 1-A

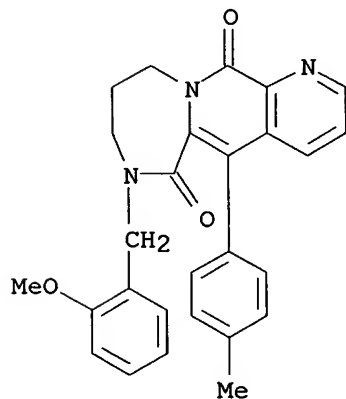


PAGE 2-A



RN 183549-79-3 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7;8,9,10-tetrahydro-7-
[(2-methoxyphenyl)methyl]-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

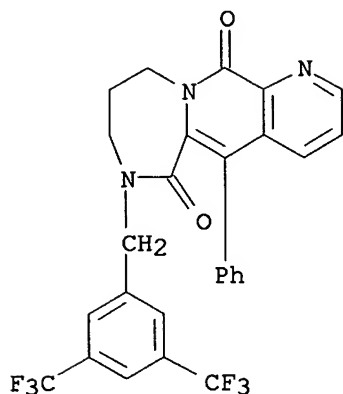


RN 183549-82-8 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-
bis(trifluoromethyl)phenyl)methyl]-7,8,9,10-tetrahydro-5-phenyl- (9CI)

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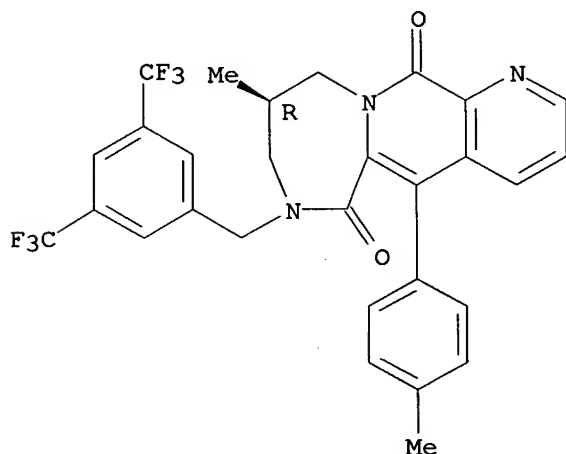
(CA INDEX NAME)



RN 183549-87-3 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

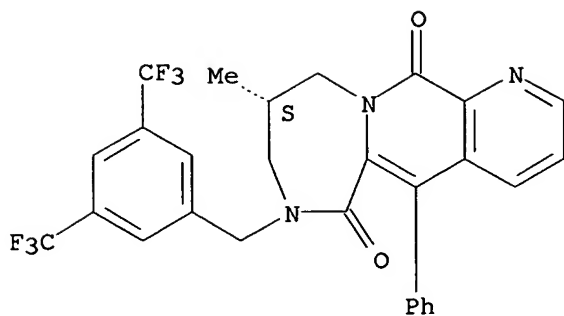


RN 183549-88-4 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-phenyl-, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

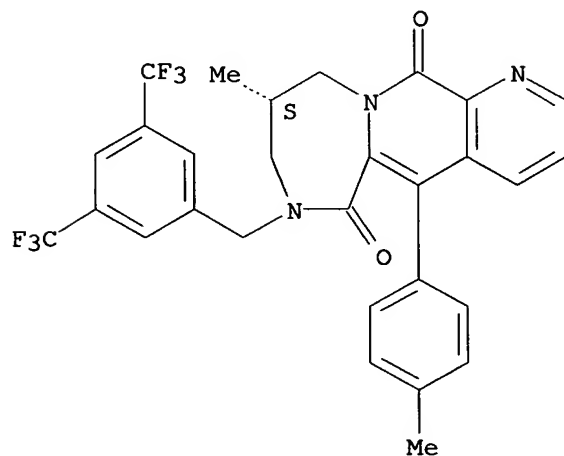
10/775,675



RN 183549-89-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-methyl-5-(4-methylphenyl)-, (9S)- (9CI) (CA INDEX NAME)

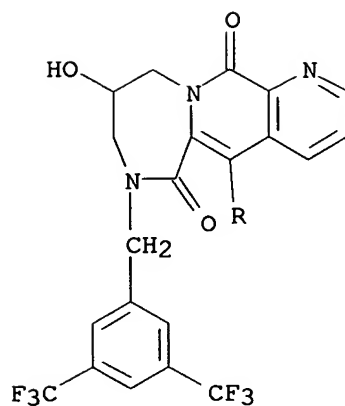
Absolute stereochemistry. Rotation (+).



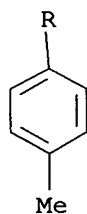
RN 183550-02-9 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-9-hydroxy-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

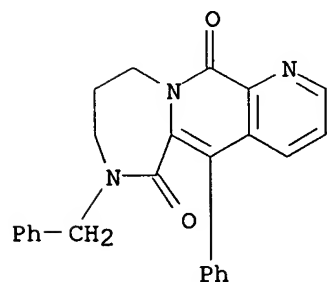


PAGE 2-A



RN 183550-03-0 CAPLUS

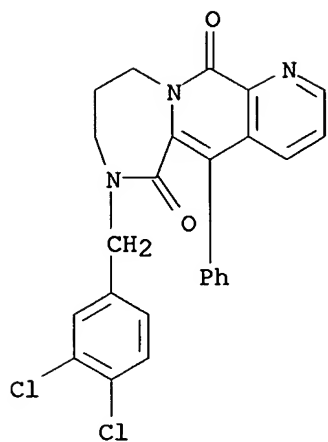
CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7,8,9,10-tetrahydro-5-phenyl-7-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183550-08-5 CAPLUS

CN [1,4]Diazepino[2,1-g][1,7]naphthyridine-6,12-dione, 7-[(3,4-dichlorophenyl)methyl]-7,8,9,10-tetrahydro-5-phenyl- (9CI) (CA INDEX NAME)

10/775,675



10/775,675

~~LI9~~ ANSWER 12 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1983:143388 CAPLUS

DOCUMENT NUMBER: 98:143388

TITLE: Seven-membered heterocyclics. Part 29. Synthesis of 1,2-annelated 1,4-benzodiazepines and 4,1-benzoxazepines

AUTHOR(S): Mueller, Werner; Stauss, Urs

CORPORATE SOURCE: Forschungsinst. Wander, Wander A.-G., Bern, CH-3001, Switz.

SOURCE: Helvetica Chimica Acta (1982), 65(7), 2118-32

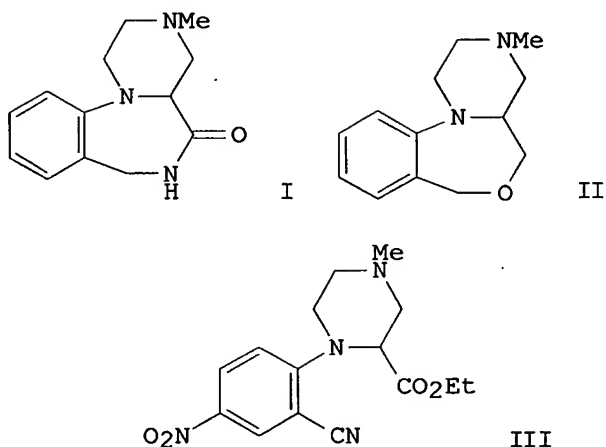
CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 98:143388

GI



AB 1,2-Annelated 1,4-benzodiazepines, e.g. I, and 4,1-benzoxazepines, e.g. II, were prepared via nucleophilic aromatic substitution of 2-substituted piperazines, piperidines or pyrrolidines with activated aryl halides. Thus, 2,5-F(O₂N)C₆H₃CN was treated with Et 4-methyl-2-piperazinecarboxylate to give the piperazine III, which underwent reductive cyclization followed by deamination to give I.

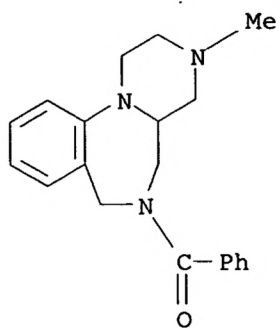
IT 85147-25-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 85147-25-7 CAPLUS

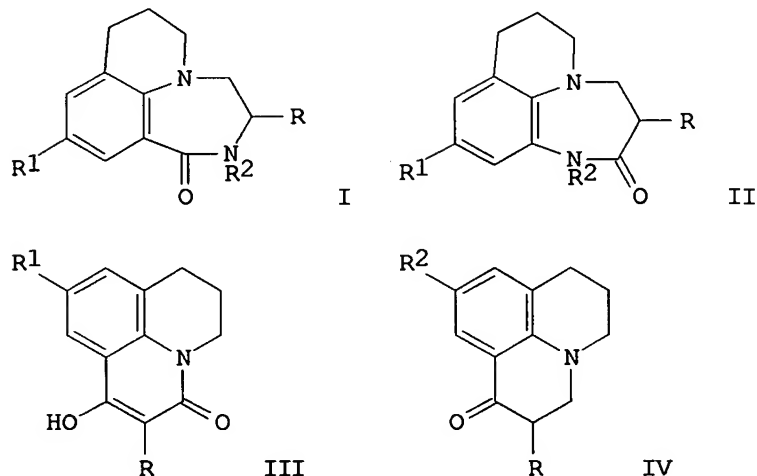
CN Pyrazino[1,2-a][1,4]benzodiazepine, 6-benzoyl-1,2,3,4,4a,5,6,7-octahydro-3-methyl- (9CI) (CA INDEX NAME)

10/775,675



~~119~~ ANSWER 13 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1976:405700 CAPLUS
 DOCUMENT NUMBER: 85:5700
 TITLE: Hexahydropyridobenzodiazepinones
 INVENTOR(S): Kaemmerer, Friedrich J.; Perrey, Klaus
 PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 19 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------|------|----------|-----------------|------------|
| DE 2443567 | A1 | 19760401 | DE 1974-2443567 | 19740912 |
| DE 2443567 | B2 | 19790802 | | |
| DE 2443567 | C3 | 19800410 | | |
| PRIORITY APPLN. INFO.: GI | | | DE 1974-2443567 | A 19740912 |



AB Pyridobenzodiazepinones I and II (R = H, C1-12 alkyl, phenyl, benzyl; R1 = H, Cl, OMe, Me; R2 = alkyl, alkenyl, substituted alkyl, 3,4,5-(MeO)3C6H2CO) (76 compds.), including I (R = R2 = H, R1 = Cl; R = CHMe2, R1 = R2 = H) and II (R = Et, Pr, CHMe2, Bu, CH2CHMe2, Ph, R1 = R2 = H; R = R1 = H, R2 = pyrrolidinocarbonylmethyl) were prepared by treating tetrahydroquinolines with RCH(CO2Et)2, reducing III with LiAlH4, and Schmidt reaction of IV. I and II are analgesics and inflammation inhibitors. Thus, II (R = CH2CHMe2, R1 = R2 = H) had oral ED50 in the phenylquinone writhing test and the carrageenin edema test of 2.0 and 0.3 mg/kg resp.

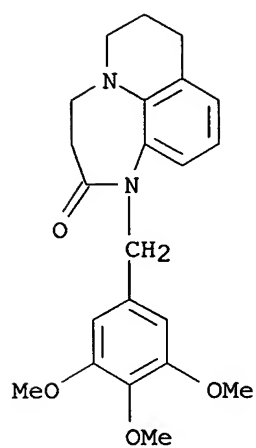
IT 59314-89-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 59314-89-5 CAPLUS

CN 6H-Pyrido[1,2,3-ef]-1,5-benzodiazepin-2(1H)-one, 3,4,7,8-tetrahydro-1-
 [(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

10/775,675



10/775,675

~~129~~ ANSWER 14 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:458892 CAPLUS
DOCUMENT NUMBER: 83:58892
TITLE: Octahydropyrrodo[2,1-c][1,4]benzodiazepines
INVENTOR(S): Carabateas, Philip M.
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: U.S., 13 pp. Division of U.S. 3,763,183 (CA 79: 146567t).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 3860600 | A | 19750114 | US 1973-327324 | 19730129 |
| US 3763183 | A | 19731002 | US 1972-270463 | 19720710 |
| PRIORITY APPLN. INFO.: | | | US 1972-270463 | A3 19720710 |
| | | | US 1970-30315 | A3 19700420 |

GI For diagram(s), see printed CA Issue.

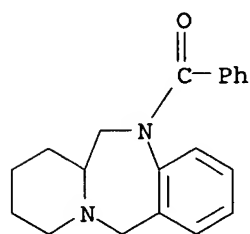
AB The benzodiazepine derivs. I (R = H, Cl, NO₂; R₁ = H, EtCO, HCO, etc.; R₂ = H, CO₂Et; X = CH₂, CH₂CH₂, CHOH, S, etc.) were prepared. Thus, isatoic anhydride was treated with L-proline and the pyrrolobenzodiazepinedione reduced with LiAlH₄ to give I (R = R₁ = R₂ = H, X = CH₂), which with (EtCO)₂O gave I (R₁ = EtCO). I were analgesic at 10-100 mg/kg, antiinflammatory at 100 mg/kg, and depressant at 8-300 mg/kg.

IT **41994-21-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 41994-21-2 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 5-benzoyl-5,6,6a,7,8,9,10,12-octahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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~~119~~ ANSWER 15 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1973:546567 CAPLUS

DOCUMENT NUMBER: 79:146567

TITLE: 1,2,3,10,11,11a-Hexahydro-5H-pyrrolo[2,1-c][1,4]benzodiazepines

INVENTOR(S): Carabateas, Philip M.

PATENT ASSIGNEE(S): Sterling Drug Inc.

SOURCE: U.S., 11 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 3763183 | A | 19731002 | US 1972-270463 | 19720710 |
| US 3732212 | A | 19730508 | US 1970-30315 | 19700420 |
| US 3860600 | A | 19750114 | US 1973-327324 | 19730129 |
| PRIORITY APPLN. INFO.: | | | US 1970-30315 | A3 19700420 |
| | | | US 1972-270463 | A3 19720710 |

GI For diagram(s), see printed CA Issue.

AB Analgesic antiinflammatory and central depressant heterocyclobenzodiazepines (I, R = H, alkyl, alkanoyl, benzoyl, R1 = H, halo, NO2, alkyl, alkoxy, benzyloxy; R2 = H, OH, CH2OH; X = CH2, CH2CH2, CH:CH, S, o-phenylene) (65 compds.) were prepared Thus isatoic anhydride and L-(-)-proline was heated in DMF for 3 hr to give the 5,11-dione of I (R-R2 = H, X = CH2). Reduction of the dione with LiAlH4 in THF gave I (R-R2 = H, X = CH2).

IT 41994-21-2P 50424-71-0P 50424-72-1P

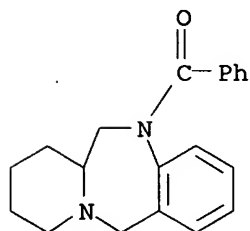
50424-74-3P 50424-75-4P 50702-95-9P

50702-96-0P 50702-97-1P 50702-98-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 41994-21-2 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 5-benzoyl-5,6,6a,7,8,9,10,12-octahydro-, monohydrochloride (9CI) (CA INDEX NAME)

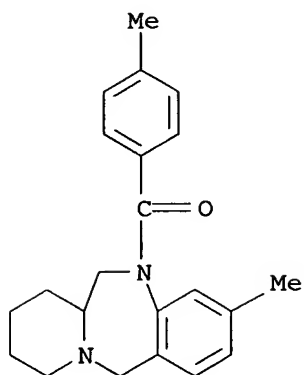


● HCl

RN 50424-71-0 CAPLUS

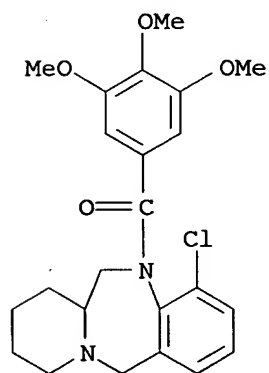
CN Pyrido[2,1-c][1,4]benzodiazepine, 5,6,6a,7,8,9,10,12-octahydro-3-methyl-5-(4-methylbenzoyl)- (9CI) (CA INDEX NAME)

10/775,675



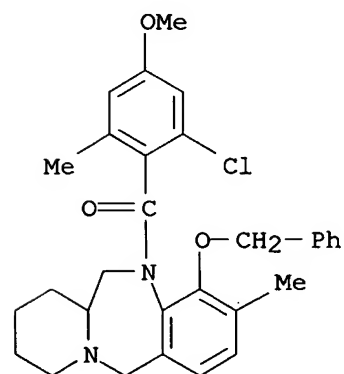
RN 50424-72-1 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 4-chloro-5,6,6a,7,8,9,10,12-octahydro-5-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 50424-74-3 CAPLUS

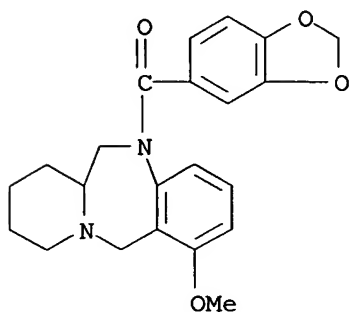
CN Pyrido[2,1-c][1,4]benzodiazepine, 5-(2-chloro-4-methoxy-6-methylbenzoyl)-5,6,6a,7,8,9,10,12-octahydro-3-methyl-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 50424-75-4 CAPLUS

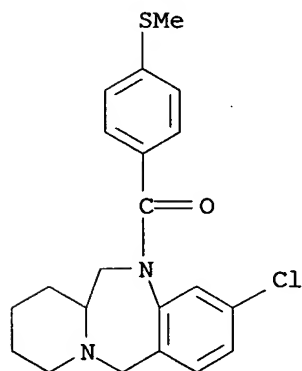
10/775,675

CN Pyrido[2,1-c][1,4]benzodiazepine, 5-(1,3-benzodioxol-5-ylcarbonyl)-
5,6,6a,7,8,9,10,12-octahydro-1-methoxy- (9CI) (CA INDEX NAME)



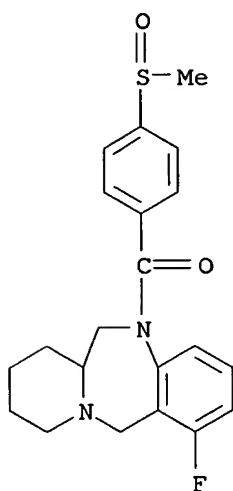
RN 50702-95-9 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 3-chloro-5,6,6a,7,8,9,10,12-octahydro-5-[4-(methylthio)benzoyl]- (9CI) (CA INDEX NAME)



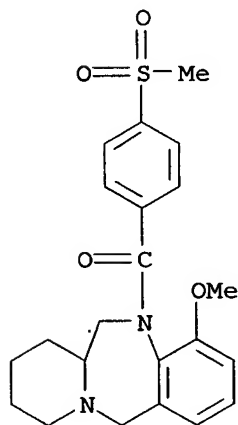
RN 50702-96-0 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 1-fluoro-5,6,6a,7,8,9,10,12-octahydro-5-[4-(methylsulfinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 50702-97-1 CAPLUS

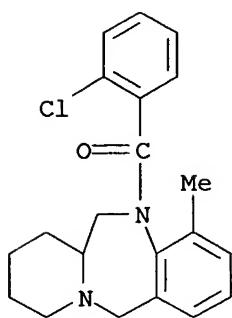
CN Pyrido[2,1-c][1,4]benzodiazepine, 5,6,6a,7,8,9,10,12-octahydro-4-methoxy-5-[4-(methylsulfonyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 50702-98-2 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 5-(2-chlorobenzoyl)-5,6,6a,7,8,9,10,12-octahydro-4-methyl- (9CI) (CA INDEX NAME)

10/775,675



10/775,675

~~119~~ ANSWER 16 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1973:442570 CAPLUS

DOCUMENT NUMBER: 79:42570

TITLE: 1,2,3,10,11,11a-Hexahydro-5H-pyrrolo[2,1-c][1,4]benzodiazepine-5,11-diones

INVENTOR(S): Carabateas, Philip M.

PATENT ASSIGNEE(S): Sterling Drug Inc.

SOURCE: U.S., 10 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 3732212 | A | 19730508 | US 1970-30315 | 19700420 |
| US 3763183 | A | 19731002 | US 1972-270463 | 19720710 |
| PRIORITY APPLN. INFO.: | | | US 1970-30315 | A3 19700420 |

GI For diagram(s), see printed CA Issue.

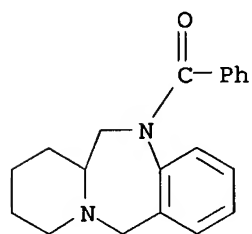
AB About 15 benzodiazepinedione derivs. I (Z = (CH₂)₃, (CH₂)₄, CH₂CH(OH)CH₂, benzo, CH₂SCH₂, etc.; R = H, Cl, NO₂) were prepared and converted to the benzodiazepines II (R₁ = EtCO, Me, H, Bz, etc.). Thus, isatoic anhydride was treated with L-(-)-proline to give I (Z = (CH₂)₃, R = H), which was reduced with LiAlH₄ to give II (Z = (CH₃)₃, R = R₁ = H). II were analgesic antagonists at 10-100 mg/kg, antiinflammatory at 20-100 mg/kg, and reduced psychomotor activity in mice at 8-300 mg/kg.

IT **41994-21-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 41994-21-2 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepine, 5-benzoyl-5,6,6a,7,8,9,10,12-octahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

119 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:403106 CAPLUS

DOCUMENT NUMBER: 67:3106

TITLE: Preparation of 11-substituted-1,2,3,11,12,12a-hexahydro-4H,6H-pyrido[2,1-c][1.4]benzodiazepin-12-ones

PATENT ASSIGNEE(S): Geigy, J. R., A.-G.

SOURCE: Neth. Appl., 19 pp.

CODEN: NAXXAN

DOCUMENT TYPE: Patent

LANGUAGE: Dutch

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| NL 6608673 | | 19661227 | NL | |
| DE 1695080 | | | DE | |
| FR 1484420 | | | FR | |
| GB 1083278 | | | GB | |
| US 3324116 | | 19670606 | US 1965-466439 | 19650623 |
| US 3483187 | | 19691209 | US | 19650623 |
| PRIORITY APPLN. INFO.: | | | US | 19650623 |

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) useful as analgetic, tranquilizing and local anesthetic agents, are prepared by reaction of I (R = H) (II) with a reactive ester of ROH, in the presence of an acid binding agent in an inert solvent. II are prepared from pipecolic esters and o-nitrobenzyl halides in solvents, in the presence of an excess acid-binding agent; the o-nitrobenzylpipecolic esters are reduced in the presence of Raney Ni, the esters are hydrolyzed and the o-aminobenzylpipecolic acids are submitted to a ring-closure with an inorg. acid. Thus, 8 g. PtO₂ is added to a solution of 200 g. picolinic acid in 1625 ml. 5N HCl in a pressure vessel. The mixture is heated at 70° and shaken with 5 atmospheric H until 23.8 kg./cm.² H is absorbed. The reactor is cooled to the ambient temperature and the catalyst separated to give pipecolic acid-HCl (m. 265-6°), 100 g. of which is dissolved in 1050 ml. absolute EtOH, and 25 ml. chlorosulfonic acid added. The mixture is refluxed 24 hrs., and the solvent evaporated in vacuo to give ethyl pipecolate, b₁₄ 93-5°, n_{24D} 1.4550. To a solution of 31.4 g. of the ester and 32 g. K₂CO₃ in 200 ml. PhMe, a solution of 34.3 g. 2-O₂NC₆H₄CH₂Cl in 150 ml. PhMe is added dropwise with stirring. The mixture is refluxed 12 hrs., and cooled to give Et 1-(2-nitrobenzyl)pipecolate as a yellow oil, b_{0.35} 150-2°, n_{23D} 1.5266; a solution of 33 g. of the ester in 500 ml. EtOH is hydrogenated at 1 atmospheric

and ambient temperature with Raney Ni to give Et 1-(2-aminobenzyl)pipecolate, b_{0.5} 146-7°, n_{23D} 1.5392. The ester is dissolved in 300 ml. HCl and refluxed 5 hrs. and the yellow solution cooled to give II (R₁ = R₂ = H), m. 182-3° (EtOH); HCl salt m. .apprx.250°. Similarly prepared are II (R₁, R₂, and m.p. given): H, 8-Cl (III), 224-5°; H, 9-MeO, 205-7°; H, 9-Me, 231-2°; H, 9-CF₃, 185-6°; H, 9-Cl, 182-3°; 8, 9-(MeO)₂, 203-4°; 8,9-Me₂, 229-30°; 8,9-Cl₂, 199-200°, 8,9-OCH₂O, 265-6°. To a suspension of 6.27 g. III in 50 ml. Me₂SO, 1.5 g. NaOEt is added, the mixture is stirred 30 min. at ambient temperature, and 5.3 g. MeI is added. The stirring is continued 1 hr., and the mixture added to 500 ml. cold H₂O. The mixture is made alkaline (3N NaOH) and left overnight in a refrigerator to give I (R₁ = H, R₂ = 8-Cl, R = Me) (IV), m. 107-8° (C₆H₁₄). An alternative

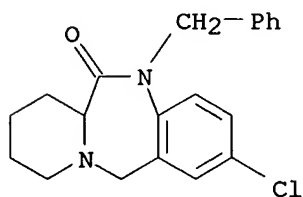
consists in stirring a solution of 10 g. III, 4.5 g. tert-BuOK in 80 ml. Me₂SO, and adding 2.5 g. Me₂SO₄. The mixture is stirred 24 hrs. to give IV. A solution of 5 g. IV and 9 g. m-chloroperbenzoic acid in 50 ml. CHCl₃ is refluxed 4 hrs. The cooled mixture is treated with H₂O, and evaporated to give the 5-oxide, m. 197-8° (decomposition). At ambient temperature, 5 g. IV is dissolved in 25 ml. MeI. After 15 hrs., the excess MeI is evaporated to give the 5-Me quaternary derivative, m. 283-4°. Racemic IV (16.1 g.) and 22.9 g. dibenzoyl-L-tartaric acid are dissolved in hot iso-PrOH. H₂O is added until the solution becomes turbid and the mixture left 2 days at 5°. The precipitate formed is separated, suspended in EtOH, and filtered to give a salt, m. 154-5° (iso-PrOH), [α]_{25D} 292° (c 1.665, Me₂SO), which is decomposed with 1N NaOH and extracted with CHCl₃ to give (+)-IV, m. 109-10° (C₆H₁₄), [α]_{27D} 385° (c 1.8, EtOH). Similarly, using dibenzoyl-D-tartaric acid, the (-)-IV derivative, m. 110-11° (C₆H₁₄), [α]_{25D} -368° (c 2.18, EtOH) is obtained. Addnl. I obtained are (R, R₁, R₂, and m.p. given): Et, H, 8-Cl, 58-9° (CHCl₃); allyl, H, 8-Cl, -[(HCl salt, m. 214° (decomposition) (EtOH-Et₂O)]; iso-Pr, H, 8-Cl, -[(b0.065 150-5°); maleate, m. 157-8° (iso-PrOH)]; Bu, H, 8-Cl, - (b0.5 154-7°), maleate m. 137-8°; CH₂Ph, H, 8-Cl, (b0.008 180°), HCl salt, m. 200-2° (decomposition) (EtOH); Me₂N(CH₂)₃, H, 8-Cl, (b0.003 190°), dimaleate m. 136-7° (iso-PrOH).

IT 16071-65-1P 17695-03-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

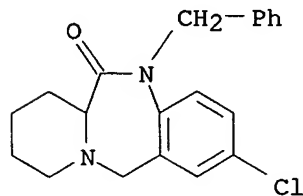
RN 16071-65-1 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepin-6(6aH)-one, 5-benzyl-2-chloro-5,7,8,9,10,12-hexahydro- (8CI) (CA INDEX NAME)



RN 17695-03-3 CAPLUS

CN Pyrido[2,1-c][1,4]benzodiazepin-6(5H)-one, 5-benzyl-2-chloro-6a,7,8,9,10,12-hexahydro-, hydrochloride (8CI) (CA INDEX NAME)



●x HCl